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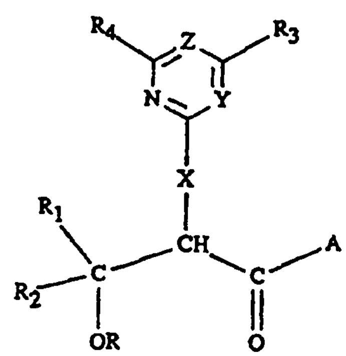
(54) Title: PYRIMIDINYL- AND TRIAZINYL-OXY AND THIO-3-HALOALKYL-PROPIONIC ACID DERIVATIVES AS HERBICIDES

(57) Abstract

Compounds of formula (I), wherein R_1 is C_1-C_7 haloalkyl; X is oxygen or sulfur; and salts of compounds of formula (I) that contain a carboxy or sulfonamide group, and stereoisomers of the compounds of formula (I), are suitable as active ingredients in compositions for controlling weeds.



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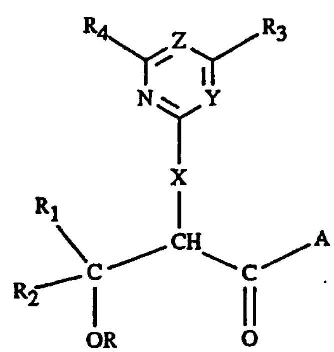
PYRIMIDINYL- AND TRIAZINYL-OXY AND THIO-3-HALOALKYL-PROPIONIC ACID DERIVATIVES AS HERBICIDES

The present invention relates to novel herbicidally active pyrimidinyl- and triazinyl-oxy- and -thio-3-haloalkyl-propionic acid derivatives, to processes for the preparation thereof, to compositions comprising those compounds and to the use thereof in the control of weeds, especially in crops of useful plants or in the inhibition of plant growth.

2- and 4-pyrimidinyl- and triazinyl-oxy- and -thio-propionic acid derivatives having herbicidal activity are known and are described, for example, in EP-A-0 347 811, EP-A-0 400 741, EP-B-0 409 368, EP-B-0 411 706, EP-A-0 481 512, EP-A-0 517 215, EP-A-0 541 041, EP-A-0 549 079, EP-A-0 567 014, EP-A-0 562 510, EP-A-0 581 184, DE-A-3 807 532, WO 93/25540 and WO 94/25442.

Novel pyrimidinyl- and triazinyl-oxy- and -thio-3-haloalkyl-propionic acid derivatives having herbicidal and growth-inhibiting properties have now been found.

The present invention therefore relates to compounds of formula I



(I),

wherein

R is hydrogen, C_1-C_6alkyl, C_1-C_4haloalkyl, C_1- or C_2-alkyl substituted by C_1- or C_2-alkoxy, cyano, phenyl or phenyl substituted by halogen, methyl, methoxy or trifluoromethyl, C_3-C_6alkenyl, C_3-C_6alkynyl, C_3-C_6cycloalkyl-C_1- or -C_2-alkyl, C_4-C_6cycloalkyl, C_1-C_4alkylcarbonyl or C_1-C_4alkylsulfonyl;

R_1 is C_1-C_7haloalkyl;

R_2 is hydrogen, C_1-C_6alkyl, C_1-C_6haloalkyl, C_2-C_6alkenyl, C_3-C_6cycloalkyl, phenyl, phenyl substituted by fluorine, chlorine, bromine, trifluoromethyl or methoxy, 2-, 3-

or 4-pyridyl, or 2- or 3-thienyl;

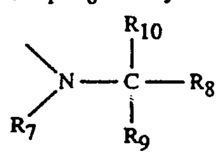
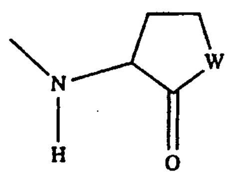
R_3 is methyl, ethyl, methoxy, ethoxy, trifluoromethyl, difluoromethoxy or 2,2,2-trifluoroethoxy;

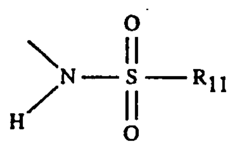
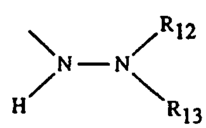
Z is nitrogen, methine or methine substituted by fluorine, chlorine, bromine or methyl;

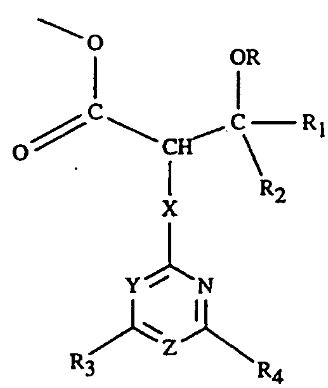
R_4 is fluorine, chlorine, methyl, ethyl, isopropyl, cyclopropyl, methoxy, ethoxy, methylthio, ethylthio, methylamino, dimethylamino, ethylamino, methoxymethyl, trifluoromethyl, chloromethyl, trichloromethyl or difluoromethoxy; or, if Z is methine, R_4 forms a $-O(CH_2)_m-$ bridge to Z, the linkage to Z being *via* the carbon atom;

Y is nitrogen, or, if Z is nitrogen, Y is nitrogen, methine or methine substituted by fluorine, chlorine or bromine;

X is oxygen or sulfur;

A is hydroxy, $-OR_5$, $-SR_6$, imidazolyl, triazolyl, 2-thionothiazolidin-3-yl, cyanamino, hydroxyamino, C_1-C_6alkoxyamino, C_1-C_3alkoxy(C_1-C_3alkyl)amino or a group of the formula  (A_1),  (A_2),

 (A_3),  (A_4) or

 (A_5) ; or

A and R together form a bond;

R_5 is C_1-C_6alkyl, C_3-C_6alkenyl, C_3-C_6alkynyl, C_1-C_4alkoxy-C_1-C_4alkyl, C_1- or C_2-alkoxy-ethoxy-C_1- or -C_2-alkyl, C_3- or C_4-alkenyloxy-C_1-C_4alkyl, C_3- or C_4-alkynyloxy-C_1-C_4alkyl, C_1-C_4alkylthio-C_1-C_4alkyl, C_1-C_4alkylsulfinyl-C_1-C_4alkyl, C_2-C_4dialkylamino-C_1-C_4alkyl, tri-C_1-C_6alkyl-silyl-C_1-C_4alkyl,

C_1-C_4alkylcarbonyloxy-C_1- or -C_2-alkyl, C_1-C_4alkoxycarbonyl-C_1-C_6alkyl, C_3- or C_4-alkenyloxycarbonyl-C_1-C_6alkyl, C_3- or C_4-alkynyloxycarbonyl-C_1-C_6alkyl, C_1-C_4alkylthiocarbonyl-C_1-C_4alkyl, benzyloxycarbonyl-C_1-C_6alkyl, C_1-C_4alkoxy-carbonylmethyl-carbonylmethyl, C_3-C_6cycloalkyl, C_3-C_6cycloalkyl-C_1-C_3alkyl, C_3-C_6oxacycloalkyl, C_3-C_6oxacycloalkyl substituted by C_1-C_3alkyl, C_2-C_6oxacyclo-alkyl-C_1-C_3alkyl, C_3-C_5dioxacycloalkyl, C_3-C_5dioxacycloalkyl substituted by C_1-C_3alkyl, C_3-C_5dioxacycloalkyl-C_1-C_3alkyl, benzyl, pyridylmethyl, C_1- or C_2-di-alkyl-phosphinyl, C_1-C_4alkylamino, dimethylamino, C_2-C_6alkylideneimino, (C_2-C_6alkylideneimino)-oxy-C_1- or -C_2-alkyl, phenyl, or phenyl substituted by fluorine, chlorine, bromine, methyl, methoxy or nitro;

R_6 is C_1-C_6alkyl, C_2-C_4dialkylamino-C_1-C_4alkyl, C_1-C_4alkoxycarbonyl-C_1-C_4alkyl, phenyl, or phenyl substituted by fluorine, chlorine, bromine, methyl, methoxy or nitro;

R_7 is hydrogen or methyl;

R_9 is hydrogen, trifluoromethyl, C_1-C_4alkyl, C_1-C_4alkyl substituted by hydroxy, C_1-C_4alkoxy, mercapto, C_1-C_4alkylmercapto, phenyl, 4-hydroxyphenyl, 4-imidazol-yl, 3-indolyl, carboxy, C_1-C_4alkoxycarbonyl, C_3- or C_4-alkenyloxycarbonyl, cyano, carbamoyl, methylphosphino or methylsulfoximino, C_2-C_6alkenyl, C_2-C_6alkenyl substituted by chlorine, methyl or methoxy, ethynyl, cyclopropyl, phenyl or phenyl substituted by chlorine, methyl or methoxy; or

R_7 and R_9 together are -$(CH_2)_q$-, -$CH_2CH(OH)CH_2$-, -CH_2SCH_2- or -$CH_2CH_2SCH_2$-;

R_8 is hydroxymethyl, formyl, cyano, phosphono, phosphino, methylphosphino or a -COL group;

R_{10} is hydrogen or methyl; or

R_9 and R_{10} together are -$(CH_2)_n$-;

R_{11} is C_1-C_6alkyl, C_1-C_6haloalkyl, C_2-C_6alkenyl, C_2-C_6haloalkenyl, C_2-C_6alkynyl, C_2-C_6haloalkynyl, C_3-C_6cycloalkyl, C_3-C_6cycloalkylmethyl, C_1-C_4alkylamino, di-C_1-C_4alkylamino, C_1-C_3alkoxy-C_1-C_3alkylamino, C_3-C_6alkenylamino, C_3-C_6alkynylamino, C_3-C_6cycloalkylamino, morpholino, piperazino, piperidino, arylamino, arylamino substituted by fluorine, chlorine, methyl, trifluoromethyl, methoxy or benzylamino, pyridyl, pyridyl substituted by fluorine, chlorine, methyl, ethyl, methoxy, methylamino, C_1-C_3alkoxycarbonyl, difluoromethoxy or trifluoro-methyl, benzyl, phenyl or phenyl substituted by fluorine, chlorine, bromine, methyl, ethyl, trifluoromethyl, methoxy, difluoromethoxy, ethoxy, nitro, cyano or C_1-C_3alkoxycarbonyl;

R_{12} is hydrogen or methyl;

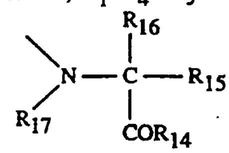
R_{13} is hydrogen, C_1-C_6alkyl, phenyl or phenyl substituted by fluorine, chlorine, bromine, iodine, C_1-C_4alkyl, trifluoromethyl, C_1-C_3alkoxy, difluoromethoxy, cyano, nitro or C_1-C_4alkoxycarbonyl, pyridyl or pyridyl mono- or di-substituted by fluorine, chlorine, methyl, methoxy or trifluoromethyl;

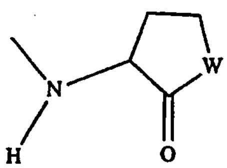
m is 2 or 3;

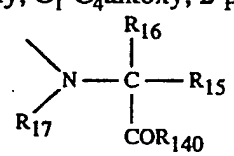
n is 2, 3, 4 or 5;

q is 2 or 3;

W is oxygen or sulfur;

L is hydroxy, C_1-C_4alkoxy, C_3- or C_4-alkenyloxy, amino, C_1-C_4alkylamino, C_1-C_4di-alkylamino, benzyloxy or a group of the formula  (L_1) or

 (L_2);

R_{14} is hydroxy, C_1-C_4alkoxy, 2-propenyloxy, benzyloxy, amino or a further group of the formula  (L_{10});

R_{140} is hydroxy, C_1-C_4alkoxy, 2-propenyloxy, benzyloxy or amino;

R_{15} is hydrogen, C_1-C_4alkyl or benzyl;

R_{17} is hydrogen; or

R_{15} and R_{17} together are $-(CH_2)_3-$; and

R_{16} is hydrogen or methyl;

and salts of compounds of formula I that contain a carboxy or sulfonamide group, and stereoisomers of the compounds of formula I.

The compounds of formula I contain at least one asymmetric carbon atom. That means that the compounds can occur in optically isomeric forms. If an aliphatic C=C double bond is present, geometric isomerism (E or Z form) can also occur. That applies especially in the case of those compounds of formula I wherein the radicals R, R_2, R_5, R_9 and R_{11} are alkenyl. Formula I thus includes all the possible stereoisomers present in the form of enantiomers, diastereoisomers, E/Z isomers or mixtures thereof.

In formula I the alkyl radicals may be straight-chained or branched. The same applies also to the/each alkyl moiety of alkoxy, alkylthio, alkylsulfinyl, alkylsulfonyloxy, alkylamino, dialkylamino, alkylsilyl, alkoxycarbonyl, alkylcarbonyloxy, haloalkyl groups and other alkyl-containing groups.

In the definitions, C_1-C_6alkyl is, for example, methyl, ethyl, n-propyl, isopropyl, n-butyl, sec-butyl, isobutyl, tert-butyl, pentyl or the isomers of pentyl, and hexyl or the isomers of hexyl.

An alkoxy-, cyano- or phenyl-substituted alkyl group is, for example, methoxyethyl, ethoxyethyl, cyanoethyl or benzyl.

Alkoxyethoxy-substituted alkyl groups in the definition of R_5 are, for example, methoxyethoxymethyl.

The C_2-C_6alkenyl, C_2-C_6haloalkenyl and C_3-C_6alkynyl radicals occurring in the substituents may likewise be straight-chained or branched, such as vinyl, allyl, methallyl, 1-methylvinyl, but-2-en-1-yl, 3-chloro-2-propenyl, 3-chloro-2-methyl-2-propenyl, 2,3-dichloro-2-propenyl, 2-propyn-1-yl, 1-methyl-2-propyn-1-yl and but-2-yn-1-yl.

Alkoxy is, for example, methoxy, ethoxy, propoxy, isopropoxy, n-butoxy, isobutoxy, sec-butoxy or tert-butoxy.

Alkenyloxy is, for example, allyloxy, methallyloxy or but-2-en-1-yloxy.

Alkynyloxy is, for example, 2-propyn-1-yloxy, 2-butyn-1-yloxy or 3-butyn-1-yloxy.

Alkylamino is, for example, methylamino, ethylamino, n-propylamino, isopropylamino, n-butylamino or sec-butylamino.

Dialkylamino is, for example, dimethylamino or diethylamino.

Alkoxy(alkyl)amino is, for example, N-methoxy(methyl)amino.

Alkenylamino is, for example, 2-propenylamino.

Alkynylamino is, for example, 2-propynylamino.

Cycloalkylamino is, for example, cyclopropylamino.

Alkylthio or alkylmercapto is, for example, methylthio, ethylthio, n-propylthio, isopropylthio, n-butylthio, sec-butylthio or tert-butylthio.

Alkylideneimino is, for example, 2-propylideneimino or 2-butylideneimino.

Alkoxycarbonyl is, for example, methoxycarbonyl, ethoxycarbonyl, n-propoxycarbonyl, isopropoxycarbonyl, n-butoxycarbonyl or tert-butoxycarbonyl.

A haloalkyl group may contain one or more halogen atoms, such as fluorine, chlorine or bromine, for example fluoromethyl, difluoromethyl, chloromethyl, dichloromethyl, dibromomethyl, 2-fluoroethyl, 2,2,2-trifluoroethyl, 2-chloroethyl or 2,2,2-trichloroethyl. There may be mentioned as examples of a polyhalogenated alkyl group trifluoromethyl, chlorodifluoromethyl, dichlorofluoromethyl, trichloromethyl, tribromomethyl, pentafluoroethyl and heptafluoropropyl.

Cycloalkyl radicals that are suitable as substituents are, for example, cyclopropyl, cyclobutyl, cyclopentyl and cyclohexyl.

Cycloalkyl-C_1-C_3alkyl radicals that are suitable as substituents are, for example, cyclopropyl-methyl, cyclopropyl-ethyl, cyclopropyl-propyl, cyclobutyl-methyl, cyclobutyl-ethyl, cyclobutyl-propyl, cyclopentyl-methyl, cyclopentyl-ethyl, cyclopentyl-propyl, cyclohexyl-methyl and cyclohexyl-ethyl.

Cycloalkyl-C_1- or -C_2-alkoxy radicals that are suitable as substituents are, for example, cyclopropyl-methoxy, cyclopropyl-ethoxy, cyclobutyl-methoxy, cyclobutyl-ethoxy, cyclopentyl-methoxy, cyclopentyl-ethoxy, cyclohexyl-methoxy and cyclohexyl-ethoxy.

Oxacycloalkyl radicals that are suitable as substituents are, for example, oxacyclobutyl, oxacyclopentyl, oxacyclohexyl and oxacycloheptyl, especially oxetan-3-yl, 3-methyl-oxetan-3-yl, 3-ethyl-oxetan-3-yl and 2-methyl-oxetan-3-yl.

Oxacycloalkyl-C_1-C_3alkyl radicals that are suitable as substituents are, for example, oxiran-2-yl-methyl, oxacyclobutyl-methyl, oxacyclobutyl-ethyl, oxacyclobutyl-propyl, oxacyclopentyl-methyl, oxacyclopentyl-ethyl, oxacyclopentyl-propyl, oxacyclohexyl-methyl, oxacyclohexyl-ethyl, oxacyclohexyl-propyl, oxacycloheptyl-methyl and oxacyclo-heptyl-propyl.

Dioxacycloalkyl radicals that are suitable as substituents are, for example, dioxacyclo-pentyl, dioxacyclohexyl, dioxacycloheptyl, methyldioxacyclopentyl, dimethyldioxacyclo-pentyl and dimethyldioxacyclohexyl.

Dioxacycloalkyl-C_1-C_3alkyl radicals that are suitable as substituents are, for example, dioxacyclopentyl-methyl, dioxacyclopentyl-ethyl, dioxacyclopentyl-propyl, dioxacyclo-hexyl-methyl, dioxacyclohexyl-ethyl, dioxacyclohexyl-propyl and dioxacycloheptyl-methyl, especially (1,3-dioxolan-2-yl)-methyl, (1,3-dioxolan-2-yl)-ethyl, (1,3-dioxan-2-yl)-ethyl and [1,3-(2,2-dimethyl)-dioxolan-5-yl]-methyl.

The invention also includes the salts that the compounds of formula I are capable of forming with amines, alkali metal and alkaline earth metal bases or quaternary ammonium bases.

Suitable salts of the free carboxy groups are especially salts of alkali metals, such as lithium, sodium and potassium, salts of alkaline earth metals, such as magnesium and calcium, or salts of organic ammonium bases, such as ammonia and primary, secondary and tertiary alkylamines.

Of the alkali metal and alkaline earth metal hydroxides, as salt formers, special mention should be made of the hydroxides of lithium, sodium, potassium, magnesium and calcium, but especially those of sodium and potassium.

Examples of amines that are suitable for the formation of ammonium salts include both ammonia and primary, secondary and tertiary C_1-C_{18}alkylamines, C_1-C_4hydroxyalkyl-amines and C_2-C_4alkoxyalkylamines, for example methylamine, ethylamine, n-propyl-amine, isopropylamine, the four isomers of butylamine, n-amylamine, isoamylamine, hexylamine, heptylamine, octylamine, nonylamine, decylamine, pentadecylamine, hexa-decylamine, heptadecylamine, octadecylamine, methyl-ethylamine, methyl-isopropyl-amine, methyl-hexylamine, methyl-nonylamine, methyl-pentadecylamine, methyl-octa-

decylamine, ethyl-butylamine, ethyl-heptylamine, ethyl-octylamine, hexyl-heptylamine, hexyl-octylamine, dimethylamine, diethylamine, di-n-propylamine, diisopropylamine, di-n-butylamine, di-n-amylamine, diisoamylamine, dihexylamine, diheptylamine, dioctylamine, ethanolamine, n-propanolamine, isopropanolamine, N,N-diethanolamine, N-ethylpropanolamine, N-butylethanolamine, allylamine, n-butenyl-2-amine, n-pentenyl-2-amine, 2,3-dimethylbutenyl-2-amine, di-butenyl-2-amine, n-hexenyl-2-amine, propylenediamine, trimethylamine, triethylamine, tri-n-propylamine, triisopropylamine, tri-n-butylamine, triisobutylamine, tri-sec-butylamine, tri-n-amylamine, methoxyethylamine and ethoxyethylamine; heterocyclic amines, such as pyridine, quinoline, isoquinoline, morpholine, piperidine, pyrrolidine, indoline, quinuclidine, azepine and imidazole; primary arylamines, such as anilines, methoxyanilines, ethoxyanilines, o,m,p-toluidines, phenylenediamines, benzidines, naphthylamines and o,m,p-chloroanilines; but especially triethylamine, isopropylamine and diisopropylamine.

When A and R together form a bond, a lactone structure as shown in compounds of formula Ir is obtained.

In preferred compounds of formula I, R_2 is hydrogen, methyl, methyl substituted by fluorine, chlorine or bromine, ethyl, pentafluoroethyl, phenyl, phenyl mono- to penta-substituted by fluorine and mono- or di-substituted by chlorine, bromine, trifluoromethyl or methoxy, pyridyl or thienyl.

Of those compounds, especially suitable are those compounds wherein R_2 is hydrogen, methyl, trifluoromethyl, chlorodifluoromethyl, dichlorofluoromethyl, dichloromethyl, trichloromethyl, dibromomethyl, ethyl, pentafluoroethyl, phenyl, phenyl mono-substituted by fluorine, chlorine, trifluoromethyl or methoxy, 2- or 3-pyridyl or 2-thienyl. Of those compounds of formula I very special preference is given to those wherein R_2 is methyl, trifluoromethyl, chlorodifluoromethyl, dichlorofluoromethyl, dichloromethyl or trichloromethyl.

Preference is given also to compounds of formula I wherein R_1 is C_1-C_3perhaloalkyl.

Of those compounds, preference is given especially to compounds of formula I wherein R_1 is trifluoromethyl, chlorodifluoromethyl, dichlorofluoromethyl, trichloromethyl, tribromomethyl, pentafluoroethyl or heptafluoropropyl. Of those compounds of formula I very special preference is given to those wherein R_1 is trifluoromethyl.

Preference is given likewise to compounds of formula I wherein R_3 is methoxy; and R_4 is methyl, trifluoromethyl, chlorine, methoxy, difluoromethoxy, ethoxy or dimethylamino; or R_4 forms an $-OCH_2CH_2-$ bridge to Z.

Of those compounds, compounds of formula I wherein R_3 and R_4 are methoxy are especially important.

Also preferred are those compounds of formula I wherein Z is methine.

Also suitable are compounds of formula I wherein R_3 and R_4 are methoxy; and Z is methine.

Also important are compounds of formula I wherein R is C_1-C_4alkyl, 2-propenyl, 2-propynyl, 2-fluoroethyl, 2-chloroethyl, 2-methoxyethyl, 2-cyanoethyl or benzyl.

Of those compounds, compounds of formula I wherein R is methyl or ethyl are especially important.

Suitable compounds are also those wherein R is hydrogen.

Also suitable are compounds wherein A and R together form a bond.

Also suitable are compounds of formula I wherein

A is hydroxy, C_1-C_4alkoxy, 2-propenyloxy, 2-propynyloxy, benzyloxy, C_1-C_4alkyl-carbonyloxy-C_1- or -C_2-alkoxy, N,N-dimethylhydroxyamino, N-methoxyamino, cyanamino, or a group of the formula A_1, A_2, A_3 or A_4, wherein

R_8 is a -COL group and

L is as defined for formula I;

R_7 is hydrogen;

R_9 is hydrogen or C_1-C_4alkyl; or

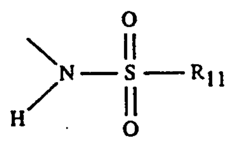
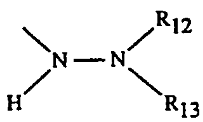
R_7 and R_9 together are $-(CH_2)_3-$;

R_{10} is hydrogen;

R_{11} is C_1-C_4alkyl, cyclopropylmethyl, C_3- or C_4-alkenyl, C_3- or C_4-haloalkenyl, cyclopropyl, cyclobutyl, trifluoromethyl, ethylamino, n-propylamino, 2-propynylamino, di-C_1-C_4alkylamino, morpholino, pyridyl or pyridyl substituted by halogen or by

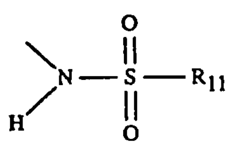
methoxycarbonyl, N-methoxy-methylamino, phenyl or phenyl mono- or di-substituted by fluorine, chlorine, bromine or methoxy; and

R_{13} is hydrogen, C_1-C_4alkyl, phenyl or phenyl mono- or di-substituted by fluorine, chlorine, methyl, trifluoromethyl, methoxy, methoxycarbonyl or nitro.

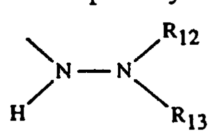
Especially suitable are compounds of formula I wherein A is hydroxy or a group of the formula  (A_3) or  (A_4) wherein R_{11} to R_{13} are as defined for formula I.

Especially important are compounds of formula I wherein A is hydroxy.

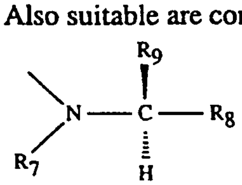
Also especially suitable are compounds of formula I wherein A is a group of the formula

 (A_3) wherein R_{11} is methyl, ethyl, trifluoromethyl, 2-methyl-2-propenyl, 3-chloro-2-propenyl, cyclopropyl, cyclopropylmethyl, dimethylamino, diethylamino, morpholino, phenyl, 2-chlorophenyl, 2-methoxycarbonylphenyl, 2-pyridyl, 3-fluoro-2-pyridyl or 3-methoxycarbonyl-2-pyridyl.

Also especially suitable are compounds of formula I wherein A is a group of the formula

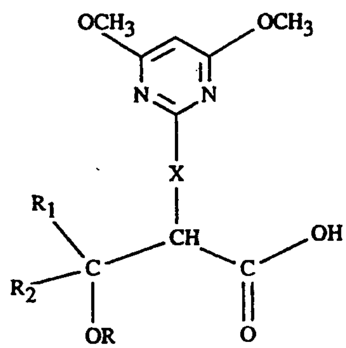
 (A_4) wherein R_{12} is hydrogen; and R_{13} is methyl, tert-butyl, phenyl, 2-chlorophenyl, 2-fluorophenyl, 2,4-difluorophenyl, 2-tolyl, 4-methoxyphenyl, 4-chlorophenyl or 3-trifluoromethylphenyl.

Also suitable are compounds of formula I wherein A is a group of the formula

 (A_1*) of (S)-configuration; R_7 is hydrogen; R_9 is C_1-C_4alkyl; or R_7 and R_9 together are -$(CH_2)_3$-; and R_8 is a -COL group wherein L is as defined for

formula I.

Importance is attached to those compounds of formula If



(If),

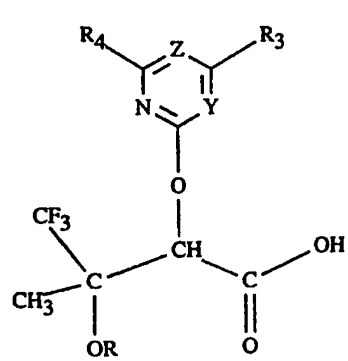
wherein

R is hydrogen, methyl, ethyl, difluoromethyl, 2-fluoroethyl, 2-chloroethyl, 2-cyanoethyl, 2-methoxyethyl, 2-ethoxyethyl, n-propyl, 2-propenyl, 2-propynyl or benzyl;

R_1 is trifluoromethyl, chlorodifluoromethyl, trichloromethyl, tribromomethyl, pentafluoroethyl or heptafluoropropyl; and

R_2 is hydrogen, methyl, trifluoromethyl, chlorodifluoromethyl, dichlorofluoromethyl, dichloromethyl, trichloromethyl, dibromomethyl, ethyl, pentafluoroethyl, phenyl, phenyl mono-substituted by fluorine, chlorine, trifluoromethyl or methoxy, 2- or 3-pyridyl or 2-thienyl.

Also important are those compounds of formula Ig



(Ig),

wherein

R is methyl, ethyl, difluoromethyl, 2-fluoroethyl, 2-chloroethyl, 2-methoxyethyl, 2-ethoxyethyl, n-propyl, 2-propenyl, 2-propynyl, 2-cyanoethyl or benzyl;

R_3 is methoxy or ethoxy;

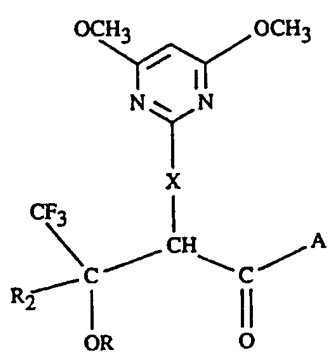
R_4 is methyl, trifluoromethyl, trichloromethyl, methoxy, difluoromethoxy, methylamino, dimethylamino, methylthio or cyclopropyl;

Y is nitrogen, methine or chloromethine; and

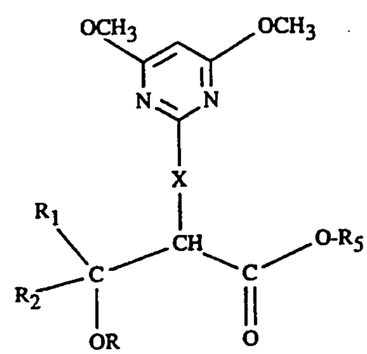
Z is nitrogen or methine; or

R_4 forms a $-O(CH_2)_2-$ bridge to Z.

Also suitable are those compounds of formulae Ih and Ip



(Ih) and



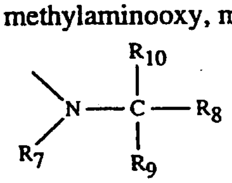
(Ip),

wherein

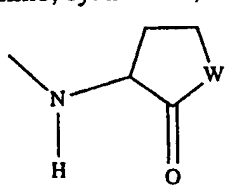
R is hydrogen, methyl, ethyl, difluoromethyl, 2-fluoroethyl, 2-chloroethyl, 2-cyanoethyl, 2-methoxyethyl, 2-ethoxyethyl, n-propyl, 2-propenyl, 2-propynyl or benzyl;

R_2 is methyl, trifluoromethyl or phenyl;

A is methoxy, ethoxy, tert-butoxy, 2-propenyloxy, 2-propylideneiminoethoxy, N,N-dimethylaminooxy, methoxyamino, cyanamino, imidazolyl or a group of the formula



(A_1) or



(A_2),

wherein

R_7 is hydrogen;

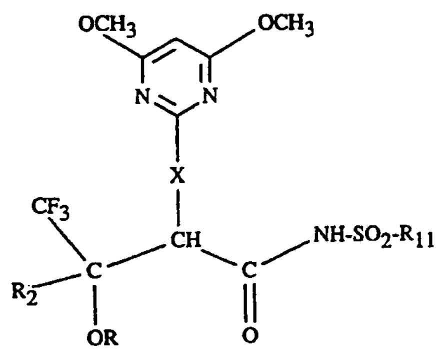
R_9 is hydrogen, C_1-C_4alkyl or C_1-C_4alkyl substituted by carboxy, phenyl, methylphosphino or methylthio; or

R_7 and R_9 together are $-(CH_2)_3-$;

R_8 is methylphosphino or a -COL group, and L is hydroxy or C_1-C_4alkoxy; and

R_{10} is hydrogen.

Preference is given also to compounds of formula Ii



(Ii),

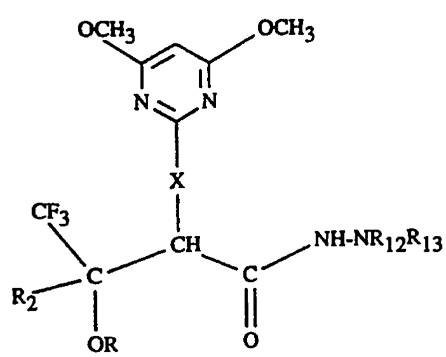
wherein

R is hydrogen, methyl, ethyl, difluoromethyl, 2-fluoroethyl, 2-chloroethyl, 2-cyanoethyl, 2-methoxyethyl, 2-ethoxyethyl, n-propyl, 2-propenyl, 2-propynyl or benzyl;

R_2 is methyl or trifluoromethyl; and

R_{11} is methyl, ethyl, trifluoromethyl, 2-methyl-2-propenyl, 3-chloro-2-propenyl, cyclopropyl, dimethylamino, diethylamino, morpholino, phenyl, 2-chlorophenyl, 2-methoxycarbonylphenyl, 2-pyridyl, 3-fluoro-2-pyridyl or 2-fluoro-3-pyridyl.

Preference is given also to those compounds of formula Ij



(Ij),

wherein

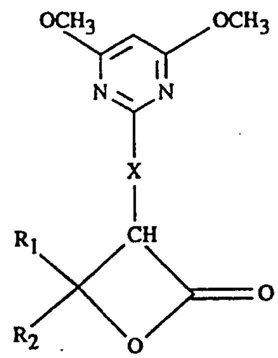
R is hydrogen, methyl, ethyl, difluoromethyl, 2-fluoroethyl, 2-chloroethyl, 2-methoxyethyl, 2-ethoxyethyl, n-propyl, 2-propenyl, 2-propynyl, 2-cyanoethyl or benzyl;

R_2 is methyl, trifluoromethyl or phenyl;

R_{12} is hydrogen or methyl; and

R_{13} is methyl, tert-butyl, phenyl, 2-chlorophenyl, 2-fluorophenyl, 2-tolyl, 2,4-difluorophenyl, 4-chlorophenyl, 3-trifluoromethylphenyl or 4-methoxyphenyl.

Preference is given also to those compounds of formula It



(It),

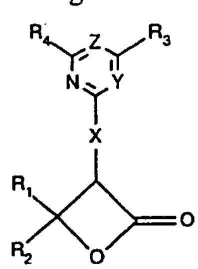
wherein

X is oxygen or sulfur;

R_1 is trifluoromethyl, pentafluoroethyl or heptafluoropropyl; and

R_2 is methyl, ethyl, trifluoromethyl or phenyl.

Preference is likewise given to compounds of formula Ir



(Ir),

wherein

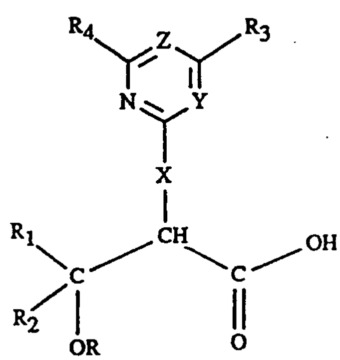
R_2 to R_4, X, Y and Z are as defined for formula I and R_1 is C_1-C_7alkyl, or R_1 together with R_2 is $-(CH_2)_4-$ or $-(CH_2)_5-$.

There may be mentioned as very especially preferred individual compounds within the

scope of formula I, in the form of a mixture of stereoisomers or in the form of pure isomers:

2-[(4,6-dimethoxy-pyrimidin-2-yl)-thio]-3-methoxy-3-trifluoromethylbutyric acid;
2-[(4,6-dimethoxy-pyrimidin-2-yl)-thio]-3-hydroxy-3-trifluoromethylbutyric acid;
2-[(4,6-dimethoxy-pyrimidin-2-yl)-thio]-3-ethoxy-3-trifluoromethylbutyric acid;
2-[(4,6-dimethoxy-pyrimidin-2-yl)-thio]-3-methyl-3-trifluoromethyl-oxetanone;
2-[(4,6-dimethoxy-pyrimidin-2-yl)-oxy]-3-methoxy-3-trifluoromethylbutyric acid;
2-[(4,6-dimethoxy-pyrimidin-2-yl)-oxy]-3-ethoxy-3-trifluoromethylbutyric acid;
2-[(4,6-dimethoxy-pyrimidin-2-yl)-thio]-3-methoxy-3,3-bis-trifluoromethylpropionic acid; and
2-[(4,6-dimethoxy-pyrimidin-2-yl)-thio]-3-hydroxy-3,3-bis-trifluoromethylpropionic acid.

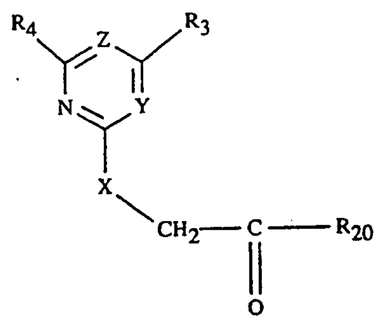
The process according to the invention for the preparation of the compounds of formula I is carried out analogously to known processes and comprises, for the preparation of the acid derivatives of formula Ia



(Ia),

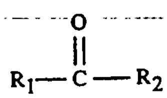
wherein R_1 to R_4, X, Y and Z are as defined for formula I and R is C_1-C_6alkyl, C_1-C_4haloalkyl, C_1- or C_2-alkyl substituted by C_1- or C_2-alkoxy, cyano, phenyl or phenyl substituted by halogen, methyl, methoxy or trifluoromethyl, C_3-C_6alkenyl, C_3-C_6alkynyl, C_3-C_6cycloalkyl-C_1- or -C_2-alkyl, C_4-C_6cycloalkyl, C_1-C_4alkylcarbonyl or C_1-C_4alkylsulfonyl,

a) converting a compound of formula III



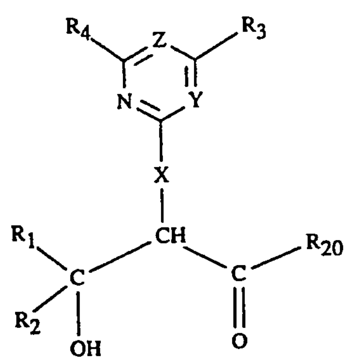
(III),

wherein R_3, R_4, X, Y and Z are as defined and R_{20} is C_1-C_6alkoxy, chloroethoxy, 2-trimethylsilylethoxy, 2-propenyloxy, benzyloxy or benzyloxy substituted by methoxy, with a compound of formula II



(II),

wherein R_1 and R_2 are as defined, in the presence of a suitable base into a compound of formula Ib

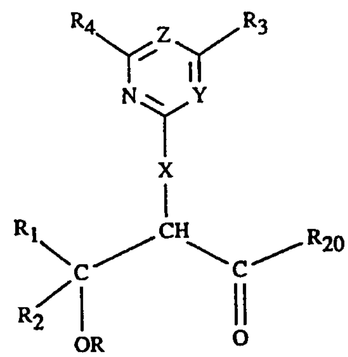


(Ib),

wherein R_1 to R_4, X, Y, Z and R_{20} are as defined, and then alkylating, acylating or sulfonylating the compound of formula Ib with a compound of formula IX

$$R\text{-}L_5 \qquad \text{(IX)},$$

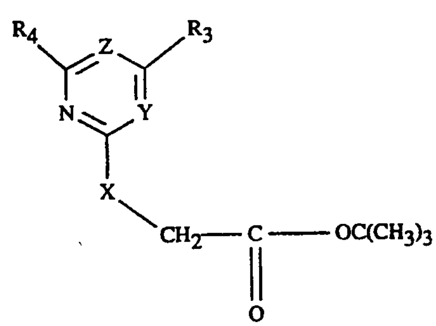
wherein R is as defined and L_5 is a leaving group, especially chlorine, bromine, iodine or a methylsulfonyloxy, p-toluenesulfonyloxy, methoxysulfonyloxy or ethoxysulfonyloxy group, to form the compound of formula In



(In),

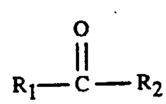
wherein R, R_1 to R_4, R_{20}, X, Y and Z are as defined, where appropriate in the presence of a base and a suitable solvent, and then reacting that compound of formula In further under hydrolytic or hydrogenolytic conditions or, when R_{20} is the tert-C_4H_9-O- group, under acid-catalysed conditions; or

b) reacting a compound of formula IIIa



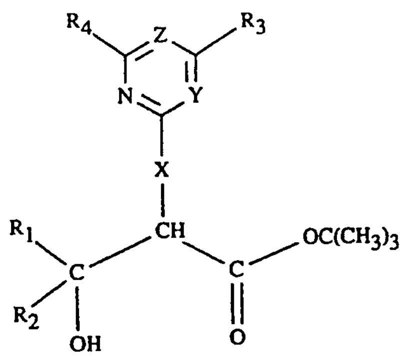
(IIIa)

with a compound of formula II



(II),

in the presence of a suitable base, to form a compound of formula Ic

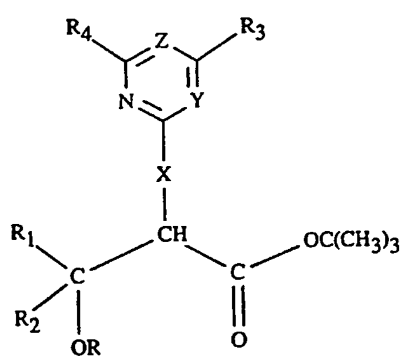


(Ic),

wherein in the compounds of formulae IIIa, II and Ic the radicals R_1 to R_4, X, Y and Z are as defined for formula I, and then alkylating, acylating or sulfonylating the compound of formula Ic with a compound of formula IX

$$R\text{-}L_5 \qquad \text{(IX)},$$

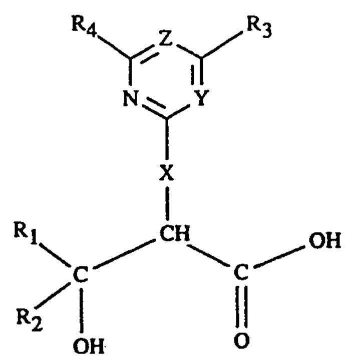
wherein R is as defined and L_5 is a leaving group, especially chlorine, bromine, iodine or a methylsulfonyloxy, p-toluenesulfonyloxy, methoxysulfonyloxy or ethoxysulfonyloxy group, where appropriate in the presence of a base and a suitable solvent, to form a compound of formula Io



(Io),

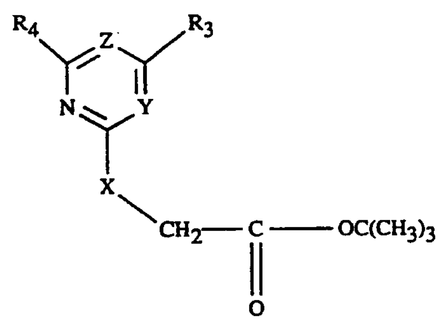
and then hydrolysing the compound of formula Io with trifluoroacetic acid, sulfuric acid or a mixture of sulfuric acid and acetic acid, where appropriate in the presence of an additional solvent.

The process according to the invention for the preparation of the acid derivatives of formula Iq



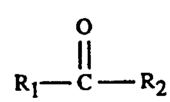
(Iq),

wherein R_2 to R_4, X, Y and Z are as defined for formula I and R_1 is C_1-C_7alkyl or C_1-C_7haloalkyl, or R_1 together with R_2 is -$(CH_2)_4$- or -$(CH_2)_5$-, comprises reacting a compound of formula IIIa



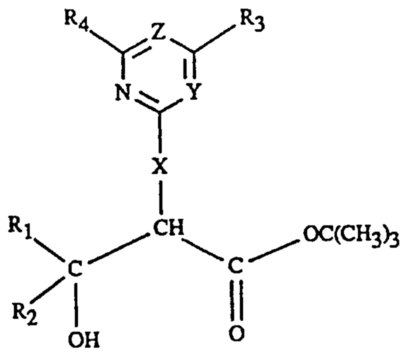
(IIIa)

with a compound of formula II



(II),

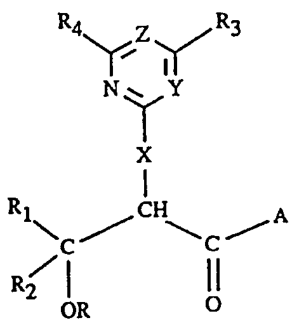
in the presence of a suitable base, to form a compound of formula Ic



(Ic),

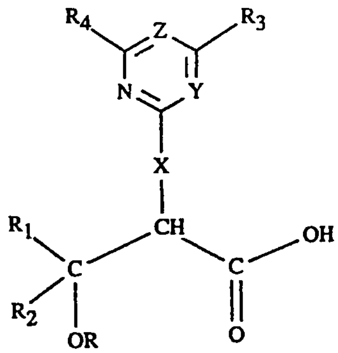
wherein in the compounds of formulae IIIa, II and Ic the radicals R_2 to R_4, X, Y and Z are as defined for formula I and R_1 is C_1-C_7alkyl or C_1-C_7haloalkyl, or R_1 together with R_2 is $-(CH_2)_4-$ or $-(CH_2)_5-$, and then hydrolysing the compound of formula Ic with trifluoroacetic acid, sulfuric acid, phosphoric acid or a mixture of sulfuric acid and acetic acid, where appropriate in the presence of an additional solvent.

The process according to the invention for the preparation of the compounds of formula Im



(Im),

wherein R_1 to R_4, X, Y and Z are as defined for formula I, R is C_1-C_6alkyl, C_1-C_4haloalkyl, C_1- or C_2-alkyl substituted by C_1- or C_2-alkoxy, cyano, phenyl or phenyl substituted by halogen, methyl, methoxy or trifluoromethyl, C_3-C_6alkenyl, C_3-C_6alkynyl, C_3-C_6cycloalkyl-C_1- or -C_2-alkyl, C_4-C_6cycloalkyl, C_1-C_4alkylcarbonyl or C_1-C_4alkylsulfonyl and A is $-OR_5$, $-SR_6$, cyanamino or a group A_1 to A_4, comprises converting a compound of formula Ia



(Ia),

wherein R, R_1 to R_4, X, Y and Z are as defined,

a) by reaction with a compound of formula VII

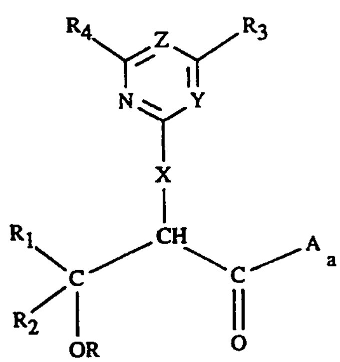
$$A_a\text{-}L_3 \qquad \text{(VII)},$$

wherein

A_a is a leaving group, especially chlorine, bromine, 2,4,6-triisopropylphenyl-sulfonyl, imidazolyl, triazolyl, 2-thionothiazolidin-3-yl or N,N'-dicyclohexyl-isoureidyl, and

L_3 is -S(O)Cl, -C(O)Cl, -C(O)-C(O)Cl, $-PCl_4$, $-P(O)Cl_2$, $-P(O)Br_2$, 2,4,6-triisopropyl-phenyl-sulfonyl, imidazolyl, triazolyl, N-carbonylimidazole or N-carbonyltriazole,

into the compound of formula Id



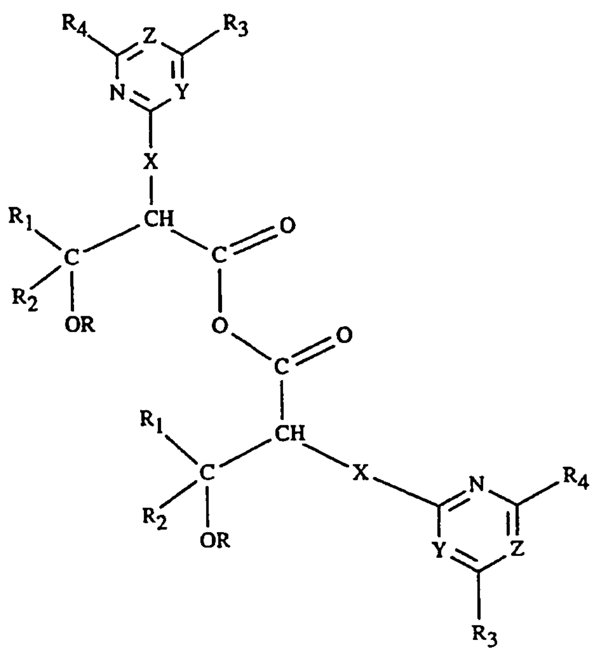
(Id),

wherein R_1 to R_4, X, Y and Z are as defined for formula I and R and A_a are as defined above, and then reacting the compound of formula Id with a compound of formula V

$$A\text{-}H \qquad \text{(V)},$$

wherein A is $-OR_5$, $-SR_6$, cyanamino or a group A_1 to A_4, where appropriate in the presence of a base and a solvent; or

b) by treatment with a water-removing reagent, such as phosphorus oxychloride, into the compound of formula Ie



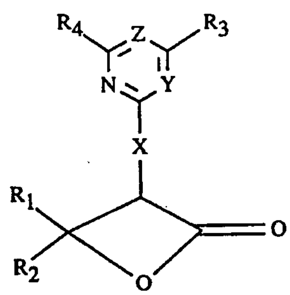
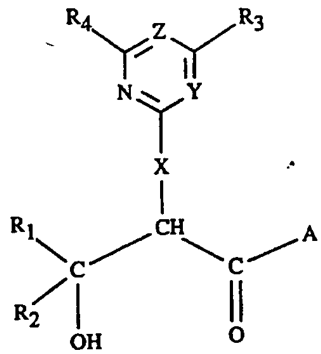
(Ie),

wherein R_1 to R_4, X, Y and Z are as defined for formula I and R is as defined above, and then reacting the compound of formula Ie with a compound of formula V

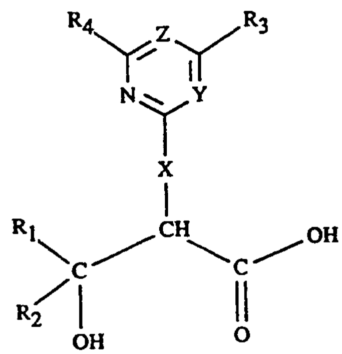
$$\text{A-H} \qquad \text{(V)},$$

wherein A is $-OR_5$, $-SR_6$, cyanamino, hydroxyamino, C_1-C_6alkoxyamino, C_1-C_3alkoxy-(C_1-C_3alkyl)amino or a group A_1 to A_4, where appropriate in the presence of a base and a solvent.

The process according to the invention for the preparation of the compounds of formulae Ir and Is

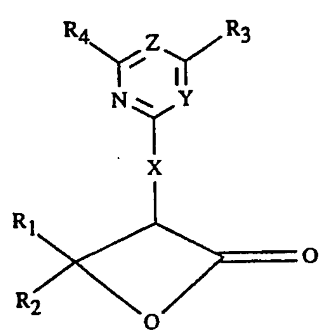
 (Ir) and  (Is),

wherein R_2 to R_4, X, Y, Z and A are as defined for formula I and R_1 is C_1-C_7alkyl or C_1-C_7haloalkyl, or R_1 together with R_2 is $-(CH_2)_4-$ or $-(CH_2)_5-$, comprises converting a compound of formula Iq



(Iq),

wherein R_1 to R_4, X, Y and Z are as defined, by treatment with a water-removing reagent, such as phosphorus oxychloride, phosphorus trichloride, phosphorus pentachloride, phosphorus oxybromide, thionyl chloride, oxalyl chloride, acetic anhydride, sulfuric acid, dimethyl- or diethyl-aminosulfur trifluoride, into the compound of formula Ir



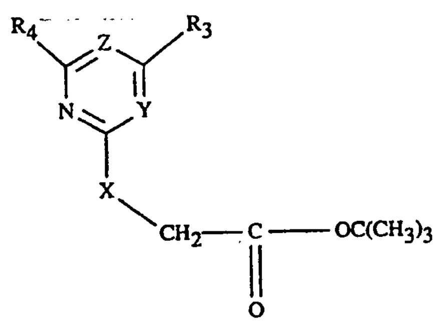
(Ir),

wherein R_2 to R_4, X, Y and Z are as defined for formula I and R_1 is C_1-C_7alkyl or C_1-C_7haloalkyl, or R_1 together with R_2 is $-(CH_2)_4-$ or $-(CH_2)_5-$, and then reacting the compound of formula Ir with a compound of formula V

A-H (V),

wherein A is hydroxy, $-OR_5$, $-SR_6$, cyanamino, hydroxyamino, C_1-C_6alkoxyamino, C_1-C_3alkoxy-C_1-C_6alkylamino or a group A_1 to A_4, where appropriate in the presence of a base and a solvent.

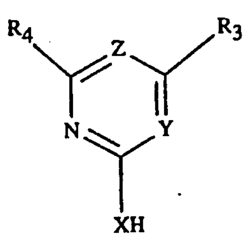
Compounds of formula IIIa



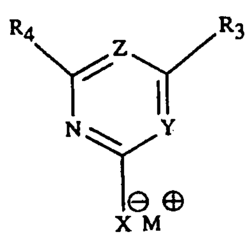
(IIIa)

wherein R_3, R_4, X, Y and Z are as defined for formula I can be prepared by

a) reacting a compound of formula IV or IVa



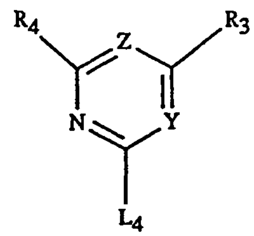
(IV) or



(IVa),

wherein $M^{\oplus}$ is a cation, such as a sodium, calcium, lithium, magnesium, dimethylammonium or triethylammonium ion, with bromo- or chloro-acetic acid tert-butyl ester in the presence of a base and a suitable solvent; or

b) reacting a compound of formula VI



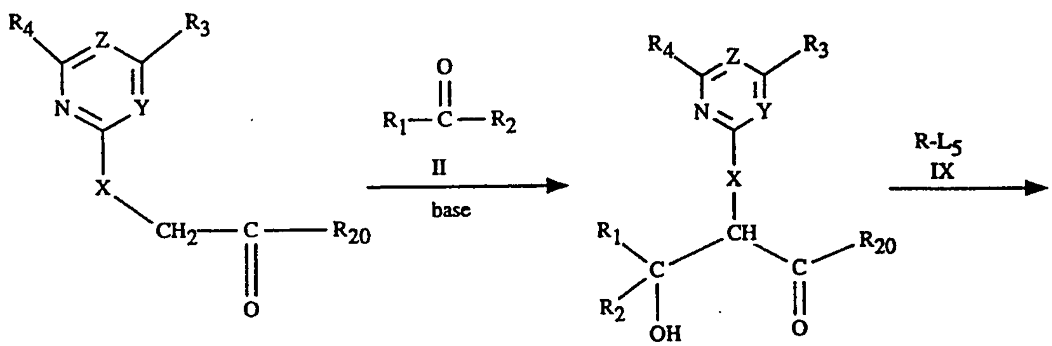
(VI)

with hydroxy- or mercapto-acetic acid tert-butyl ester (VIII) in the presence of a base and a suitable solvent; in the compounds of formulae IV and VI the radicals R_3, R_4, X, Y and Z are as defined for formula I and L_4 is a leaving group, such as fluorine, chlorine, methylsulfonyl or benzylsulfonyl.

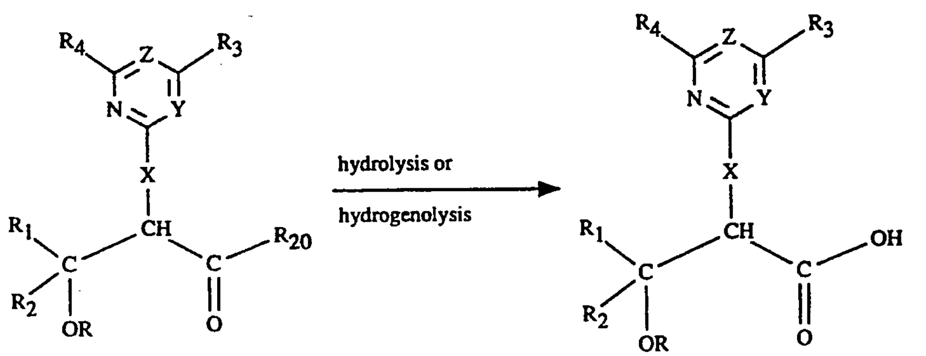
The process variants for the preparation of the acid derivatives of formula Ia wherein R is C_1-C_6alkyl, C_1-C_4haloalkyl, C_1- or C_2-alkyl substituted by C_1- or C_2-alkoxy, cyano, phenyl or phenyl substituted by halogen, methyl, methoxy or trifluoromethyl, C_3-C_6alkenyl, C_3-C_6alkynyl, C_3-C_6cycloalkyl-C_1- or -C_2-alkyl, C_4-C_6cycloalkyl, C_1-C_4alkylcarbonyl or C_1-C_4alkylsulfonyl, follow Reaction scheme 1, the preparation of acid derivatives of formulae Ia and Iq wherein R is hydrogen advantageously being effected using Process variant 1b) *via* the acid-catalysed removal of isobutylene (see Reaction scheme 3). The process variant for the preparation of the compounds of formula Im wherein A is -OR_5, -SR_6, cyanamino, hydroxyamino, C_1-C_6alkoxyamino, C_1-C_3alkoxy-C_1-C_3alkylamino or a group A_1 to A_4, and R has the meanings given, with the exception of hydrogen, follows Reaction scheme 2; the process variant for the preparation of compounds of formulae Iq, Ir and Is (and Im wherein R is hydrogen) wherein the radical R_1 is C_1-C_7alkyl or C_1-C_7haloalkyl, or R_1 together with R_2 is -$(CH_2)_4$- or -$(CH_2)_5$-, and the radicals R_2 to R_4, X, Y, Z and A are as defined, follows Reaction scheme 3, and the process variants for the preparation of the novel intermediates of formula IIIa follow Reaction scheme 4.

Reaction scheme 1:

Route a):

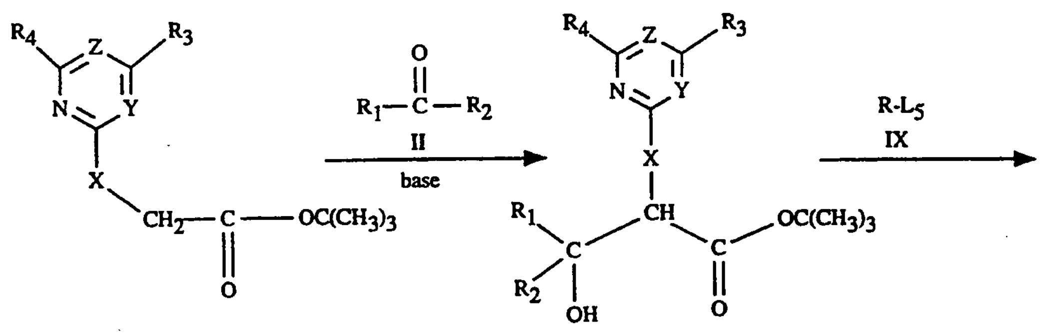


III Ib

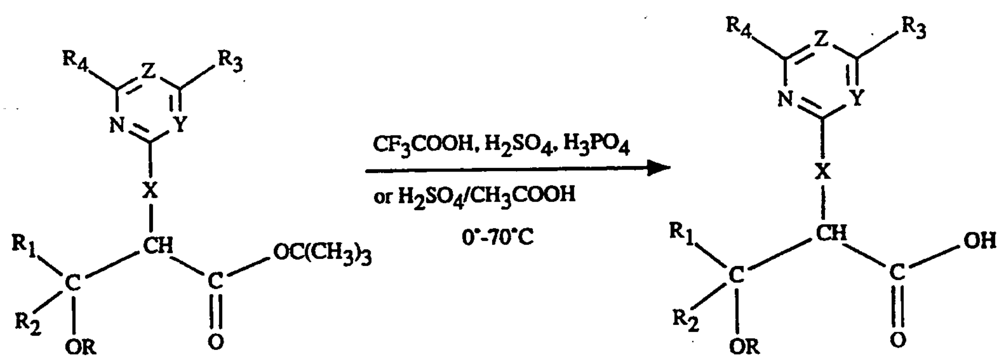


In Ia

Route b):



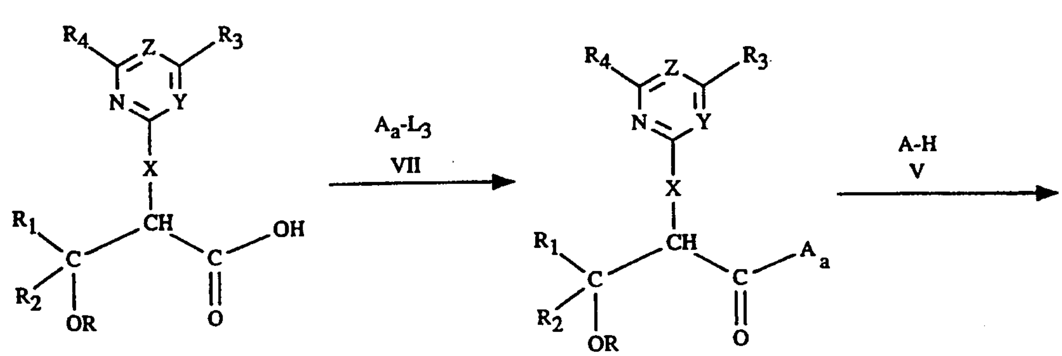
IIIa Ic



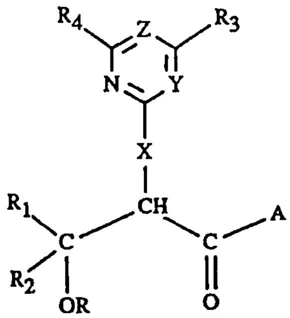
Io Ia or Iq (R=H)

<u>Reaction scheme 2:</u>

Route a):

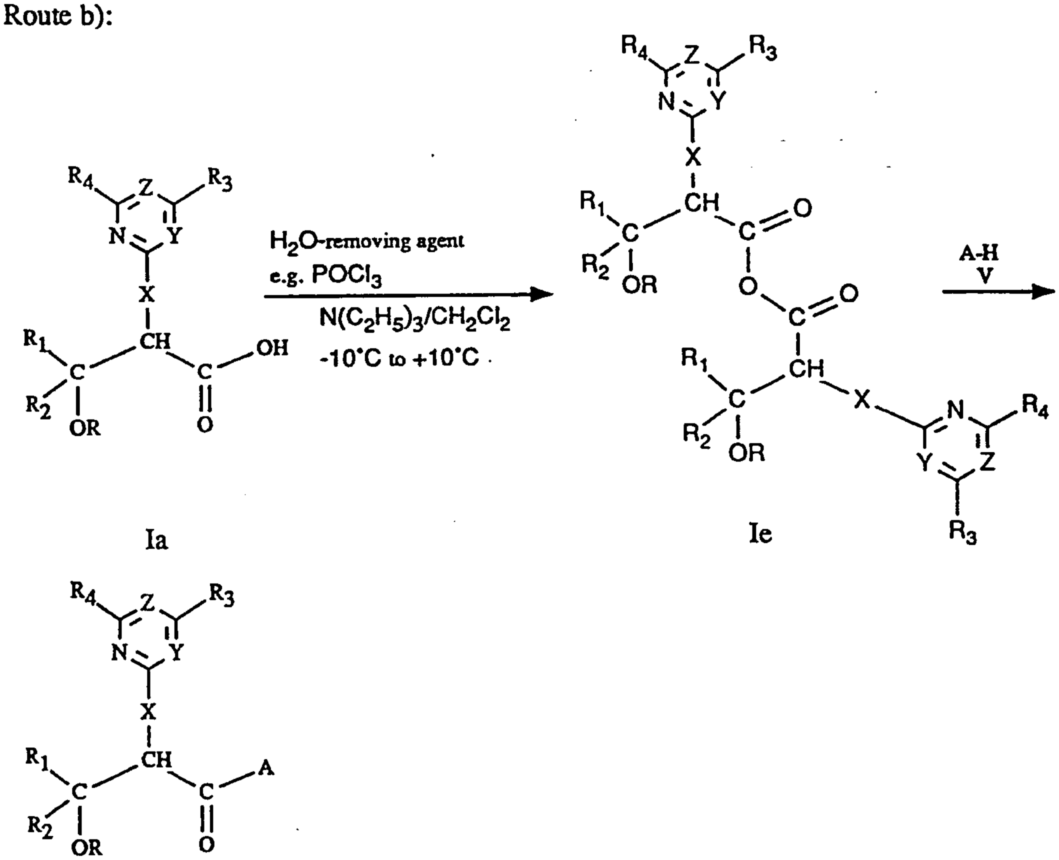


Ia Id



Im

Route b):

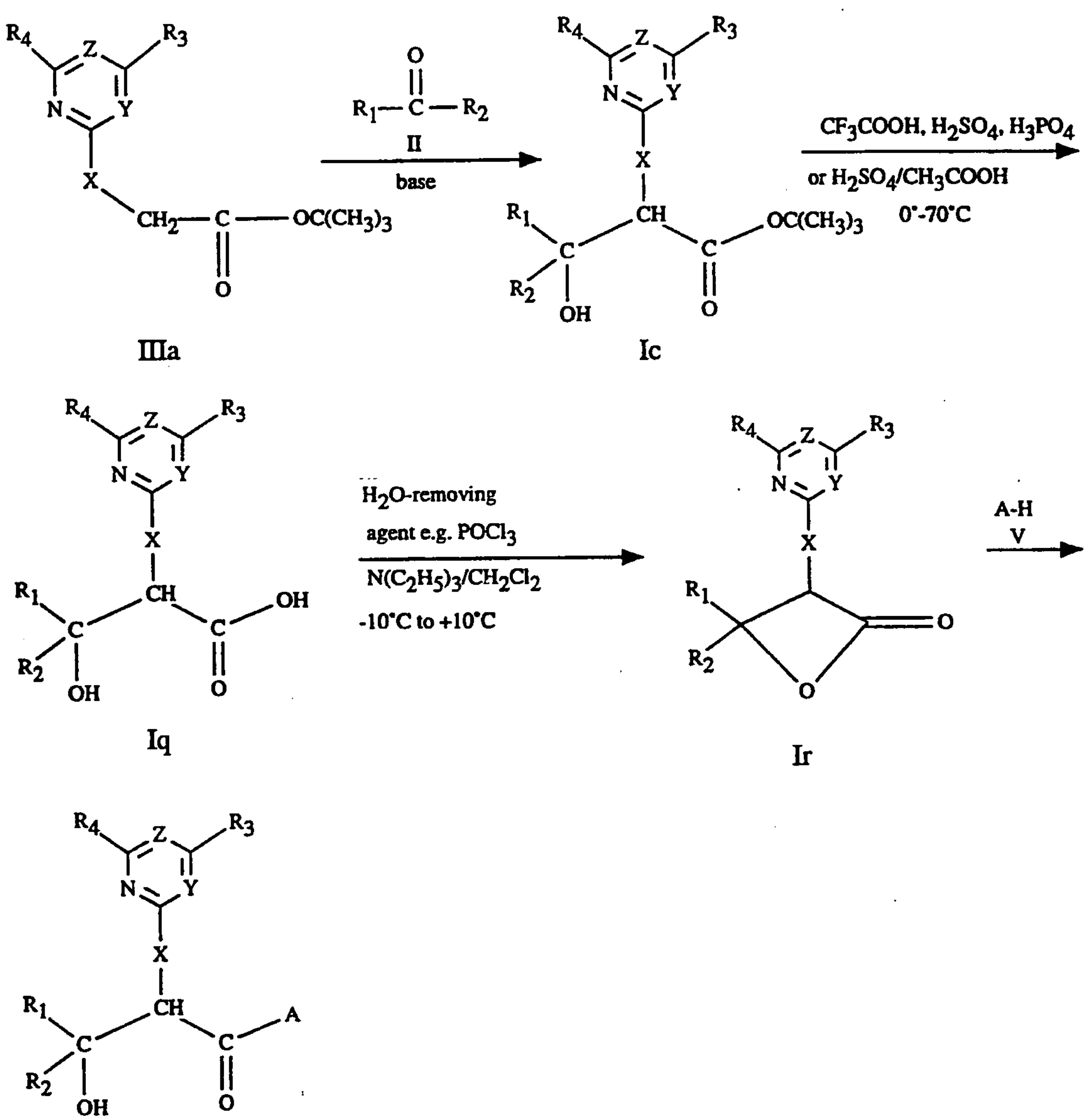


Ia

Ie

Im

Reaction scheme 3:



IIIa

Ic

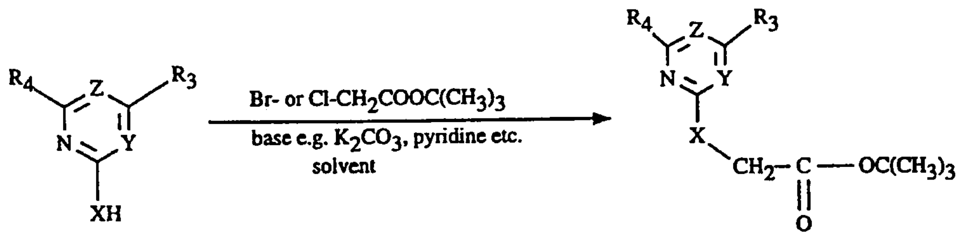
Iq

Ir

Is (or Im wherein R=H)

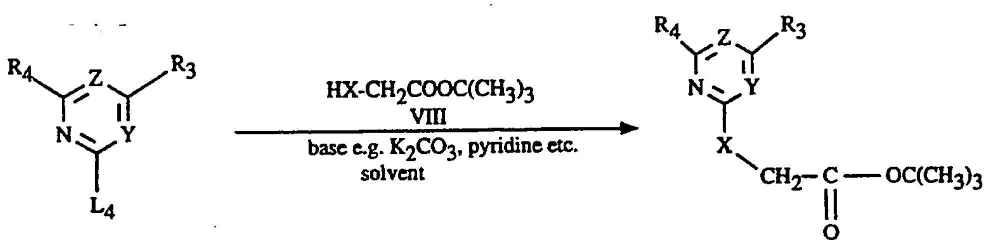
Reaction scheme 4:

Route a):



IV IIIa

Route b):



VI IIIa

The condensation reaction of the compounds of formulae III and IIIa with compounds of formula II in accordance with Reaction scheme 1 can advantageously be carried out in the presence of a strong base, such as lithium diisopropylamide, a potassium, sodium or lithium salt of hexamethyldisilazane, n-butyllithium, sec-butyllithium, tert-butyllithium or phenyllithium in hexane, heptane, diethoxymethane, isooctane, diethyl ether or tetrahydrofuran, especially with bis(trimethylsilyl)lithium amide in hexane and/or tetrahydrofuran, in accordance with processes known *per se* at temperatures of from -78°C to 0°C, preferably at temperatures of from -70°C to -50°C, in one of the solvents mentioned above and analogously to EP-A-0 409 368, EP-A-0 517 215, Japanese Patent 04 342 573 and J. Org. Chem. 54, 1543 (1989). There may be obtained an isomeric mixture of compounds of formula Ib or Ic or alternatively, depending upon the substituents R_1, R_2, X, R_{20} and $-OC(CH_3)_3$ and the reaction conditions used, a concentration of one or other isomeric form may be obtained preferentially. The isomeric mixture of compounds of formula Ib or Ic can be separated by known methods, for example by means of column chromatography or by fractional crystallisation with the aid of a suitable solvent.

Compounds of formula In or Io wherein R has the meaning given above, with the exception of hydrogen, can be prepared by reacting the intermediate of formula Ib or Ic with the corresponding electrophilic compound of formula IX in the presence of a base, such as sodium hydride, potassium hydride, lithium diisopropylamide, tetramethylethylenediamine, triethylamine, 4-dimethylaminopyridine or diisopropylethylamine, in the presence of a suitable solvent, such as the solvents indicated above, or N,N-dimethylformamide, N-methylpyrrolidone, acetonitrile, toluene, dimethyl sulfoxide or a mixture thereof. The reaction is carried out at from -50°C to the boiling temperature of the reaction mixture, preferably from 0°C to 80°C. Suitable alkylating agents of formula IX are, especially for the preparation of compounds of formula I wherein R is methyl or ethyl, dimethyl sulfate and diethyl sulfate.

Advantageously, the reaction of III to In or IIIa to Io can be carried out directly *in situ* without isolation of the intermediates of formula Ib or Ic, respectively. In that case, the lithium, sodium or potassium salt obtained as intermediate at temperatures of from -78°C to 0°C during the reaction of III to Ib or IIIa to Ic is combined directly at temperatures of from -50°C to 0°C with the electrophilic compound of formula IX and then, if necessary, the reaction mixture can be heated until the reaction is complete. The resulting isomeric mixture of formula In or Io can be separated by known methods, such as column chromatography or fractional crystallisation.

Compounds of formula In wherein R_{20} is C_1-C_6alkoxy, chloroethoxy, 2-trimethylsilylethoxy, 2-propenyloxy, benzyloxy or benzyloxy substituted by methoxy, can be converted analogously to known processes, such as those described, for example, in EP-A-0 347 811, EP-A-0 400 741, EP-A-0 409 368, EP-A-0 481 512 and EP-A-0 517 215, by hydrolysis or hydrogenolysis into the acids of formula Ia in accordance with Reaction scheme 1, Route a). Suitable hydrolysing agents are, for example, sodium and potassium hydroxide or sodium and potassium carbonate. Tris(triphenylphosphine)-rhodium(I) chloride (Wilkinson catalyst) is suitable as hydrolysing agent, for example, where R_{20} is 2-propenyloxy, and hydrogen in the presence of a palladium/carbon catalyst is suitable as hydrolysing agent where R_{20} is benzyloxy. Suitable solvents for the hydrolysis are, for example, water or mixtures of methanol/water, ethanol/water, tetrahydrofuran/water, diethoxymethane/water, dioxane/water or N,N-dimethylformamide/water. Suitable solvents for the hydrogenolysis are especially methanol, ethanol, ethyl acetate, acetic acid, trifluoroacetic acid, dioxane and water, and mixtures thereof.

Some of those known hydrolysis and hydrogenolysis processes, however, yield the acid of formula Ia, if at all, only in poor yields and with an insufficient degree of purity.

It has been found that hydrolysis of the compounds of formula Io (wherein R_{20} is $-OC(CH_3)_3$) produces the desired acid of formula Ia very readily in a good yield and with a high degree of purity with trifluoroacetic acid, phosphoric acid, sulfuric acid or a mixture of sulfuric acid and acetic acid and, where appropriate, in the presence of an additional solvent, such as dichloromethane, n-hexane, toluene or dioxane, in accordance with Reaction scheme 1, Route b). In that process it is advantageous to work with a slight excess of trifluoroacetic acid and without an additional solvent at mild temperatures of approx. from 0°C to 25°C or at slightly elevated temperatures of up to approx. 70°C. When trifluoroacetic acid is used as reaction medium, the excess trifluoroacetic acid can subsequently be evaporated off *in vacuo*.

Compounds of formula Im wherein A is $-OR_5$, $-SR_6$, cyanamino, hydroxy, C_1-C_6alkoxy-amino, C_1-C_3alkoxy(C_1-C_3alkyl)amino or a group A_1 to A_4 can be prepared, for example, by reacting an acid of formula Ia with a chlorinating agent A_a-L_3 (VII), such as phosphorus oxychloride, thionyl chloride, oxalyl chloride or phosgene, phosphorus penta-chloride or phosphorus oxybromide, especially phosphorus oxychloride, in the presence of a base, such as triethylamine, N,N-dimethylaniline or pyridine, and where appropriate in a solvent, such as a hydrocarbon, for example toluene, a chlorinated hydrocarbon, for example methylene chloride, or an ether, for example tetrahydrofuran, in a temperature range of from -20°C to the reflux temperature of the reaction mixture, preferably at from -5°C to 25°C, to form a compound of formula Id wherein A_a is chlorine or bromine, and reacting the corresponding acid chloride (wherein A_a is chlorine), also without isolation, directly with the corresponding nucleophilic compound of formula V, where appropriate in the presence of an additional base, especially a tertiary amine, such as triethylamine, N,N-dimethylaniline, 1,8-diazabicyclo[5.4.0]undec-7-ene, imidazole, pyridine or 2,5-di-methylpyridine, in accordance with Reaction scheme 2. The base can be used in a catalytic amount or in a stoichiometric amount or in excess, preferably in a stoichiometric amount or a slight excess. It is also possible to use as the base a slight excess, such as 2 equival-ents, of the substrate of formula V used.

The reaction is preferably carried out also in the presence of a suitable solvent, for example a hydrocarbon, such as toluene; a halogenated hydrocarbon, such as dichloro-

methane, 1,2-dichloroethane or chlorobenzene; an ether, such as diethyl ether, diethoxy-methane or tert-butyl methyl ether; an ester, such as ethyl acetate; an aprotic solvent, such as acetonitrile; a protic solvent, such as ethanol or water; or a two-phase system, such as a mixture of dichloromethane/water, toluene/water, ethyl acetate/water or tert-butyl methyl ether/water. The reaction temperatures may be varied within a wide range of approximately from -40°C to the boiling temperature of the solvent used. The reaction is preferably carried out, however, at temperatures of from -20°C to approx. +30°C, especially from -10°C to +10°C. The reaction times may, however, vary widely according to the temperature of the reaction mixture and the base used.

Compounds of formula Id wherein A_a is a leaving group, such as 2,4,6-triisopropyl-phenyl-sulfonyl, imidazolyl, triazolyl, 2-thiono-thiazolidin-3-yl or N,N'-dicyclohexyl-iso-ureidyl, can likewise be prepared from compounds of formula Ia in accordance with known conversion processes using 1-(2,4,6-triisopropylphenyl-sulfonyl)-imidazole as described in Tetrahedron Lett. 1973, 1353, using 1-(2,4,6-triisopropylphenyl-sulfonyl)-1H-1,2,4-triazole as described in Chem. Commun. 1974, 325, using 1,1'-carbonyl-diimid-azole or 1,1'-carbonyl-di(1,2,4-triazole) as described in Angew. Chem. 74, 407 (1962), using thiazolidine-2-thione as described in Tetrahedron Lett. 21, 841 (1980), or using dicyclohexylcarbodiimide. In those cases also, the intermediates of formula Id can be reacted directly with the nucleophilic compound of formula V without being isolated.

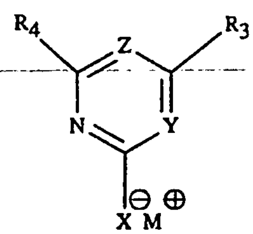
It has now been found that the hydrolysis of the compounds of formula Ic (wherein R_{20} is $-OC(CH_3)_3$) produces the desired acid of formula Iq very readily in a good yield and with a high degree of purity with trifluoroacetic acid, sulfuric acid, phosphoric acid or a mixture of sulfuric acid and acetic acid, where appropriate in the presence of an additional solvent, such as dichloromethane, n-hexane, toluene or dioxane, in accordance with Reaction scheme 3. In that process it is advantageous to work with a slight excess of trifluoroacetic acid and without an additional solvent at mild temperatures of approx. from 0°C to 25°C or at slightly elevated temperatures of up to approx. 70°C. When trifluoroacetic acid is used as reaction medium, the excess trifluoroacetic acid is subsequently evaporated off *in vacuo*.

The acid of formula Iq is then converted using from 0.50 to approx. 2 equivalents, preferably approx. 1 equivalent, of a water-removing agent, such as phosphorus oxychloride, and a slight excess of from 2.0 to 3.0 equivalents of triethylamine, into the corresponding oxetanone of formula Ir in accordance with Reaction scheme 3 and then reacted with the

corresponding nucleophilic compound of formula V as described for Reaction scheme 2. The novel compounds of formula Ir can either be isolated or, if desired, converted directly into the compounds of formula Is or, in the case of hydrolysis, into the compounds of formula Iq.

The novel compounds of formula IIIa can be prepared analogously to known processes, for example by

a) reacting a hydroxy- or mercapto-pyrimidine or -triazine of formula IV, or a corresponding salt of formula IVa, which may be prepared *in situ*,



(IVa),

wherein $M^{\oplus}$ is a cation, such as a sodium, calcium, lithium, magnesium, dimethylammonium or triethylammonium ion, with bromo- or chloro-acetic acid tert-butyl ester in the presence of a base, such as sodium hydrogen carbonate, potassium carbonate, sodium hydride, triethylamine or pyridine, in a suitable solvent, such as acetone, acetonitrile, tetrahydrofuran, ethyl acetate, methyl Cellosolve, dimethoxyethane, toluene, N-methylpyrrolidone, N,N-dimethylformamide, methanol, water or a suitable mixture of the mentioned solvents, in accordance with Reaction scheme 4, Route a); or

b) reacting a corresponding fluoro- or chloro-pyrimidine or -triazine or methyl- or benzylsulfonylpyrimidine of formula VI under the reaction conditions mentioned under a) with hydroxy- or mercapto-acetic acid tert-butyl ester (VIII) in accordance with Reaction scheme 4, Route b).

In particular, compounds of formula III wherein X is sulfur can advantageously be prepared by first converting a compound of formula VI wherein L_4 is methylsulfonyl with sodium hydrogen sulfide into the compound of formula IVa, which is then reacted *in situ* with bromo- or chloro-acetic acid tert-butyl ester.

For the preparation of compounds of formula III wherein X is oxygen, it has proved advantageous to use bromoacetic acid tert-butyl ester and, where appropriate, to carry out the reaction in the presence of iodide ions. In addition, both in process a) and in process b), the addition of crown ethers can accelerate the reaction.

Compounds of formulae IV, V, VI, VII, VIII and IX wherein R, R_3, R_4, X, Y, Z, A, A_a, L_3, L_4 and L_5 are as defined above are known and can be prepared in accordance with processes known in the literature.

(Per-)haloketones of formula II wherein R_1 and R_2 are as defined above are for the most part known or can be prepared in accordance with known processes, for example analogously to Houben-Weyl 1977, Vol. VII/2c, 2145-2170.

For the use according to the invention of the compounds of formula I, or compositions comprising them, there come into consideration all the methods of application customary in agriculture, such as preemergence application, postemergence application and seed dressing, as well as various methods and techniques, such as the controlled release of active ingredient. For that purpose a solution of the active ingredient is applied to mineral granule carriers or polymerised granules (urea/formaldehyde) and dried. If required, it is also possible to apply a coating (coated granules) which allows the active ingredient to be released in metered amounts over a specific period of time.

The compounds of formula I can be used in unmodified form, i.e. as obtained during synthesis, but are preferably formulated in customary manner together with the adjuvants conventionally employed in formulation technology, e.g. into emulsifiable concentrates, directly sprayable or dilutable solutions, dilute emulsions, wettable powders, soluble powders, dusts, granules or microcapsules. As with the nature of the compositions, the methods of application, such as spraying, atomising, dusting, wetting, scattering or pouring, are chosen in accordance with the intended objectives and the prevailing circumstances.

The formulations, i.e. the compositions, preparations or mixtures comprising the compound (active ingredient) of formula I or at least one compound of formula I and, where appropriate, one or more solid or liquid formulation adjuvants, are prepared in known manner, e.g. by homogeneously mixing and/or grinding the active ingredients with the adjuvants, e.g. solvents or solid carriers. Surface-active compounds (surfactants) may

additionally be used in the preparation of the formulations.

Suitable solvents are: aromatic hydrocarbons, preferably the fractions containing 8 to 12 carbon atoms, such as mixtures of alkylbenzenes, e.g. xylene mixtures or alkylated naphthalenes; aliphatic and cycloaliphatic hydrocarbons such as paraffins, cyclohexane or tetrahydronaphthalene; alcohols, such as ethanol, propanol or butanol; glycols and their ethers and esters, such as propylene glycol or dipropylene glycol ether, ketones such as cyclohexanone, isophorone or diacetone alcohol, strongly polar solvents such as N-methyl-2-pyrrolidone, dimethyl sulfoxide or water; vegetable oils and their esters, such as rape oil, castor oil or soybean oil; and optionally also silicone oils.

The solid carriers used e.g. for dusts and dispersible powders are normally natural mineral fillers, such as calcite, talcum, kaolin, montmorillonite or attapulgite. In order to improve the physical properties it is also possible to add highly dispersed silicic acid or highly dispersed absorbent polymers. Suitable granulated adsorptive carriers are porous types, for example pumice, broken brick, sepiolite or bentonite; and suitable non-sorbent carriers are, for example, calcite or sand. In addition, a great number of pregranulated materials of inorganic or organic nature can be used, such as especially dolomite or pulverised plant residues.

Depending on the nature of the compound of formula I to be formulated, suitable surface-active compounds are non-ionic, cationic and/or anionic surfactants having good emulsifying, dispersing and wetting properties. The term "surfactants" will also be understood as comprising mixtures of surfactants.

Both so-called water-soluble soaps and water-soluble synthetic surface-active compounds are suitable anionic surfactants.

Suitable soaps are the alkali metal salts, alkaline earth metal salts or unsubstituted or substituted ammonium salts of higher fatty acids (C_{10}-C_{22}), e.g. the sodium or potassium salts of oleic or stearic acid, or of natural fatty acid mixtures which can be obtained e.g. from coconut oil or tallow oil; mention may also be made of fatty acid methyltaurin salts.

More frequently, however, so-called synthetic surfactants are used, especially fatty alcohol sulfonates, fatty alcohol sulfates, sulfonated benzimidazole derivatives or alkylarylsulfonates.

The fatty alcohol sulfonates or sulfates are usually in the form of alkali metal salts, alkaline earth metal salts or unsubstituted or substituted ammonium salts and contain a C_8-C_{22}alkyl radical, which also includes the alkyl moiety of acyl radicals, for example the sodium or calcium salt of lignosulfonic acid, of dodecyl sulfate or of a mixture of fatty alcohol sulfates obtained from natural fatty acids. These compounds also comprise the salts of sulfated and sulfonated fatty alcohol/ethylene oxide adducts. The sulfonated benz-imidazole derivatives preferably contain 2 sulfonic acid groups and one fatty acid radical containing 8 to 22 carbon atoms. Examples of alkylarylsulfonates are the sodium, calcium or triethanolamine salts of dodecylbenzenesulfonic acid, dibutylnaphthalenesulfonic acid or of a condensate of naphthalenesulfonic acid and formaldehyde.

Also suitable are corresponding phosphates, e.g. salts of the phosphoric acid ester of an adduct of p-nonylphenol with 4 to 14 mol of ethylene oxide, or phospholipids.

Non-ionic surfactants are preferably polyglycol ether derivatives of aliphatic or cyclo-aliphatic alcohols, saturated or unsaturated fatty acids and alkylphenols, it being possible for said derivatives to contain 3 to 30 glycol ether groups and 8 to 20 carbon atoms in the (aliphatic) hydrocarbon moiety and 6 to 18 carbon atoms in the alkyl moiety of the alkyl-phenols.

Further suitable non-ionic surfactants are water-soluble adducts of polyethylene oxide with polypropylene glycol, ethylenediaminopolypropylene glycol and alkylpolypropylene glycol containing 1 to 10 carbon atoms in the alkyl chain, which adducts contain 20 to 250 ethylene glycol ether groups and 10 to 100 propylene glycol ether groups. These compounds usually contain 1 to 5 ethylene glycol units per propylene glycol unit.

Representative examples of non-ionic surfactants are nonylphenol polyethoxyethanols, castor oil polyglycol ethers, polypropylene/polyethylene oxide adducts, tributylphenoxy-polyethoxyethanol, polyethylene glycol and octylphenoxypolyethoxyethanol.

Fatty acid esters of polyoxyethylene sorbitan, e.g. polyoxyethylene sorbitan trioleate, are also suitable non-ionic surfactants.

Cationic surfactants are preferably quaternary ammonium salts which contain, as N-substituent, at least one C_8-C_{22}alkyl radical and, as further substituents, unsubstituted or

halogenated lower alkyl, benzyl or hydroxy-lower alkyl radicals. The salts are preferably in the form of halides, methyl sulfates or ethyl sulfates, for example stearyltrimethylammonium chloride or benzyldi(2-chloroethyl)ethylammonium bromide.

The surfactants customarily employed in formulation technology, which can also be used in the compositions according to the invention, are described *inter alia* in the following publications:

- "Mc Cutcheon's Detergents and Emulsifiers Annual", Mc Publishing Corp., Glen Rock, New Jersey, 1988.
- M. and J. Ash, "Encyclopedia of Surfactants", Vol. I-III, Chemical Publishing Co., New York, 1980-1981.
- Dr. Helmut Stache "Tensid-Taschenbuch" (Surfactant Handbook), Carl Hanser Verlag, Munich/Vienna 1981.

The herbicidal compositions usually comprise 0.1 to 99 %, preferably 0.1 to 95 %, of a compound of formula I, 1 to 99 % of a solid or liquid adjuvant, and 0 to 25 %, preferably 0.1 to 25 %, of a surfactant.

Whereas commercial products are preferably formulated as concentrates, the end user will normally employ dilute formulations.

The compositions may also comprise further ingredients such as stabilisers, e.g. vegetable oils and epoxidised vegetable oils (epoxidised coconut oil, rape oil or soybean oil), antifoams, e.g. silicone oil, preservatives, viscosity regulators, binders and tackifiers, as well as fertilisers or other active ingredients for obtaining special effects.

Preferred formulations have especially the following composition (throughout, percentages are by weight)

<u>Emulsifiable concentrates:</u>

active ingredient:	1 to 90%, preferably 5 to 50%
surfactant:	5 to 30%, preferably 10 to 20%
solvent:	15 to 94%, preferably 70 to 85%

Dusts:

active ingredient:	0.1 to 50%, preferably 0.1 to 1%
solid carrier:	99.9 to 90%, preferably 99.9 to 99%

Suspension concentrates:

active ingredient:	5 to 75%, preferably 10 to 50%
water:	94 to 24%, preferably 88 to 30%
surfactant:	1 to 40%, preferably 2 to 30%

Wettable powders:

active ingredient:	0.5 to 90%, preferably 1 to 80%
surfactant:	0.5 to 20%, preferably 1 to 15%
solid carrier:	5 to 95%, preferably 15 to 90%

Granules:

active ingredient:	0.1 to 30%, preferably 0.1 to 15%
solid carrier:	99.5 to 70%, preferably 97 to 85%

The compounds of formula I are generally used successfully at rates of application of from 0.001 to 2 kg/ha, especially from 0.005 to 1 kg/ha. The concentration required to achieve the desired effect can be determined by experiment. It is dependent upon the type of action, the stage of development of the crop plant and of the weed, and also upon the application (place, time, method) and, in dependence on those parameters, can vary within wide limits.

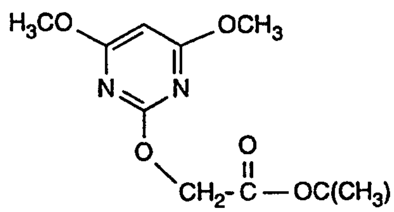
The compounds of formula I are distinguished by growth-inhibiting and herbicidal properties that make them outstandingly suitable for use in crops of useful plants, especially in cereals, cotton, soybeans, rape, maize and rice.

Crops are also to be understood as being those which have been rendered tolerant to herbicides or classes of herbicide by conventional methods of breeding or by genetic techniques.

The Examples that follow further illustrate, but do not limit, the invention.

Preparation examples:

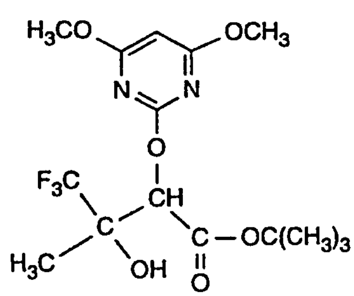
Example P1: Preparation of 2-[(4,6-dimethoxy-pyrimidin-2-yl)-oxy]-acetic acid tert-butyl ester (intermediate)



(9.002)

A mixture of 66.0 g of 4,6-dimethoxy-2-hydroxypyrimidine, 64.0 g of bromoacetic acid tert-butyl ester, 51.0 g of potassium carbonate, 0.5 g of potassium iodide and 0.5 g of 18-crown-6 in 300 ml of dimethylformamide is thoroughly stirred for 80 minutes at 50°C. When the reaction mixture has cooled, it is taken up in diethyl ether and washed 3 times with water and the organic phase is dried over magnesium sulfate. The diethyl ether solution is filtered off and concentrated by evaporation and the residue is dried under a high vacuum. Subsequent distillation in a bulb tube at 125°C/0.2 torr yields the desired product, 2-[(4,6-dimethoxy-pyrimidin-2-yl)-oxy]-acetic acid tert-butyl ester; m.p.: 63-64.5°C.

Example P2: Preparation of 2-[(4,6-dimethoxy-pyrimidin-2-yl)-oxy]-3-hydroxy-3-trifluoromethylbutyric acid tert-butyl ester



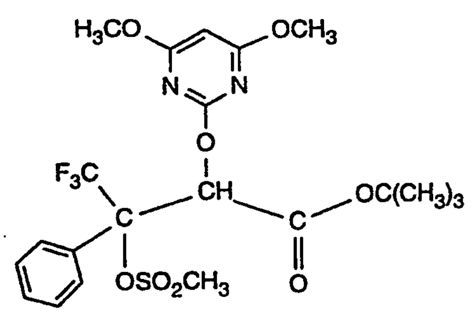
(8.005 and 8.011)

At -78°C (acetone/dry ice bath), 40 ml of a 1.5 molar solution of the lithium diisopropylamide•mono-tetrahydrofuran complex in cyclohexane is placed in a reaction vessel. Then, with thorough stirring, a solution of 15.4 g of 2-[(4,6-dimethoxy-pyrimidin-2-yl)-oxy]-acetic acid tert-butyl ester (Example P1) in 20 ml of tetrahydrofuran is added. After

45 minutes, at a temperature below -70°C 7.5 ml of trifluoroacetone are added dropwise and the reaction mixture is then stirred for 10 minutes at -70°C and for 10 minutes at -50°C and then allowed to warm to 0°C. 100 ml of 5 % aqueous ammonium chloride solution are added to that reaction mixture which is then extracted by shaking with diethyl ether. The organic phase is separated off, washed with dilute hydrochloric acid solution and sodium chloride solution and then concentrated by evaporation. By means of crystallisation from ethyl acetate/hexane 1/30, isomer I can be obtained in the form of white crystals having a melting point of 135-137°C. ^{1}H-NMR (300 MHz, $CDCl_3$): 5.77 ppm (s, 1H), 5.30 ppm (s, 1H), 3.95 ppm (s, 6H), 3.72 ppm (s, 1H), 1.62 ppm (s, 3H), 1.41 ppm (s, 9H). From the mother liquor consisting of an approx. 1:7 mixture of isomer I and isomer II there is obtained by means of column chromatography (eluant diethyl ether/-hexane = 1/4) the pure isomer II of the desired 2-[(4,6-dimethoxy-pyrimidin-2-yl)-oxy]-3-hydroxy-3-trifluoromethylbutyric acid tert-butyl ester having a melting point of 69-70°C.

^{1}H-NMR (300 MHz, $CDCl_3$): 5.77 ppm (s, 1H), 5.22 ppm (s, 1H), 3.95 ppm (s, 6H), 3.68 ppm (s, 1H), 1.54 ppm (s, 3H), 1.40 ppm (s, 9H).

Example P3: Preparation of 2-[(4,6-dimethoxy-pyrimidin-2-yl)-oxy]-3-mesyloxy-3-phenyl-3-trifluoromethyl-propionic acid tert-butyl ester



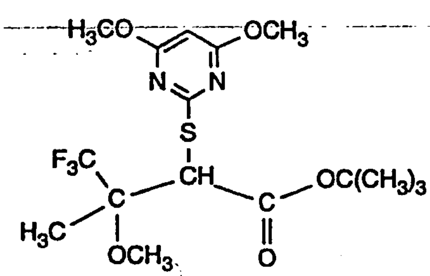
(6.011 and 6.012)

7.0 g of the isomeric mixture of 2-[(4,6-dimethoxy-pyrimidin-2-yl)-oxy]-3-hydroxy-3-phenyl-3-trifluoromethyl-propionic acid tert-butyl ester (Comp. No. 8.006) are heated at reflux temperature in the presence of 6.4 g of triethylamine and 0.24 g of diazabicyclo-undecene (DBU) with 3.6 g of methanesulfonic acid chloride in 20 ml of toluene. After 5 hours, the reaction mixture is washed once each with aqueous sodium hydrogen carbonate solution, dilute hydrochloric acid and saturated sodium chloride solution and concentrated by evaporation using a Rotovap. The resulting crude product is separated by chromatography on silica gel (eluant diethyl ether/hexane 3/7). There is obtained as first

fraction isomer I of 2-[(4,6-dimethoxy-pyrimidin-2-yl)-oxy]-3-mesyloxy-3-phenyl-3-trifluoromethyl-propionic acid tert-butyl ester: ^{1}H-NMR (300 MHz, $CDCl_3$): 7.94 ppm (m, 2H), 7.45 ppm (m, 3H), 6.81 ppm (s, 1H), 5.78 ppm (s, 1H), 3.93 ppm (s, 6H), 3.40 ppm (s, 3H), 1.18 ppm (s, 9H).

There is obtained as second fraction isomer II of 2-[(4,6-dimethoxy-pyrimidin-2-yl)-oxy]-3-mesyloxy-3-phenyl-3-trifluoromethyl-propionic acid tert-butyl ester: ^{1}H-NMR (300 MHz, $CDCl_3$): 7.75 ppm (m, 2H), 7.47 ppm (m, 3H), 6.20 ppm (s, 1H), 5.78 ppm (s, 1H), 3.91 ppm (s, 6H), 3.34 ppm (s, 3H), 1.28 ppm (s, 9H).

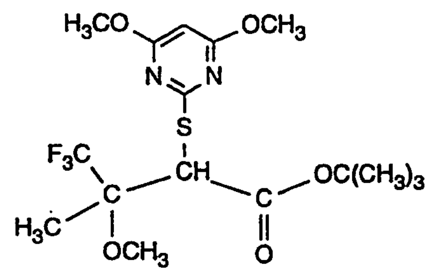
Example P4: Preparation of 2-[(4,6-dimethoxy-pyrimidin-2-yl)-thio]-3-methoxy-3-trifluoromethyl-butyric acid tert-butyl ester



(6.001)

3.0 g of 2-[(4,6-dimethoxy-pyrimidin-2-yl)-thio]-3-hydroxy-3-trifluoromethyl-butyric acid tert-butyl ester (Comp. No. 8.001) are added at 0°C to 0.32 g of a 60 % dispersion of sodium hydride in oil and the mixture is then stirred for 5 minutes at 25°C; 1.32 ml of methyl iodide are added thereto and the reaction mixture is heated slowly to 40°C. The reaction mixture is then extracted with ethyl acetate and the organic phases are combined, washed with dilute hydrochloric acid and saturated sodium chloride solution, dried over magnesium sulfate and concentrated by evaporation using a Rotovap. The residue that remains is filtered over silica gel. There is obtained as pure isomer I 2-[(4,6-dimethoxy-pyrimidin-2-yl)-thio]-3-methoxy-3-trifluoromethyl-butyric acid tert-butyl ester: ^{1}H-NMR (300 MHz, $CDCl_3$): 5.78 ppm (s, 1H), 5.24 ppm (s, 1H), 3.94 ppm (s, 6H), 3.50 ppm (s, 3H), 1.45 ppm (s, 9H).

Example P5: Preparation of 2-[(4,6-dimethoxy-pyrimidin-2-yl)-thio]-3-methoxy-3-trifluoromethyl-butyric acid tert-butyl ester (*in situ* method)

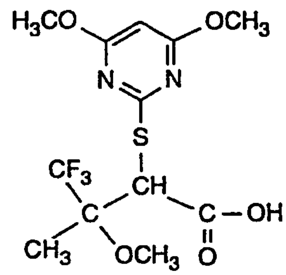


(6.001 and 6.002)

26.0 g of 2-[4,6-dimethoxy-pyrimidin-2-yl)-thio]-acetic acid tert-butyl ester (Comp. No. 9.001, Example P7) are added in 40 ml of absolute tetrahydrofuran at a temperature below -73°C to a prepared solution of 16.7 g of lithium bis(trimethylsilyl)amide in 100 ml of hexane and 40 ml of absolute tetrahydrofuran. When the addition is complete, the reaction mixture is stirred for 20 minutes and then, at a temperature below -70°C, 11.5 ml of 1,1,1-trifluoromethylacetone are added. The temperature of the reaction mixture is allowed to rise slowly to room temperature and then 8.7 ml of dimethyl sulfate are added. That reaction mixture is then heated at the reflux temperature for 3 hours (internal temperature of reaction vessel 60°C). The reaction mixture is cooled, taken up in diethyl ether, washed in succession with water, sodium hydrogen carbonate solution, dilute hydrochloric acid and saturated sodium chloride solution, dried over magnesium sulfate and concentrated by evaporation *in vacuo*. The residue that remains is purified on silica gel with 5-10 % diethyl ether in hexane as eluant. There is obtained as first fraction 2-[(4,6-dimethoxy-pyrimidin-2-yl)-thio]-3-methoxy-3-trifluoromethyl-butyric acid tert-butyl ester in the form of an isomeric mixture in a ratio of 84/16. ^{1}H-NMR (300 MHz, $CDCl_3$): 5.78 and 5.76 ppm (2s, 1H), 5.24 and 5.16 ppm (2s, 1H), 3.94 ppm (s, 6H), 3.50 and 3.45 ppm (2s, 3H), 1.71 and 1.62 ppm (2s, 3H), 1.45 and 1.43 ppm (2s, 9H).

Further elution with 10-20% diethyl ether in hexane yields as further extracts the isomers of 2-[4,6-dimethoxy-pyrimidin-2-yl)-thio]-3-hydroxy-3-trifluoromethyl-butyric acid tert-butyl ester (Comp. No. 8.001 and 8.002); ^{1}H-NMR (300 MHz, $CDCl_3$): isomer I: 5.80 ppm (s, 1H), 5.23 ppm (s, 1H), 5.04 ppm (s, 1H), 3.95 ppm (s, 6H), 1.48 ppm (s, 12H); isomer II: 5.84 ppm (s, 1H), 5.66 ppm (s, 1H), 4.71 ppm (s, 1H), 3.95 ppm (s, 6H), 1.58 ppm (s, 3H), 1.46 ppm (s, 9H).

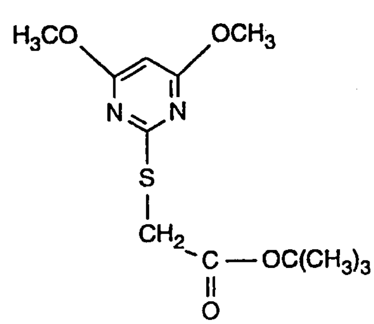
Example P6: Preparation of 2-[(4,6-dimethoxy-pyrimidin-2-yl)-thio]-3-methoxy-3-trifluoromethyl-butyric acid



(1.001 and 1.002)

12.1 g of an isomeric mixture of 2-[(4,6-dimethoxy-pyrimidin-2-yl)-thio]-3-methoxy-3-trifluoromethyl-butyric acid tert-butyl ester (Example P5) are left to stand for 3 hours in 20 ml of trifluoroacetic acid at room temperature. The reaction mixture is then concentrated by evaporation *in vacuo* and, for purification, taken up in diethyl ether and extracted with ice-cold sodium hydroxide solution. The aqueous phase is adjusted to pH 3 and the product is extracted with ethyl acetate. The organic phase is washed with saturated sodium chloride solution and then dried over sodium sulfate and concentrated by evaporation *in vacuo*. There is obtained by means of crystallisation from chloroform/hexane 1/5, in the form of white crystals, an 88/12 isomeric mixture of 2-[(4,6-dimethoxy-pyrimidin-2-yl)-thio]-3-methoxy-3-trifluoromethyl-butyric acid having a melting point of 124.5-125.5°C; ^{1}H-NMR (300 MHz, $CDCl_3$): isomer I: 5.81 ppm (s, 1H), 5.22 ppm (s, 1H), 3.94 ppm (s, 6H), 3.52 ppm (s, 3H), 1.73 ppm (s, 3H); isomer II: 5.78 ppm (s, 1H), 5.08 ppm (s, 1H), 3.92 ppm (s, 6H), 3.48 ppm (s, 3H), 1.66 ppm (s, 3H).

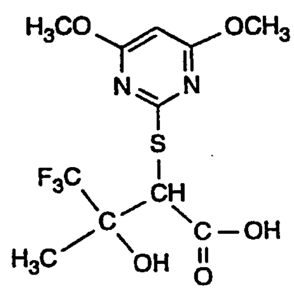
Example P7: Preparation of 2-[(4,6-dimethoxy-pyrimidin-2-yl)-thio]-acetic acid tert-butyl ester (intermediate)



(9.001)

58.5 g of 95 % sodium hydrogen sulfide•monohydrate and 76.4 g of 4,6-dimethoxy-pyrimidine-2-methylsulfone in a mixture of 350 ml of tetrahydrofuran and 500 ml of methanol are heated with vigorous stirring for 25 minutes at 60°C. The reaction mixture is then cooled to room temperature and 78.0 g of bromoacetic acid tert-butyl ester are added dropwise thereto. After brief heating at 45°C, most of the solvent is distilled off and the residue is taken up in diethyl ether. The organic phase is washed with dilute sodium hydroxide solution and then with sodium chloride solution and evaporated. Vacuum distillation at 130°C/$1x10^{-2}$ torr yields the desired product, 2-[(4,6-dimethoxy-pyrimidin-2-yl)-thio]-acetic acid tert-butyl ester, in the form of a slightly yellowish liquid which changes to a wax-like state when left to stand.

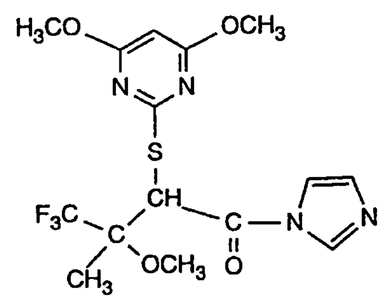
Example P8: Preparation of 2-[(4,6-dimethoxy-pyrimidin-2-yl)-thio]-3-hydroxy-3-trifluoromethylbutyric acid



(1.089)

1.2 g of 2-[(4,6-dimethoxy-pyrimidin-2-yl)-thio]-3-hydroxy-3-trifluoromethylbutyric acid tert-butyl ester (Compound No. 8.002) are left to stand for 2 hours in 3 ml of trifluoro-acetic acid at room temperature. The reaction mixture is then concentrated by evaporation *in vacuo*, the residue is dissolved in diethyl ether and extraction is carried out with dilute sodium hydroxide solution. The alkaline/aqueous phase is separated off, adjusted to pH 2.5 and extracted again with diethyl ether. The organic phase is concentrated by evaporation and the desired product, 2-[(4,6-dimethoxy-pyrimidin-2-yl)-thio]-3-hydroxy-3-trifluoromethylbutyric acid, is obtained in the form of crystals; m.p.: 123-124°C.

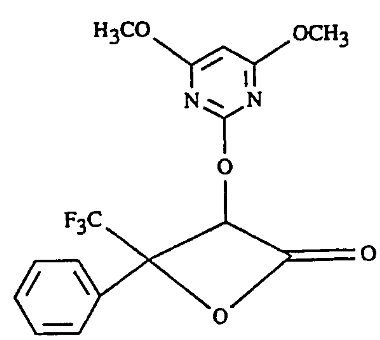
Example P9: Preparation of 2-[(4,6-dimethoxy-pyrimidin-2-yl)-thio]-3-methoxy-3-trifluoromethyl-butyric acid imidazolide



(3.001)

3.75 g of 1,1-carbonyldiimidazole are placed in 22 ml of dichloromethane. At a temperature below 5°C, 6.53 g of the isomeric mixture of 2-[(4,6-dimethoxy-pyrimidin-2-yl)-thio]-3-methoxy-3-trifluoromethyl-butyric acid (Example P6) dissolved in 12 ml of dimethylformamide are added dropwise thereto. The mixture is heated to room temperature and then stirred for 1 hour and the resulting reaction mixture is extracted with dichloromethane, washed with ice-cold 5 % sodium chloride solution, dried over magnesium sulfate and concentrated by evaporation *in vacuo*. The resulting oily product is the isomeric mixture of 2-[(4,6-dimethoxy-pyrimidin-2-yl)-thio]-3-methoxy-3-trifluoromethyl-butyric acid imidazolide; ^{1}H-NMR (300 MHz, $CDCl_3$): 8.42 and 8.35 ppm (1H), 7.64 and 7.61 ppm (1H), 7.10 and 7.08 ppm (1H), 5.92 and 5.85 ppm (2s, 1H), 5.81 and 5.80 ppm (2s, 1H), 3.90 and 3.86 ppm (2s, 6H), 3.48 and 3.38 ppm (2s, 3H), 1.84 and 1.75 ppm (2s, 3H).

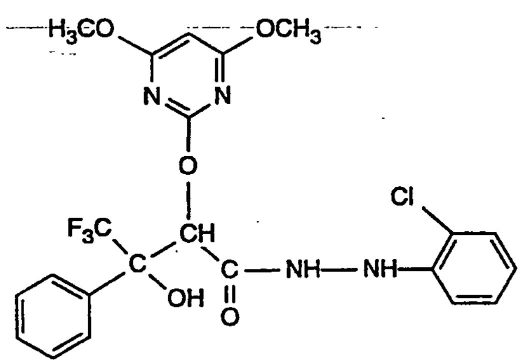
Example P10: Preparation of the isomers of 2-[(4,6-dimethoxy-pyrimidin-2-yl)-oxy]-3-phenyl-3-trifluoromethyl-propiolactone



(7.004)

3.0 g of the isomeric mixture of 2-[(4,6-dimethoxy-pyrimidin-2-yl)-oxy]-3-hydroxy-3-phenyl-3-trifluoromethyl-propionic acid (Compound Nos. 1.092 and 1.093) are dissolved in 30 ml of dichloromethane and at -10°C first 2.7 ml of triethylamine and then 0.38 ml of phosphorus oxychloride are added and the mixture is stirred for 10 minutes at -5°C. The resulting reaction mixture is washed twice with a small amount of ice-cold water and the organic phase is separated off, dried and concentrated by evaporation. The resulting amorphous residue is an isomeric mixture of 2-[(4,6-dimethoxy-pyrimidin-2-yl)-oxy]-3-phenyl-3-trifluoromethylpropiolactone; m.p. 100-106°C.

Example P11: Preparation of the isomers of 2-[(4,6-dimethoxy-pyrimidin-2-yl)-oxy]-3-hydroxy-3-phenyl-3-trifluoromethyl-propionic acid 2-chlorophenyl hydrazide



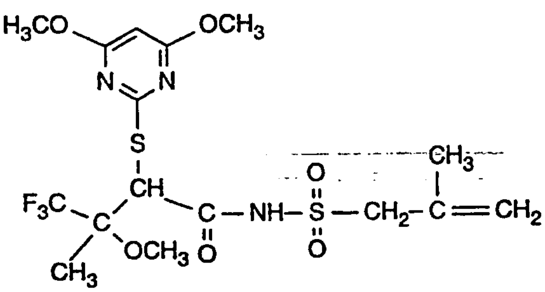
(5.023 and 5.024)

1.5 g of the propiolactone from Example P10 are again dissolved in 10 ml of dichloromethane and at 20°C first 0.37 g of ortho-chlorophenylhydrazine•hydrochloride and then 0.57 ml of triethylamine are added thereto and the mixture is stirred for 30 minutes. Diethyl ether is added to the resulting reaction mixture which is then extracted twice with sodium carbonate solution to regenerate 2-[(4,6-dimethoxy-pyrimidin-2-yl)-oxy]-3-hydroxy-3-phenyl-3-trifluoromethyl-propionic acid (Compound Nos. 1.092 and 1.093). The organic phase is then separated off, washed with dilute hydrochloric acid and then with aqueous sodium chloride solution, dried and concentrated by evaporation. The resulting residue is separated by column chromatography (eluant hexane/diethyl ether = 3/2) into the two isomers of 2-[(4,6-dimethoxy-pyrimidin-2-yl)-oxy]-3-hydroxy-3-phenyl-3-trifluoromethylpropionic acid 2-chlorophenyl hydrazide.

isomer I: ^{1}H-NMR (300 MHz, $CDCl_3$): 8.21 ppm (d, 1H), 7.96 ppm (broad signal, 2H), 7.50 ppm (broad signal, 3H), 7.18 ppm (m, 1H), 6.75 ppm (m, 2H), 6.52 ppm (s, 1H), 6.08 ppm (d, 1H), 5.90 ppm (s, 1H), 5.88 ppm (s, 1H), 5.00 ppm (m, 1H), 3.99 ppm

(s, 6H).
isomer II: ^{1}H-NMR (300 MHz, $CDCl_3$): 8.20 ppm (d, 1H), 7.71 ppm (broad signal, 2H), 7.36 ppm (broad signal, 3H), 7.19 ppm (d, 1H), 6.96 ppm (t, 1H), 6.78 ppm (t, 1H), 6.26 ppm (d, 1H), 6.22 ppm (d, 1H), 6.12 ppm (s, 1H), 5.76 ppm (s, 1H), 5.32 ppm (s, 1H), 3.85 ppm (s, 6H).

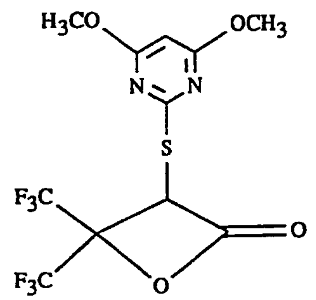
Example P12: Preparation of 2-[4,6-dimethoxy-pyrimidin-2-yl)-thio]-3-methoxy-3-trifluoromethylbutyric acid 2-methyl-2-propenylsulfonamide



(4.030)

0.54 g of methyl-2-propenylsulfonamide is added at 0-5°C to a suspension of 0.17 g of sodium hydride, in the form of a 60 % dispersion in oil, and the reaction mixture is then stirred at room temperature until the evolution of hydrogen is complete. After 30 minutes, at 0-5°C 1.63 g of 2-[4,6-dimethoxy-pyrimidin-2-yl)-thio]-3-methoxy-3-trifluoromethylbutyric acid imidazolide (Example P9) dissolved in 5 ml of N,N-dimethylformamide are added dropwise. Stirring is then continued for 4 hours at room temperature and the reaction mixture is then extracted with ethyl acetate. The combined organic phases are washed in succession with water, dilute hydrochloric acid and sodium chloride solution, dried over magnesium sulfate and concentrated by evaporation *in vacuo*. Purification by column chromatography (eluant 15 % acetone/hexane) yields the concentrated isomers of 2-[4,6-dimethoxy-pyrimidin-2-yl)-thio]-3-methoxy-3-trifluoromethylbutyric acid 2-methyl-2-propenylsulfonamide.
isomer I: ^{1}H-NMR (300 MHz, $CDCl_3$): 8.98 ppm (broad signal, 1H), 5.73 ppm (s, 1H), 5.14 and 5.08 ppm (2xs, 2H), 4.95 ppm (s, 1H), 4.05 ppm (broad signal, 2H), 3.94 ppm (s, 6H), 3.54 ppm (s, 3H), 1.94 ppm (s, 3H), 1.72 ppm (s, 3H);
isomer II: ^{1}H-NMR (300 MHz, $CDCl_3$): 9.00 ppm (broad signal), 5.71 ppm (s, 1H), 5.02 and 4.96 ppm (2xd, 2H), 4.70 ppm (s, 1H), 4.10 ppm (broad signal, 2H), 3.94 ppm (s, 6H), 1.85 ppm (s, 3H), 1.68 ppm (s, 3H).

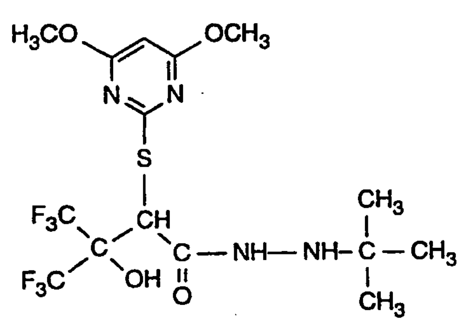
Example P13: Preparation of 2-[(4,6-dimethoxypyrimidin-2-yl)-thio]-3,3-bis-trifluoromethyl-propiolactone (Compound No. 7.014)



(7.014)

3.5 g of 2-[(4,6-dimethoxypyrimidin-2-yl)-thio]-3-hydroxy-3,3-bis-trifluoromethylbutyric acid (Compound No. 1.094) are placed at 0°C in 20 ml of dichloromethane and treated in the presence of 0.12 ml of triethylamine with 1.9 g of N,N-dicyclohexylcarbodiimide. The reaction mixture is then stirred for approx. 30 minutes at 22°C and the N,N'-dicyclohexylurea that has precipitated is filtered off. The filtrate is concentrated to dryness by evaporation. The crude desired product is obtained; ^{1}H-NMR (300 MHz, $CDCl_3$): 7.10 ppm (s, 1H), 5.88 ppm (s, 1H), 3.92 ppm (s, 6H).

Example P14: Preparation of 2-[(4,6-dimethoxypyrimidin-2-yl)-thio]-3-hydroxy-3,3-bis-trifluoromethyl-butyric acid tert-butyl hydrazide (Compound No. 5.042)



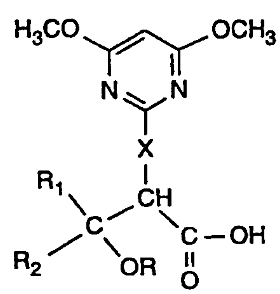
(5.042)

3.0 g of the 2-[(4,6-dimethoxypyrimidin-2-yl)-thio]-3,3-bis-trifluoromethyl-propiolactone (Compound No. 7.014) prepared in Example P13 are dissolved in tetrahydrofuran and the solution is treated in succession at 0°C with 1.0 g of tert-butyl hydrazide hydrochloride and 1.14 ml of triethylamine. After stirring for one hour at 22°C, the reaction mixture is diluted with diethyl ether and washed in succession with 1N hydrochloric acid, 5 %

sodium hydrogen carbonate solution and 30 % sodium chloride solution. The residue is concentrated to dryness by evaporation and purified by chromatography on silica gel with ethyl acetate/hexane 1/9 to 1/3 as eluant. The desired compound is obtained as an amorphous product. ^{1}H-NMR (300 MHz, $CDCl_3$): 8.55 ppm (broad signal, NH), 8.16 ppm (broad signal, OH), 5.86 ppm (s, 1H), 5.25 ppm (s, 1H), 4.63 ppm (broad signal, NH), 3.92 ppm (s, 6H), 1.03 ppm (s, 9H).

The compounds listed in Tables 1 to 8 and the intermediates of Table 9 can be prepared in analogous manner.

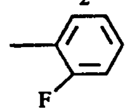
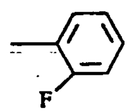
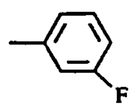
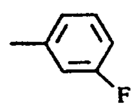
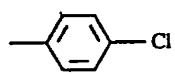
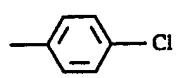
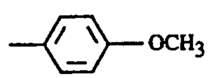
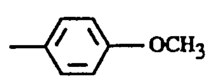
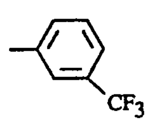
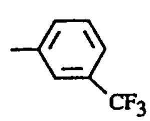
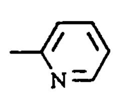
Table 1: Compounds of formula If

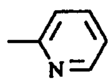
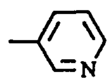
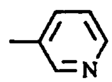
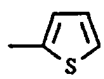
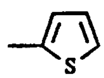


(If)

Comp. No.	X	R_1	R_2	R	Phys. data
1.001	S	CF_3	CH_3	CH_3	isomer I: Example P6
1.002	S	CF_3	CH_3	CH_3	isomer II: m.p. 126-128°C
1.003	S	CF_3	phenyl	CH_3	isomer I: m.p. 136-138°C
1.004	S	CF_3	phenyl	CH_2CH_3	
1.005	O	CF_3	phenyl	CH_3	
1.006	O	CF_3	phenyl	CH_2CH_3	
1.007	O	CF_3	CF_3	CH_3	
1.008	S	CF_3	CF_3	CH_3	m.p. 146-147°C
1.009	O	CF_3	CF_2Cl	CH_3	
1.010	S	CF_3	CF_2Cl	CH_3	
1.011	O	CF_3	CCl_3	CH_3	

Comp. No.	X	R_1	R_2	R	Phys. data
1.012	S	CF_3	CCl_3	CH_3	
1.013	O	CF_2Cl	CF_2Cl	CH_3	
1.014	S	CF_2Cl	CF_2Cl	CH_3	
1.015	O	CF_2Cl	$CFCl_2$	CH_3	
1.016	S	CF_2Cl	$CFCl_2$	CH_3	
1.017	O	CF_2Cl	phenyl	CH_3	
1.018	S	CF_2Cl	phenyl	CH_3	
1.019	O	CF_2CF_3	phenyl	CH_3	
1.020	S	CF_2CF_3	phenyl	CH_3	
1.021	O	CF_2CF_3	CH_3	CH_3	
1.022	S	CF_2CF_3	CH_3	CH_3	
1.023	O	CF_2CF_3	CF_2CF_3	CH_3	
1.024	S	CF_2CF_3	CF_2CF_3	CH_3	
1.025	O	$CF_2CF_2CF_3$	phenyl	CH_3	
1.026	S	$CF_2CF_2CF_3$	phenyl	CH_3	
1.027	O	$CF_2CF_2CF_3$	CH_3	CH_3	
1.028	S	$CF_2CF_2CF_3$	CH_3	CH_3	
1.029	O	CCl_3	phenyl	CH_3	
1.030	S	CCl_3	phenyl	CH_3	
1.031	O	CCl_3	$CFCl_2$	CH_3	
1.032	S	CCl_3	$CFCl_2$	CH_3	
1.033	O	CCl_3	$CHCl_2$	CH_3	
1.034	S	CCl_3	$CHCl_2$	CH_3	
1.035	O	CCl_3	H	CH_3	
1.036	S	CCl_3	H	CH_3	
1.037	O	CBr_3	H	CH_3	
1.038	S	CBr_3	H	CH_3	
1.039	O	CF_2Cl	H	CH_3	
1.040	S	CF_2Cl	H	CH_3	
1.041	O	CF_2CF_3	H	CH_3	
1.042	S	CF_2CF_3	H	CH_3	
1.043	O	$CF_2CF_2CF_3$	H	CH_3	

Comp. No.	X	R_1	R_2	R	Phys. data
1.044	S	$CF_2CF_2CF_3$	H	CH_3	
1.045	O	CF_3	$CHBr_2$	CH_3	
1.046	S	CF_3	$CHBr_2$	CH_3	
1.047	O	CF_2CF_3	$CHBr_2$	CH_3	
1.048	S	CF_2CF_3	$CHBr_2$	CH_3	
1.049	O	CF_3		CH_3	
1.050	S	CF_3		CH_3	
1.051	O	CF_3		CH_3	
1.052	S	CF_3		CH_3	
1.053	O	CF_3		CH_3	
1.054	S	CF_3		CH_3	
1.055	O	CF_3		CH_3	
1.056	S	CF_3		CH_3	
1.057	O	CF_3		CH_3	
1.058	S	CF_3		CH_3	
1.059	O	CF_3		CH_3	

Comp. No.	X	R_1	R_2	R	Phys. data
1.060	S	CF_3		CH_3	
1.061	O	CF_3		CH_3	
1.062	S	CF_3		CH_3	
1.063	O	CF_3		CH_3	
1.064	S	CF_3		CH_3	
1.065	O	CF_3	CH_3	CH_2CH_3	isomer I: m.p. 99-101°C
1.066	S	CF_3	CH_3	CH_2CH_3	isomer I: m.p. 138.9-139.3°C
1.067	S	CF_3	CH_3	CH_2CH_3	isomer II: ^{1}H-NMR (300 MHz, $CDCl_3$): 5.78 ppm (s, 1H), 5.09 ppm (s, 1H), 3.90 ppm (s, 6H), 3.72 ppm (q, 2H), 1.66 ppm (s, 3H), 1.17 ppm (t, 3H).
1.068	O	CF_3	CH_2CH_3	CH_3	
1.069	S	CF_3	CH_2CH_3	CH_3	
1.070	O	CF_3	CH_2CH_3	CH_2CH_3	
1.071	S	CF_3	CH_2CH_3	CH_2CH_3	
1.072	O	CF_3	CH_3	$CH_2CH{=}CH_2$	4:1 isomeric mixture: m.p. 63-67°C
1.073	S	CF_3	CH_3	$CH_2CH{=}CH_2$	
1.074	O	CF_3	CH_3	$CH_2C{\equiv}CH$	
1.075	S	CF_3	CH_3	$CH_2C{\equiv}CH$	

Comp. No.	X	R_1	R_2	R	Phys. data
1.076	O	CF_3	CH_3	CH_2CH_2Cl	
1.077	S	CF_3	CH_3	CH_2CH_2Cl	
1.078	O	CF_3	CH_3	CH_2CH_2F	
1.079	S	CF_3	CH_3	CH_2CH_2F	
1.080	O	CF_3	CH_3	$CH_2CH_2OCH_3$	
1.081	S	CF_3	CH_3	$CH_2CH_2OCH_3$	
1.082	O	CF_3	CH_3	$CH_2CH_2OCH_2CH_3$	
1.083	S	CF_3	CH_3	$CH_2CH_2OCH_2CH_3$	
1.084	O	CF_3	CH_3	$CH_2CH_2C{\equiv}CH$	
1.085	S	CF_3	CH_3	$CH_2CH_2C{\equiv}CH$	
1.086	O	CF_3	CH_3	benzyl	3:1 isomeric mixture; m.p. 134-136°C
1.087	S	CF_3	CH_3	benzyl	
1.088	S	CF_3	CH_3	H	isomer I: m.p. 118-119°C
1.089	S	CF_3	CH_3	H	isomer II: m.p. 123-124°C (Example P8)
1.090	S	CF_3	phenyl	H	isomer I: m.p. 172-173°C
1.091	S	CF_3	phenyl	H	isomer II: m.p. 150-151°C
1.092	O	CF_3	phenyl	H	isomer I: m.p. 159-160°C
1.093	O	CF_3	phenyl	H	isomer II: ^{1}H-NMR (300 MHz, $CDCl_3$): 7.68 ppm (broad signal, 2H), 7.42 ppm (broad signal, 3H), 5.78 ppm (s, 1H), 5.76 ppm (s, 1H), 3.85 ppm (s, 3H); m.p. 160°C.
1.094	S	CF_3	CF_3	H	m.p. 173-174°C
1.095	S	CF_3	CF_2Cl	H	

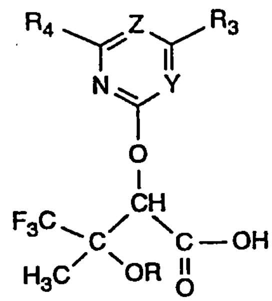
Comp. No.	X	R_1	R_2	R	Phys. data
1.096	S	CF_3	CCl_3	H	
1.097	S	CF_2Cl	CF_2Cl	H	
1.098	S	CF_2Cl	$CFCl_2$	H	
1.099	S	CF_2CF_3	CH_3	H	
1.100	S	$CF_2CF_2CF_3$	CH_3	H	
1.101	S	CCl_3	$CFCl_2$	H	
1.102	S	CCl_3	$CHCl_2$	H	
1.103	S	CCl_3	H	H	
1.104	S	CBr_3	H	H	
1.105	S	CF_2Cl	H	H	
1.106	S	CF_2CF_3	H	H	
1.107	S	$CF_2CF_2CF_3$	H	H	
1.108	S	CF_3	$CHBr_2$	H	
1.109	S	CF_2CF_3	$CHBr_2$	H	
1.110	O	CF_3	CH_3	H	isomer I: ^{1}H-NMR (300 MHz, D_6-DMSO): 13.15 ppm (broad signal, 1H), 6.75 ppm (broad signal, 1H); 5.94 ppm (s, 1H), 5.16 ppm (s, 1H), 3.86 ppm (s, 3H), 1.50 ppm (s, 3H); m.p. 167-168°C.
1.111	O	CF_3	CH_3	H	isomer II: ^{1}H-NMR (300 MHz, D_6-DMSO): 13.25 ppm (broad signal, 1H), 6.65 ppm (s, 1H), 5.94 ppm (s, 1H), 5.06 ppm (s, 1H), 3.86 ppm (s, 3H), 1.50 ppm (s, 3H); m.p. 146-147°C.
1.112	S	CH_3	CH_3	H	m.p. 124-126°C

Comp. No.	X	R_1	R_2	R	Phys. data
1.113	S	-$(CH_2)_4$-		H	m.p. 123.7-124.3°C
1.114	S	CH_3	C_2H_5	H	^{1}H-NMR (300 MHz, $CDCl_3$): 5.82 ppm (s, 1H), 4.45 ppm (s, 1H), 3.95 ppm (s, 6H), 1.84 ppm (m, 2H), 1.45 ppm (s, 3H), 0.95 ppm (s, 3H); m.p. 132-133°C; isomer I
1.115	S	C_2H_5	CH_3	H	^{1}H-NMR (300 MHz, $CDCl_3$): 5.82 ppm (s, 1H), 4.47 ppm (s, 1H), 3.95 ppm (s, 6H), 1.82 ppm (q, 2H), 1.41 ppm (s, 3H), 1.00 ppm (t, 3H); isomer II.
1.116	O	CH_3	CH_3	H	^{1}H-NMR (300 MHz, $CDCl_3$): 6.75 ppm (broad signal, 1H), 5.75 ppm (s, 1H), 5.00 ppm (s, 1H), 3.88 ppm (s, 6H), 1.03 and 1.05 ppm (2xs, 6H).
1.117	S	CF_3	CF_3	H	m.p. 202-204°C; imidazolium salt of Comp. No. 1.094
1.118	O	CH_3	C_2H_5	H	^{1}H-NMR (300 MHz, $CDCl_3$): 6.20 ppm (broad signal, 1H), 5.75 ppm (s, 1H), 5.10 ppm (s, 1H),

Comp. No.	X	R_1	R_2	R	Phys. data
					3.89 ppm (s, 6H), 1.78 ppm (dxq, 2H), 1.40 ppm (s, 3H), 1.00 ppm (t, 3H); m.p. 117-118°C; isomer I
1.119	O	C_2H_5	CH_3	H	^{1}H-NMR (300 MHz, $CDCl_3$): 6.60 ppm (broad signal, 1H), 5.78 ppm (s, 1H), 5.05 ppm (s, 1H), 3.89 ppm (s, 6H), 1.30 ppm (m, 2H), 1.38 ppm (s, 3H), 0.98 ppm (t, 3H); isomer II.
1.120	O	CF_3	CH_3	CH_2CH_3	isomer II: m.p. 116-117°C
1.121	S	CF_3	phenyl	CH_3	isomeric mixture: m.p. 133-136°C
1.122	S	CF_3	phenyl	CH_3	isomer II: ^{1}H-NMR (300 MHz, $CDCl_3$): 7.52 ppm (2H), 7.46 ppm (3H), 5.74 ppm (s, 1H), 5.27 ppm (s, 1H), 3.88 ppm (s, 6H), 3.54 ppm (s, 3H).
1.123	S	CF_3	CH_3	CH_2CH_3	isomeric mixture: m.p. 119-121°C
1.124	S	CH_3	phenyl	H	isomer I: m.p. 146°C; ^{1}H-NMR (300 MHz, $CDCl_3$): 5.87 ppm (s, 1H), 4.94 ppm (s, 1H), 3.98 ppm (s, 6H),

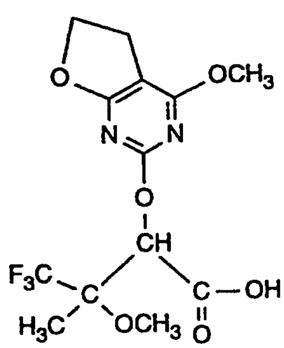
Comp. No.	X	R_1	R_2	R	Phys. data
					1.70 ppm (s, 3H).
1.125	S	CH_3	phenyl	H	isomer II: m.p. 145°C; ^{1}H-NMR (300 MHz, $CDCl_3$): 5.77 ppm (s, 1H), 4.62 ppm (s, 1H), 3.92 ppm (s, 6H), 1.83 ppm (s, 3H).
1.126	S	CF_3	CH_3	SO_2CH_3	isomer II: ^{1}H-NMR (300 MHz, $CDCl_3$): 8.35 ppm (broad signal, 1H), 5.80 ppm (s, 1H), 5.78 ppm (s, 1H), 3.92 ppm (s, 6H), 2.86 ppm (s, 3H), 2.18 ppm (s, 3H).
1.127	S	$-CH_2CH_2CH_2CH_2-$		H	m.p. 124-125°C

Table 2: Compounds of formula Ig



(Ig)

Comp. No.	Y	Z	R_3	R_4	R	Phys. data
2.001	N	CH	OCH_3	OCH_3	CH_3	isomer I: m.p. 140-142°C
2.002	N	CH	OCH_3	OCH_3	CH_3	isomer II: m.p. 137-139°C
2.003	N	CH	OCH_3	$OCHF_2$	CH_3	
2.004	N	N	OCH_3	OCH_3	CH_3	
2.005	N	N	OCH_3	CH_3	CH_3	
2.006	N	N	OCH_3	$N(CH_3)_2$	CH_3	
2.007	CH	N	OCH_3	OCH_3	CH_3	
2.008	CH	N	OCH_3	SCH_3	CH_3	
2.009	CH	N	OCH_3	CH_3	CH_3	
2.010	CH	N	OCH_3	CF_3	CH_3	
2.011	CH	N	OCH_3	Cl	CH_3	
2.012	N	N	OC_2H_5	$NHCH_3$	CH_3	
2.013	N	N	OC_2H_5		CH_3	

2.014 

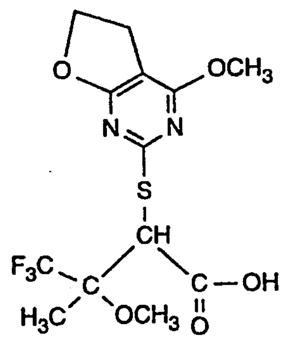
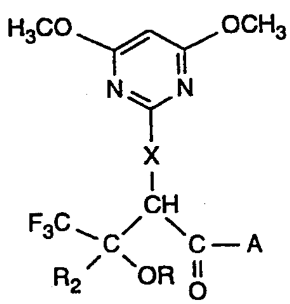
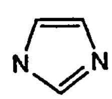
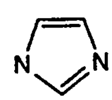
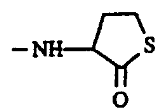
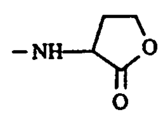
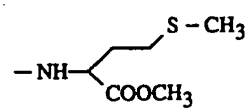
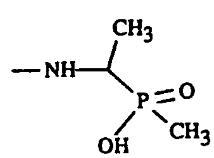
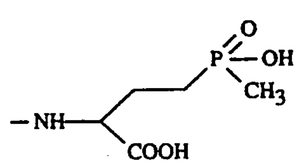
Comp. No.	Y	Z	R_3	R_4	R	Phys. data
2.015						

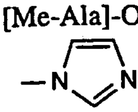
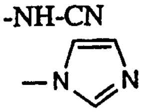
Table 3: Compounds of formula Ih

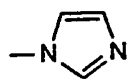


(Ih)

Comp. No.	X	R_2	R	A	Phys. data
3.001	S	CH_3	CH_3		Example P9
3.002	O	CH_3	CH_3		isomeric mixture: resin
3.003	O	CH_3	CH_3	[Ala]-OC_2H_5	
3.004	O	CH_3	CH_3	[Val]-O-tert-C_4H_9	
3.005	O	CH_3	CH_3	[Leu]-OCH_3	
3.006	O	CH_3	CH_3	[Phe]-OCH_3	

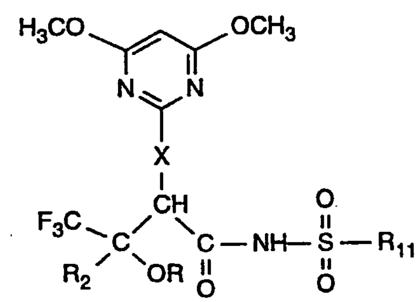
Comp. No.	X	R_2	R	A	Phys. data
3.007	O	CH_3	CH_3	[Me-Ala]-OCH_3	
3.008	O	CH_3	CH_3	[Ala]-OCH_3	mixture of 4 isomers: m.p. 114-118°C
3.009	O	CH_3	CH_3	[Ala]-O-tert-C_4H_9	
3.010	O	CH_3	CH_3	[Val]-OCH_3	
3.011	O	CH_3	CH_3	[Leu]-O-tert-C_4H_9	
3.012	O	CH_3	CH_3	[Me-Ala]-OH	
3.013	O	CH_3	CH_3	[Glu]-$(OCH_3)_2$	
3.014	O	CH_3	CH_3	[Asp]-$(OC_2H_5)_2$	
3.015	O	CH_3	CH_3	[Ala]-OH	
3.016	O	CH_3	CH_3	[Val]-OH	
3.017	O	CH_3	CH_3	[Leu]-OH	
3.018	O	CH_3	CH_3	[Ile]-OH	
3.019	O	CH_3	CH_3		
3.020	O	CH_3	CH_3		
3.021	O	CH_3	CH_3	[Glu]-$(OCH_2CH{=}CH_2)_2$	
3.022	O	CH_3	CH_3	[Gly]-OH	
3.023	O	CH_3	CH_3	[Pro]-OH	
3.024	O	CH_3	CH_3		
3.025	O	CH_3	CH_3		
3.026	O	CH_3	CH_3		

Comp. No.	X	R_2	R	A	Phys. data
3.027	S	CH_3	CH_3	[Ala]-OCH_3	
3.028	S	CH_3	CH_3	[Val]-OCH_3	
3.029	S	CH_3	CH_3	[Me-Ala]-OCH_3	
3.030	S	CH_3	CH_3	[Leu]-OCH_3	oil (mixture of 4 isomers); ^{1}H-NMR
3.031	S	CH_3	CH_3	[Ile]-OCH_3	
3.032	S	CH_3	CH_2CH_3	[Ala]-OCH_3	
3.033	S	CH_3	CH_2CH_3	[Me-Ala]-OCH_3	
3.034	S	CH_3	CH_2CH_3	[Leu]-OCH_3	
3.035	O	CH_3	CH_2CH_3	[Ala]-OCH_3	
3.036	O	CH_3	CH_2CH_3	[Val]-OCH_3	
3.037	O	CH_3	CH_2CH_3	[Me-Ala]-OCH_3	
3.038	O	CH_3	CH_2CH_3	[Leu]-OCH_3	
3.039	O	CH_3	CH_2CH_3	[Ile]-OCH_3	
3.040	O	CH_3	CH_2CH_3	[Ala]-OCH_2CH_3	
3.041	O	CH_3	CH_2CH_3	[Leu]-OCH_2CH_3	
3.042	O	CH_3	CH_3	[Ala][Ala]-OCH_3	
3.043	O	CH_3	CH_3	[Ala][Gly]-OCH_3	
3.044	O	CH_3	CH_3	[Leu][Gly]-OCH_3	
3.045	S	CH_3	H	[Ala]-OC_2H_5	oil (isomeric mixture)
3.046	S	CH_3	H	[Ala]-OCH_3	
3.047	S	CH_3	H	[Ala]-OH	
3.048	S	CH_3	H	[Val]-OH	
3.049	S	CH_3	H	[Leu]-OH	
3.050	S	CH_3	H	[Me-Ala]-OH	
3.051	S	CH_3	C_2H_5		isomer I: resin
3.052	S	CH_3	H	-NH-CN	m.p. 183-185°C
3.053	O	CH_3	C_2H_5		isomer I: ^{1}H-NMR (300 MHz, $CDCl_3$): 8.35 ppm (s, 1H), 7.62 ppm (d, 1H),

Comp. No.	X	R_2	R	A	Phys. data
					7.08 ppm (d, 1H), 5.85 ppm (s, 1H), 5.72 ppm (s, 1H), 3.62 ppm (s, 6H), 3.60 ppm (dxq, 2H), 1.78 ppm (s, 3H), 0.87 ppm (t, 3H).
3.054	O	CH_3	C_2H_5		isomer II: ^{1}H-NMR (300 MHz, $CDCl_3$): 8.46 ppm (s, 1H), 7.68 ppm (d, 1H), 7.00 ppm (d, 1H), 5.70 ppm (s, 1H), 5.58 ppm (s, 1H), 3.77 ppm (s, 6H), 3.72 ppm (q, 2H), 1.70 ppm (s, 3H), 1.14 ppm (t, 3H).
3.055	O	CH_3	H	[Ala]-OCH_3	mixture of 4 isomers: oil
3.056	S	CH_3	H	$NHOCH_3$	isomer I: ^{1}H-NMR (300 MHz, $CDCl_3$): 9.43 ppm (broad signal, 1H), 6.23 ppm (broad signal, 1H), 5.72 ppm (s, 1H), 4.40 ppm (s, 1H), 3.95 ppm (s, 6H), 3.78 ppm (s, 3H), 1.55 ppm (s, 3H).
3.057	S	CH_3	H	$NHOCH_3$	isomer II: ^{1}H-NMR (300 MHz, $CDCl_3$):

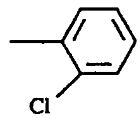
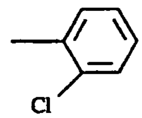
Comp. No.	X	R_2	R	A	Phys. data
					9.43 ppm (broad signal, 1H), 5.77 ppm (broad signal, 1H), 5.73 ppm (s, 1H), 4.65 ppm (s, 1H), 3.93 ppm (s, 6H), 3.78 ppm (s, 3H), 1.64 ppm (s, 3H).
3.058	S	CH_3	H	NHOH	
3.059	O	CH_3	H	NHOH	
3.060	S	CH_3	H	$N(OCH_3)CH_3$	
3.061	O	CH_3	H	$N(OCH_3)CH_3$	

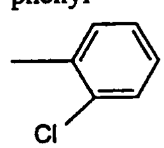
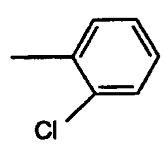
Table 4: Compounds of formula Ii

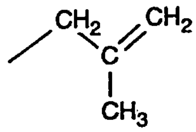
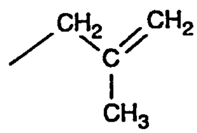
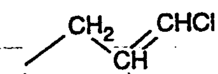
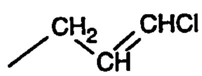
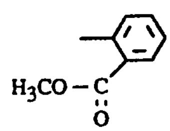
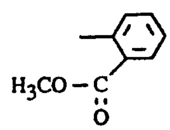
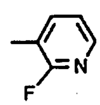
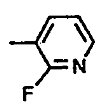
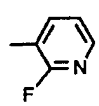
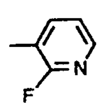


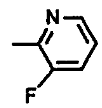
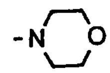
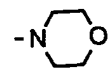
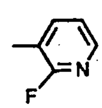
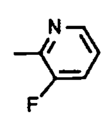
(Ii)

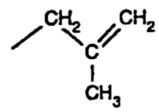
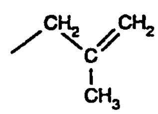
Comp. No.	X	R_2	R	R_{11}	Phys. data
4.001	O	CH_3	CH_3	CH_3	1:1 isomeric mixture: ^{1}H-NMR (300 MHz, $CDCl_3$): 8.60 and 8.45 ppm (2 broad signals, NH), 5.80 and 5.78 ppm (2xs, 1H), 5.35 and 5.28 ppm (2xs, 1H), 3.92 ppm (s, 6H), 3.55 and 3.52 ppm (2xs, 3H), 3.28 and 3.24 ppm (2xs, 3H), 1.70 and 1.64 ppm (2xs, 3H).
4.002	S	CH_3	CH_3	CH_3	1:2 isomeric mixture: ^{1}H-NMR (300 MHz, $CDCl_3$): 9.00 ppm (broad signal, 1H), 5.82 and 5.80 ppm (2xs, 1H), 4.92 and 4.70 ppm (2xs, 1H), 3.94 ppm (s, 6H), 3.55 and 3.51 ppm (2xs, 3H), 3.24 and 3.22 ppm (2xs, 3H), 1.72 and 1.68 ppm (2xs, 3H).
4.003	O	CH_3	CH_3	C_2H_5	
4.004	S	CH_3	CH_3	C_2H_5	
4.005	O	CH_3	CH_3	phenyl	
4.006	S	CH_3	CH_3	phenyl	

Comp. No.	X	R_2	R	R_{11}	Phys. data
4.007	O	CH_3	CH_3		
4.008	S	CH_3	CH_3		
4.009	O	CH_3	CH_3	CF_3	
4.010	S	CH_3	CH_3	CF_3	
4.011	O	CH_3	CH_3	$N(CH_3)_2$	
4.012	S	CH_3	CH_3	$N(CH_3)_2$	
4.013	O	CH_3	CH_3	$N(C_2H_5)_2$	
4.014	S	CH_3	CH_3	$N(C_2H_5)_2$	
4.015	O	CH_3	CH_3	cyclopropyl	
4.016	S	CH_3	CH_3	cyclopropyl	
4.017	O	CH_3	CH_3	cyclobutyl	
4.018	S	CH_3	CH_3	cyclobutyl	
4.019	O	CH_3	CH_3	2-pyridyl	
4.020	S	CH_3	CH_3	2-pyridyl	
4.021	O	CH_3	CH_3	$NHCH_2CH_3$	
4.022	S	CH_3	CH_3	$NHCH_2CH_3$	
4.023	O	CH_3	CH_3	$NHCH_2CH_2CH_3$	
4.024	S	CH_3	CH_3	$NHCH_2CH_2CH_3$	
4.025	O	CH_3	CH_3	$NHCH_2C{\equiv}CH$	
4.026	S	CH_3	CH_3	$NHCH_2C{\equiv}CH$	
4.027	O	CH_3	CH_3	$N(OCH_3)CH_3$	
4.028	S	CH_3	CH_3	$N(OCH_3)CH_3$	
4.029	O	CH_3	CH_3	2-methyl-2-propenyl	1:1 isomeric mixture: resin
4.030	S	CH_3	CH_3	2-methyl-2-propenyl	1:1 isomeric mixture; Example P12

Comp. No.	X	R_2	R	R_{11}	Phys. data
4.031	O	CH_3	CH_3	3-chloro-2-propenyl	
4.032	S	CH_3	CH_3	3-chloro-2-propenyl	
4.033	O	CH_3	CH_3	2-chlorophenyl	
4.034	S	CH_3	CH_3	2-chlorophenyl	
4.035	O	CH_3	CH_3	2-methoxycarbonylphenyl	
4.036	S	CH_3	CH_3	2-methoxycarbonylphenyl	
4.037	O	CH_3	H	CH_3	isomer II: m.p. 184-186°C; ^{1}H-NMR (300 MHz, $CDCl_3$): 10.8 ppm (broad signal, NH), 5.80 ppm (s, 1H), 5.26 ppm (s, 1H), 3.90 ppm (s, 6H), 3.23 ppm (s, 3H), 1.52 ppm (s, 3H).
4.038	S	CH_3	H	CH_3	m.p. 85°C (isomer I)
4.039	O	CH_3	H	C_2H_5	
4.040	S	CH_3	H	C_2H_5	
4.041	O	CH_3	H	phenyl	
4.042	S	CH_3	H	phenyl	
4.043	O	CH_3	H		
4.044	S	CH_3	H		
4.045	O	CH_3	H	CF_3	
4.046	S	CH_3	H	CF_3	
4.047	O	CH_3	H	$N(CH_3)_2$	isomer II: m.p. 176-178°C
4.048	S	CH_3	H	$N(CH_3)_2$	isomer I: resin

Comp. No.	X	R_2	R	R_{11}	Phys. data
4.049	O	CH_3	H	$N(C_2H_5)_2$	
4.050	S	CH_3	H	$N(C_2H_5)_2$	
4.051	O	CH_3	H		
4.052	S	CH_3	H		
4.053	O	CH_3	H		
4.054	S	CH_3	H		
4.055	O	CH_3	H		
4.056	S	CH_3	H		
4.057	S	CH_3	C_2H_5		isomer I: m.p. 106-107°C
4.058	S	CH_3	C_2H_5		isomeric mixture 1:1 m.p. 103-106°C
4.059	S	CH_3	H		isomer I: m.p. 150-151°C
4.060	S	CH_3	H		isomeric mixture 2:3;

Comp. No.	X	R_2	R	R_{11}	Phys. data
					amorphous
4.061	S	CH_3	H		isomer I: m.p. 155°C
4.062	O	CH_3	H		isomer I: m.p. 80°C
4.063	S	CH_3	H		isomer I: m.p. 146-147°C
4.064	S	CH_3	C_2H_5	CH_3	7:3 isomeric mixture: resin
4.065	O	CH_3	C_2H_5	CH_3	isomer I: ^{1}H-NMR (300 MHz, $CDCl_3$): 8.6 ppm (broad signal, NH), 5.80 ppm (s, 1H), 5.30 ppm (s, 1H), 3.92 ppm (s, 6H), 3.80 ppm (q, 2H), 3.28 ppm (s, 3H), 1.68 ppm (s, 3H), 1.30 ppm (t, 3H).
4.066	O	CH_3	C_2H_5	CH_3	1:2 isomeric mixture: resin
4.067	O	CH_3	C_2H_5	$N(CH_3)_2$	3:2 isomeric mixture: resin
4.068	O	CH_3	H		isomer I: m.p. 179-180°C
4.069	O	CH_3	H		isomer I: m.p. 171-172°C

Comp. No.	X	R_2	R	R_{11}	Phys. data
4.070	O	CH_3	C_2H_5		isomer II: ^{1}H-NMR (300 MHz, $CDCl_3$): 8.60 ppm (broad signal, NH), 5.80 ppm (s, 1H), 5.35 ppm (s, 1H), 5.08 and 5.00 ppm (2H), 4.08 ppm (m, 2H), 3.94 ppm (s, 6H), 3.75 ppm (q, 2H), 1.90 ppm (s, 3H), 1.62 ppm (s, 3H), 1.28 ppm (t, 3H).
4.071	O	CH_3	C_2H_5		isomer I: m.p. 123-124°C
4.072	O	CH_3	H	$N(CH_3)_2$	isomer I: m.p. 90-92°C
4.073	O	CH_3	H	CH_3	isomer I: ^{1}H-NMR (300 MHz, $CDCl_3$): 10.70 ppm (broad signal, NH), 5.75 ppm (s, 1H), 5.50 ppm (broad signal, OH), 5.32 ppm (s, 1H), 3.94 ppm (s, 6H), 3.26 ppm (s, 3H), 1.62 ppm (s, 3H).
4.074	S	CF_3	CH_3	CH_3	

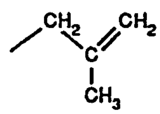
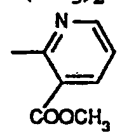
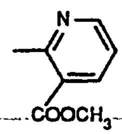
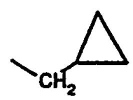
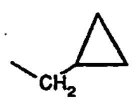
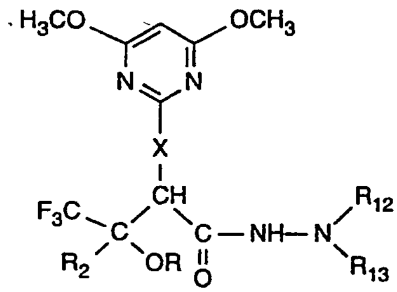
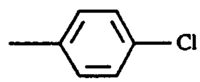
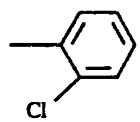
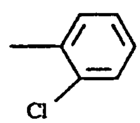
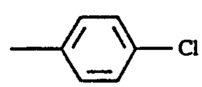
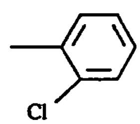
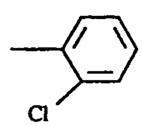
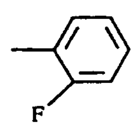
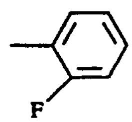
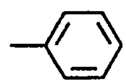
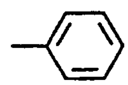
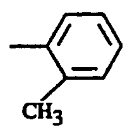
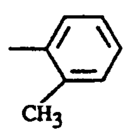
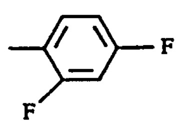
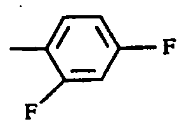
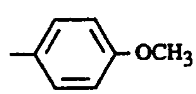
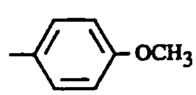
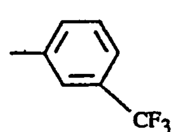
Comp. No.	X	R_2	R	R_{11}	Phys. data
4.075	S	CF_3	CH_3		
4.076	S	CF_3	CH_3	$N(CH_3)_2$	
4.077	S	CH_3	CH_3		
4.078	S	CF_3	CH_3		
4.079	S	CH_3	CH_3		
4.080	S	CF_3	CH_3		
4.081	S	CF_3	H	CH_3	m.p. 172-174°C
4.082	S	CF_3	H	$N(CH_3)_2$	^{1}H-NMR (300 MHz, $CDCl_3$): 9.82 ppm (broad signal, NH), 7.10 ppm (broad signal, OH), 5.88 ppm (s, 1H), 4.96 ppm (s, 1H), 3.95 ppm (s, 6H), 2.96 ppm (s, 6H).

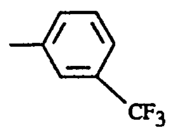
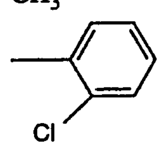
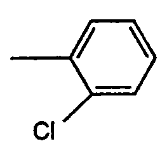
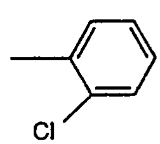
Table 5: Compounds of formula Ij

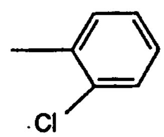
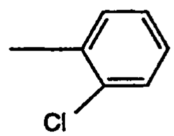
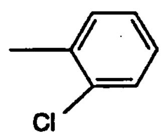
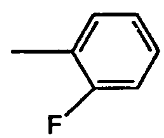
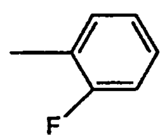
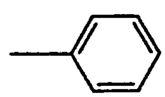
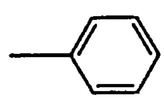
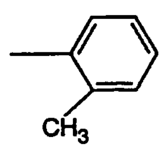
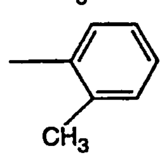


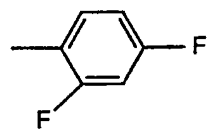
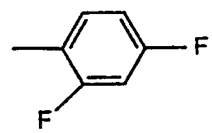
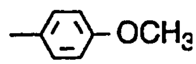
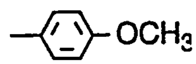
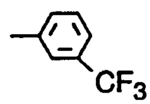
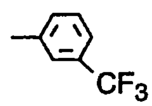
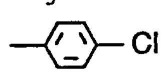
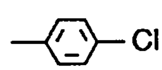
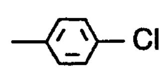
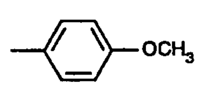
(Ij)

Comp. No.	X	R_2	R_{12}	R_{13}	R	Phys. data
5.001	O	phenyl	H		CH_3	
5.002	O	phenyl	H		CH_3	
5.003	S	phenyl	H		CH_3	
5.004	O	CH_3	H		CH_3	
5.005	O	CH_3	H		CH_3	
5.006	S	CH_3	H		CH_3	
5.007	O	CH_3	H		CH_3	

Comp. No.	X	R_2	R_{12}	R_{13}	R	Phys. data
5.008	S	CH_3	H		CH_3	
5.009	O	CH_3	H		CH_3	
5.010	S	CH_3	H		CH_3	
5.011	O	CH_3	H		CH_3	
5.012	S	CH_3	H		CH_3	
5.013	O	CH_3	H		CH_3	
5.014	S	CH_3	H		CH_3	
5.015	O	CH_3	H		CH_3	
5.016	S	CH_3	H		CH_3	
5.017	O	CH_3	H		CH_3	

Comp. No.	X	R_2	R_{12}	R_{13}	R	Phys. data
5.018	S	CH_3	H		CH_3	
5.019	O	CH_3	H	$-C(CH_3)_3$	CH_3	
5.020	S	CH_3	H	$-C(CH_3)_3$	CH_3	1:1 isomeric mixture: H^1-NMR ($CDCl_3$, 300 MHz): 7.80 and 7.72 ppm (2xbroad signal, 1H), 5.78 and 5.76 ppm (2xs, 1H), 4.96 and 4.77 ppm (2xs, 1H), 4.60 ppm (broad signal, 1H), 3.92 ppm (s, 6H), 3.49 and 3.45 ppm (s, 3H), 1.73 and 1.68 ppm (2xs, 3H), 1.08 and 1.02 ppm (2xs, 9H).
5.021	O	CH_3	CH_3	CH_3	CH_3	
5.022	S	CH_3	CH_3	CH_3	CH_3	
5.023	O	phenyl	H		H	isomer I: Example P11 m.p. 193-194°C
5.024	O	phenyl	H		H	isomer II: Example P11 crystalline, amorphous
5.025	S	phenyl	H		H	

Comp. No.	X	R_2	R_{12}	R_{13}	R	Phys. data
5.026	O	CH_3	H		H	isomer I: m.p. 195-197°C
5.027	O	CH_3	H		H	isomer II: m.p. 194-195°C
5.028	S	CH_3	H		H	
5.029	O	CH_3	H		H	
5.030	S	CH_3	H		H	3:2 isomeric mixture: m.p. 151-155°C
5.031	O	CH_3	H		H	
5.032	S	CH_3	H		H	
5.033	O	CH_3	H		H	
5.034	S	CH_3	H		H	

Comp. No.	X	R_2	R_{12}	R_{13}	R	Phys. data
5.035	O	CH_3	H		H	
5.036	S	CH_3	H		H	
5.037	O	CH_3	H		H	
5.038	S	CH_3	H		H	
5.039	O	CH_3	H		H	
5.040	S	CH_3	H		H	
5.041	O	CH_3	H	$-C(CH_3)_3$	H	
5.042	S	CF_3	H	$-C(CH_3)_3$	H	
5.043	S	CH_3	H	$-C(CH_3)_3$	H	isomer I: m.p. 121-122°C
5.044	S	CH_3	H	$-C(CH_3)_3$	H	isomer II: m.p. 145-147°C
5.045	O	CH_3	CH_3	CH_3	H	
5.046	S	CH_3	CH_3	CH_3	H	
5.047	S	CH_3	H		H	isomer I: m.p. 134-136°C
5.048	S	CH_3	H		H	isomer II: m.p. 136-139°C
5.049	S	CF_3	H		CH_3	
5.050	S	CF_3	H		CH_3	^{1}H-NMR (300 MHz, $CDCl_3$): 8.40 ppm

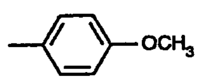
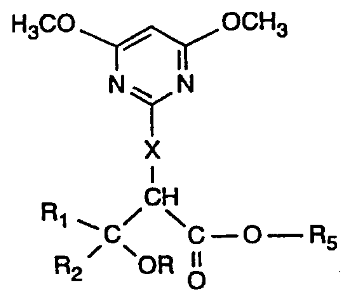
Comp. No.	X	R_2	R_{12}	R_{13}	R	Phys. data
						(d, NH), 6.68 ppm (d, 2H), 6.61 ppm (d, 2H), 5.92 ppm (d, NH), 5.84 ppm (s, 1H), 5.39 ppm (s, 1H), 3.87 ppm (s, 6H), 3.78 ppm (s, 3H), 3.72 ppm (s, 3H); m.p. 157-158.5°C
5.051	S	CF_3	H	$C(CH_3)_3$	CH_3	^{1}H-NMR (300 MHz, $CDCl_3$): 7.88 ppm (broad signal, NH), 5.80 ppm (s, 1H), 5.44 ppm (s, 1H), 4.62 ppm (broad signal, NH), 3.94 ppm (s, 6H), 3.78 ppm (s, 3H), 1.00 ppm (s, 9H).
5.052	S	CF_3	H		H	^{1}H-NMR (300 MHz, $CDCl_3$): 8.98 ppm (d, NH), 7.70 ppm (s, OH), 6.76 ppm (d, 2H), 6.66 ppm (d, 2H), 5.98 ppm (d, NH), 5.88 ppm (s, 1H), 5.25 ppm (s, 1H), 3.89 ppm (s, 6H), 3.75 ppm (s, 6H).

Table 6: Compounds of formula Ip:



(Ip)

Comp. No.	X	R_1	R_2	R	R_5	Phys. data
6.001	S	CF_3	CH_3	CH_3	$C(CH_3)_3$	isomer I: ^1H-NMR (300 MHz, $CDCl_3$): Example P5; 5.78 ppm (s, 1H), 5.24 ppm (s, 1H), 3.94 ppm (s, 6H), 3.50 ppm (s, 3H), 1.71 ppm (s, 3H), 1.45 ppm (s, 9H).
6.002	S	CF_3	CH_3	CH_3	$C(CH_3)_3$	isomer II: ^1H-NMR (300 MHz, $CDCl_3$): Example P5; 5.76 ppm (s, 1H), 5.16 ppm (s, 1H), 3.94 ppm (s, 6H), 3.45 ppm (s, 3H), 1.62 ppm (s, 3H), 1.43 ppm (s, 9H).
6.003	S	CF_3	CH_3	CH_2CH_3	$C(CH_3)_3$	isomer I: ^1H-NMR (300 MHz, $CDCl_3$): 5.78 ppm (s, 1H), 5.25 ppm (s, 1H), 3.97 ppm (s, 6H), 3.72 ppm (q, 2H), 1.72 ppm (s, 3H), 1.49 ppm (s, 9H).
6.004	S	CF_3	CH_3	CH_2CH_3	$C(CH_3)_3$	isomer II: ^1H-NMR (300 MHz, $CDCl_3$): 5.76 ppm (s, 1H), 5.17 ppm (s, 1H), 3.94 ppm (s, 6H), 3.70 ppm (q, 2H),

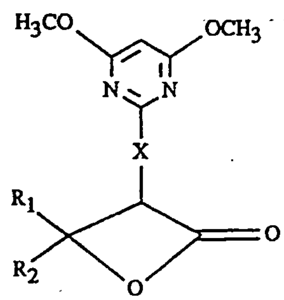
Comp. No.	X	R_1	R_2	R	R_5	Phys. data
						1.62 ppm (s, 3H), 1.45 ppm (s, 9H).
6.005	O	CF_3	CH_3	CH_3	$C(CH_3)_3$	isomer I: oil; ^{1}H-NMR (300 MHz, $CDCl_3$): 5.74 ppm (s, 1H), 5.30 ppm (s, 1H), 3.93 ppm (s, 6H), 3.52 ppm (s, 3H), 1.67 ppm (s, 3H), 1.46 ppm (s, 9H).
6.006	O	CF_3	CH_3	CH_3	$C(CH_3)_3$	isomer II: oil; ^{1}H-NMR (300 MHz, $CDCl_3$): 5.72 ppm (s, 1H), 5.25 ppm (s, 1H), 3.92 ppm (s, 6H), 3.52 ppm (s, 3H), 1.65 ppm (s, 3H), 1.42 ppm (s, 9H).
6.007	O	CF_3	CH_3	CH_2CH_3	$C(CH_3)_3$	isomer I: m.p. 84-86°C
6.008	O	CF_3	CH_3	CH_2CH_3	$C(CH_3)_3$	isomer II: oil; ^{1}H-NMR (300 MHz, $CDCl_3$): 5.75 ppm (s, 1H), 5.26 ppm (s, 1H), 3.93 ppm (s, 6H), 3.76 ppm (m, 2H), 1.67 ppm (s, 3H), 1.42 ppm (s, 9H), 1.20 ppm (t, 3H).
6.009	O	CF_3	CH_3	SO_2CH_3	$C(CH_3)_3$	isomer I: ^{1}H-NMR (300 MHz, $CDCl_3$): 5.78 ppm (s, 1H), 5.62 ppm (s, 1H), 3.91 ppm (s, 6H), 3.20 ppm (s, 3H), 2.13 ppm (s, 3H), 1.43 ppm (s, 9H).
6.010	S	CF_3	CH_3	SO_2CH_3	$C(CH_3)_3$	isomeric mixture: ^{1}H-NMR (300 MHz, $CDCl_3$): 5.80 ppm (s, 1H), 5.52 and 5.42 ppm (2xs, 1H), 3.96 and 3.94 ppm (2xs, 6H), 3.18 and 3.04 ppm

Comp. No.	X	R_1	R_2	R	R_5	Phys. data
						(2xs, 3H), 2.17 and 2.14 ppm (2xs, 3H), 1.46 ppm (s, 9H).
6.011	O	CF_3		SO_2CH_3	$C(CH_3)_3$	isomer I: Example P3
6.012	O	CF_3		SO_2CH_3	$C(CH_3)_3$	isomer II: Example P3
6.013	S	CF_3	CH_3	CH_3	CH_3	isomeric mixture: H^1-NMR (300 MHz, $CDCl_3$,): 5.75 and 5.73 ppm (2xs, 1H), 5.28 and 5.18 ppm (2xs, 1H), 3.94 ppm (s, 6H), 3.65 and 3.63 ppm (2xs, 3H), 3.49 and 3.46 ppm (2xs, 3H), 1.72 and 1.62 ppm (2xs, 3H).
6.014	S	CF_3	CH_3	CH_3	CH_2CH_3	
6.015	S	CF_3	CH_3	CH_3	$CH_2CH=CH_2$	
6.016	S	CF_3	CH_3	CH_3	$CH_2CH\equiv CH$	
6.017	S	CF_3	CH_3	CH_3	$CH_2OCOC(CH_3)_3$	
6.018	S	CF_3	CH_3	CH_3	$CH(CH_3)OCOEt$	
6.019	S	CF_3	CH_3	CH_3	$CH(CH_3)OCOOEt$	
6.020	S	CF_3	CH_3	CH_3	benzyl	
6.021	O	CF_3	CH_3	CH_3	CH_3	
6.022	O	CF_3	CH_3	CH_3	CH_2CH_3	
6.023	O	CF_3	CH_3	CH_3	$CH_2CH=CH_2$	1:3 isomeric mixture; m.p. 86-91°C
6.024	O	CF_3	CH_3	CH_3	$CH_2CH\equiv CH$	
6.025	O	CF_3	CH_3	CH_3	$CH_2OCOC(CH_3)_3$	
6.026	O	CF_3	CH_3	CH_3	$CH(CH_3)OCOEt$	
6.027	O	CF_3	CH_3	CH_3	$CH(CH_3)COOEt$	
6.028	O	CF_3	CH_3	CH_3	benzyl	
6.029	S	CF_3	CH_3	H	$-C_2H_5$	oil; MS: M^+ 370 (8), 325 (4), 301 (12), 258 (34), 212 (31),

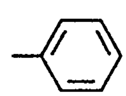
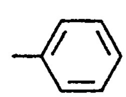
Comp. No.	X	R_1	R_2	R	R_5	Phys. data
						185 (100).
6.030	S	CF_3	CH_3	H	$-CH_3$	1:1 isomeric mixture; oil; MS: M^+ 356 (58), 325 (8), 287 (34), 244 (48), 212 (27), 185 (100).
6.031	S	CF_3	CH_3	H	$CH_2CH_2O\text{-}N{=}C(CH_3)_2$	oil; ^1H-NMR (300 MHz, $CDCl_3$): 5.82 and 5.79 ppm (2s, 1H), 5.52 and 5.27 ppm (2s, 1H), 4.96 ppm (broad signal, 1H), 4.5-4.3 ppm (4H), 3.95 ppm (s, 6H), 1.9-1.8 ppm (4s, 6H), 1.62 and 1.56 ppm (2s, 3H).
6.032	O	CF_3	CH_3	H	$N(CH_3)_2$	isomer I: m.p. 153-154°C
6.033	O	CF_3	CH_3	H	$N(CH_3)_2$	isomer II: m.p. 117-119°C
6.034	O	CF_3	CH_3	H	$CH_2CH{=}CH_2$	1:3 isomeric mixture: ^1H-NMR (300 MHz, $CDCl_3$): 5.85 ppm (m, 1H), 5.78 ppm (s, 1H), 5.33 ppm (s, 1H), 5.40-5.30 ppm (m, 2H), 4.66 ppm (m, 2H), 3.92 ppm (s, 6H), 1.65 and 1.53 ppm (2xs, 3H).
6.035	O	CF_3	CH_3	$CH_2CH{=}CH_2$	$C(CH_3)_3$	isomer I: m.p. 72-74°C
6.036	O	CF_3	CH_3	benzyl	$C(CH_3)_3$	2:1 isomeric mixture: ^1H-NMR (300 MHz, $CDCl_3$): 7.40-7.20 ppm (5H), 5.72 ppm (s, 1H), 5.42 and 5.33 ppm (2xs, 1H), 4.30 ppm (m, 2H), 3.94 ppm (s, 6H), 1.78 and 1.74 ppm (2xs, 3H), 1.42 and 1.33 ppm (2xs, 9H).
6.037	O	CF_3	CF_3	CH_3	$C(CH_3)_3$	

Comp. No.	X	R_1	R_2	R	R_5	Phys. data
6.038	S	CF_3	CF_3	CH_3	$C(CH_3)_3$	m.p. 84-85°C
6.039	S	CF_3	CF_3	CH_3	$CH_2OCOC(CH_3)_3$	^{1}H-NMR (300 MHz, $CDCl_3$): 5.81 ppm (dxd, 2H), 5.79 ppm (s, 1H), 5.70 ppm (s, 1H), 3.92 ppm (s, 6H), 3.72 ppm (s, 3H), 1.16 ppm (s, 9H).

Table 7: Compounds of formula It

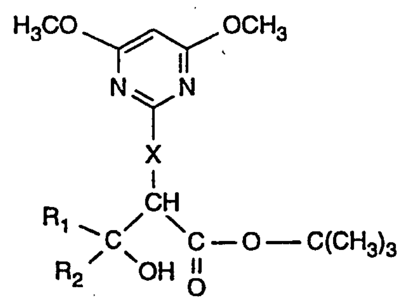


(It)

Comp.No.	X	R_1	R_2	Phys. data
7.001	O	CF_3	CH_3	isomer I: m.p. 69-70°C
7.002	S	CF_3	CH_3	isomer I: m.p. 73-74°C
7.003	S	CF_3	CH_3	isomer II: ^{1}H-NMR (300 MHz, $CDCl_3$): 6.05 ppm (s, 1H), 5.97 ppm (s, 1H), 3.92 ppm (s, 6H), 1.95 ppm (s, 3H).
7.004	O	CF_3		1:1 isomeric mixture; m.p. 100-106°C (Example P10)
7.005	S	CF_3		
7.006	O	C_2F_5	CH_3	
7.007	S	C_2F_5	CH_3	
7.008	O	C_3F_7	CH_3	
7.009	S	C_3F_7	CH_3	

Comp.No.	X	R_1	R_2	Phys. data
7.010	O	CF_3	C_2H_5	
7.011	S	CF_3	C_2H_5	
7.012	S	CH_3	C_2H_5	1:1 isomeric mixture; IR (KBr) 1823 cm^{-1}; ^{1}H-NMR (300 MHz, $CDCl_3$): 5.79 ppm (s, 1H), 5.52 ppm (s, 1H), 3.94 ppm (s, 6H), 2.1-1.8 ppm (m, 2H), 1.75 and 1.58 ppm (2xs, 3H), 1.09 and 1.02 ppm (2xt, 3H).
7.013	O	CF_3	CH_3	isomer II: ^{1}H-NMR (300 MHz, $CDCl_3$): 6.20 ppm (s, 1H), 5.85 ppm (s, 1H), 3.96 ppm (s, 6H), 1.95 ppm (s, 3H). m.p. 108-110°C
7.014	S	CF_3	CF_3	^{1}H-NMR (300 MHz, $CDCl_3$): 7.10 ppm (s, 1H), 5.88 ppm (s, 1H), 3.92 ppm (s, 6H); Example P13.
7.015	O	CH_3	CH_3	^{1}H-NMR (300 MHz, $CDCl_3$): 5.81 ppm (s, 1H), 5.78 ppm (s, 1H), 3.95 ppm (s, 6H), 1.68 ppm (s, 3H), 1.57 ppm (s, 3H).
7.016	S	CH_3	CH_3	^{1}H-NMR (300 MHz, $CDCl_3$): 5.81 ppm (s, 1H), 5.42 ppm (s, 1H), 3.93 ppm (s, 6H), 1.80 ppm (s, 3H), 1.62 ppm (s, 6H).

Table 8: Compounds of formula Ik

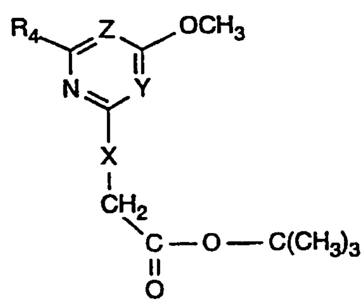


(Ik)

Comp. No.	X	R_1	R_2	Phys. data
8.001	S	CF_3	CH_3	isomer I: ^{1}H-NMR (300 MHz, $CDCl_3$): 5.80 ppm (s, 1H), 5.23 ppm (broad signal, 1H), 5.04 ppm (s, 1H).
8.002	S	CF_3	CH_3	isomer II: m.p. 95-97°C
8.003	S	CF_3	phenyl	isomer I: m.p. 110-111°C
8.004	S	CF_3	phenyl	isomer II: m.p. 85-86°C
8.005	O	CF_3	CH_3	Example P2; isomer I: m.p. 135-137°C
8.006	O	CF_3	phenyl	2:1 isomeric mixture ^{1}H-NMR (300 MHz, $CDCl_3$): 5.78 and 6.00 ppm (2xs, 1H), 5.72 and 5.75 ppm (2xs, 1H), 4.30 and 4.52 ppm (2xs, 1H), 1.07 and 1.22 ppm (2xs, 9H).
8.007	O	CH_3	CH_3	m.p. 87-89°C
8.009	O	CH_3	C_2H_5	m.p. 82-84°C
8.010	O	C_2H_5	CH_3	m.p. 86-87°C
8.011	O	CF_3	CH_3	Example P2; isomer II: m.p. 69-70°C
8.012	S	CH_3	phenyl	isomer I: m.p. 66-67°C
8.013	S	CH_3	phenyl	isomer II: oil; ^{1}H-NMR (300 MHz, $CDCl_3$): 7.55 ppm (d, 2H), 7.32 ppm (t, 2H), 7.24 ppm (t, 1H), 5.72 ppm (s, 1H), 4.96 ppm (s, 1H), 4.38 ppm (broad signal, 1H), 3.94 ppm (s, 6H), 1.80 ppm (s, 3H), 0.90 ppm (s, 9H).

Comp. No.	X	R_1	R_2	Phys. data
8.014	S	CH_3		isomeric mixture: ^{1}H-NMR (300 MHz, $CDCl_3$): 5.75 ppm (s, 1H), 4.72 and 4.68 ppm (2xs, 1H), 3.95 ppm (s, 6H), 3.52 and 3.30 ppm (2xs, 1H), 1.48 ppm (s, 9H), 1.35 ppm (s, 3H), 1.10 ppm (broad signal, 1H), 0.43 ppm (broad signal, 4H).
8.015	S	-$(CH_2)_4$-		m.p. 60.0-60.5°C
8.016	S	CF_3	CF_3	^{1}H-NMR (300 MHz, $CDCl_3$): 5.86 ppm (s, 1H), 5.06 ppm (s, 1H), 3.94 ppm (s, 6H), 1.51 ppm (s, 9H).

Table 9: Compounds of formula IIIb



(IIIb)

Comp. No.	X	Y	Z	R_4	Phys. data
9.001	S	N	CH	OCH_3	b.p. 130°C/1x10^{-3} torr (Example P7)
9.002	O	N	CH	OCH_3	m.p. 63-64.5°C (Example P1)
9.003	S	N	N	OCH_3	
9.004	O	N	N	OCH_3	
9.005	S	CH	N	OCH_3	
9.006	O	CH	N	OCH_3	
9.007	S	N	-CH_2CH_2O-		
9.008	O	N	-CH_2CH_2O-		

Formulation examples for compounds of formula I (throughout, percentages are by weight)

F1. Emulsifiable concentrates	a)	b)	c)	d)
a compound of Tables 1-8	5 %	10 %	25 %	50 %
calcium dodecylbenzene-sulfonate	6 %	8 %	6 %	8 %
castor oil polyglycol ether (36 mol of ethylene oxide)	4 %	-	4 %	4 %
octylphenol polyglycol ether (7-8 mol of ethylene oxide)	-	4 %	-	2 %
cyclohexanone	-	-	10 %	20 %
aromatic hydrocarbon mixture C_9-C_{12}	85 %	78 %	55 %	16 %

Emulsions of any desired concentration can be produced from such concentrates by dilution with water.

F2. Solutions	a)	b)	c)	d)
a compound of Tables 1-8	5 %	10 %	50 %	90 %
dipropylene glycol methyl ether	-	20 %	20 %	-
polyethylene glycol mol. wt. 400	20 %	10 %	-	-
N-methyl-2-pyrrolidone	-	-	30 %	10 %
aromatic hydrocarbon mixture C_9-C_{12}	75 %	60 %	-	-

These solutions are suitable for application in the form of micro-drops.

F3. Wettable powders	a)	b)	c)	d)
a compound of Tables 1-8	5 %	25 %	50 %	80 %
sodium lignosulfonate	4 %	-	3 %	-
sodium lauryl sulfate	2 %	3 %	-	4 %
sodium diisobutylnaphthalene sulfonate	-	6 %	5 %	6 %
octylphenol polyglycol ether (7-8 mol of ethylene oxide)	-	1 %	2 %	-

highly dispersed silicic acid	1 %	3 %	5 %	10 %
kaolin	88 %	62 %	35 %	-

The active ingredient is thoroughly mixed with the adjuvants and the mixture is thoroughly ground in a suitable mill, affording wettable powders which can be diluted with water to give suspensions of the desired concentration.

F4. Coated granules	a)	b)	c)
a compound of Tables 1-8	0.1 %	5 %	15 %
highly dispersed silicic acid	0.9 %	2 %	2 %
inorganic carrier (diameter 0.1 - 1 mm) such as $CaCO_3$ or SiO_2	99.0 %	93 %	83 %

The active ingredient is dissolved in methylene chloride, the solution is sprayed onto the carrier, and the solvent is subsequently evaporated off *in vacuo*.

F5. Coated granules	a)	b)	c)
a compound of Tables 1-8	0.1 %	5 %	15 %
polyethylene glycol mol. wt. 200	1.0 %	2 %	3 %
highly dispersed silicic acid	0.9 %	1 %	2 %
inorganic carrier (diameter 0.1 - 1 mm) such as $CaCO_3$ or SiO_2	98.0 %	92 %	80 %

The finely ground active ingredient is uniformly applied, in a mixer, to the carrier moistened with polyethylene glycol. Non-dusty coated granules are obtained in this manner.

F6. Extruder granules	a)	b)	c)	d)
a compound of Tables 1-8	0.1 %	3 %	5 %	15 %
sodium lignosulfonate	1.5 %	2 %	3 %	4 %
carboxymethylcellulose	1.4 %	2 %	2 %	2 %
kaolin	97.0 %	93 %	90 %	79 %

The active ingredient is mixed and ground with the adjuvants, and the mixture is

moistened with water. The mixture is extruded and then dried in a stream of air.

F7. Dusts	a)	b)	c)
a compound of Tables 1-8	0.1 %	1 %	5 %
talcum	39.9 %	49 %	35 %
kaolin	60.0 %	50 %	60 %

Ready-for-use dusts are obtained by mixing the active ingredient with the carriers and grinding the mixture in a suitable mill.

F8. Suspension concentrates	a)	b)	c)	d)
a compound of Tables 1-8	3 %	10 %	25 %	50 %
ethylene glycol	5 %	5 %	5 %	5 %
nonylphenol polyglycol ether (15 mol of ethylene oxide)	-	1 %	2 %	-
sodium lignosulfonate	3 %	3 %	4 %	5 %
carboxymethylcellulose	1 %	1 %	1 %	1 %
37% aqueous formaldehyde solution	0.2 %	0.2 %	0.2 %	0.2 %
silicone oil emulsion	0.8 %	0.8 %	0.8 %	0.8 %
water	87 %	79 %	62 %	38 %

The finely ground active ingredient is intimately mixed with the adjuvants, giving a suspension concentrate from which suspensions of any desired concentration can be obtained by dilution with water.

Biological Examples:

Example B1: Preemergence herbicidal action

Monocotyledonous and dicotyledonous test plants are sown in plastic pots containing standard soil and, immediately after sowing, are sprayed with an aqueous suspension of the test compounds, prepared from a 25 % wettable powder formulation (Formulation example F3 b)), corresponding to a rate of application of 2 kg of active ingredient/hectare (500 l of water/ha). The test plants are then cultivated in a greenhouse under optimum conditions. After 3 weeks, the test is evaluated in accordance with a scale of nine ratings (1 = total damage, 9 = no action). Ratings of 1 to 4 (especially 1 to 3) indicate good to

very good herbicidal action.

Test plants: Setaria, Cyperus, Sinapis, Stellaria, Solanum, Ipomoea.

The compounds of Tables 1 to 8 exhibit pronounced herbicidal action in this test.

Examples of the good herbicidal action are listed in Table B1.

Table B1: Preemergence action

Test plants: Compound No.	Setaria	Cyperus	Sinapis	Stellaria	Solanum	Ipomoea
1.001 (isomer I)	1	2	2	2	2	2
1.002 (isomer II)	1	1	2	1	2	2
1.065 (isomer I)	2	1	2	2	2	3
1.065 (isomer II)	1	2	2	2	2	2
1.066 (isomer I)	1	1	2	2	2	2
1.088 + 1.089 (isomer I + II)	3	2	5	2	2	3
1.088 (isomer I)	4	1	2	2	2	2
1.089 (isomer II)	1	1	3	2	2	2
2.001 (isomer I)	1	2	2	2	2	3
2.002 (isomer II)	2	2	2	2	2	3
3.051 (isomer I)	2	1	2	2	2	2

Test plants: Compound No.	Seta-ria	Cy-perus	Sina-pis	Stel-laria	Sola-num	Ipo-moea
4.002 (isomeric mixture)	2	2	2	2	2	2
4.064 (isomeric mixture)	2	2	2	3	2	3
4.065 (isomer I)	2	2	2	2	2	3
4.066 (isomeric mixture)	2	2	2	3	2	2
4.067 (isomeric mixture)	2	2	2	2	3	3
5.020 (isomeric mixture)	2	1	2	1	1	2
5.043 (isomer I)	1	1	3	2	2	3
5.044 (isomer II)	1	1	3	2	2	2
5.047 (isomer I)	2	1	2	2	2	2
5.048 (isomer II)	2	1	3	2	2	2
7.002 (isomer I)	1	1	2	2	2	2

The same results are obtained when the compounds of formula I are formulated in accordance with Examples F1, F2 and F4 to F8.

Example B2: Post-emergence herbicidal action (contact herbicide)

Monocotyledonous and dicotyledonous test plants are raised in a greenhouse in plastic pots containing standard soil and in the 4- to 6-leaf stage are sprayed with an aqueous suspension of the test compounds of formula I, prepared from a 25 % wettable powder formulation (Formulation example F3 b)), corresponding to a rate of application of 2 kg of active ingredient/hectare (500 l of water/ha). The test plants are then grown on in the greenhouse under optimum conditions. After about 18 days the test is evaluated in accordance with a scale of nine ratings (1 = total damage, 9 = no action). Ratings of 1 to 4 (especially 1 to 3) indicate good to very good herbicidal action.

Test plants: Setaria, Sinapis, Stellaria, Solanum, Ipomoea.

The compounds of Tables 1 to 8 exhibit pronounced herbicidal action in this test.

Examples of the good herbicidal activity are shown in Table B2.

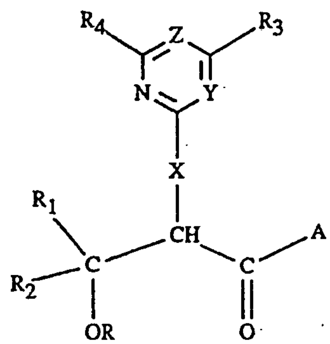
Table B2: Post-emergence action

Test plants: Compound No.	Setaria	Sinapis	Stellaria	Solanum	Ipomoea
1.001 (isomer I)	1	1	2	1	2
1.002 (isomer II)	2	1	1	1	3
1.065 (isomer I)	3	1	2	2	3
1.065 (isomer II)	2	1	2	2	1
1.066 (isomer I)	3	1	3	2	2
1.088 + 1.089 (isomer I + II)	6	2	3	2	2
1.088 (isomer I)	6	1	2	2	2
1.089 (isomer II)	5	1	2	2	2
2.001 (isomer I)	2	1	2	1	3
2.002 (isomer II)	1	1	1	1	2
3.051 (isomer I)	3	1	2	1	2
4.002 (isomeric mixture)	3	1	3	2	1
4.065 (isomer I)	3	1	3	3	2
5.020 (isomeric mixture)	1	1	2	1	2
5.048 (isomer II)	3	1	3	2	2
7.002 (isomer I)	2	1	2	1	2

The same results are obtained when the compounds of formula I are formulated in accordance with Examples F1, F2 and F4 to F8.

What is claimed is:

1. A compound of formula I



(I),

wherein

R is hydrogen, C_1-C_6alkyl, C_1-C_4haloalkyl, C_1- or C_2-alkyl substituted by C_1- or C_2-alkoxy, cyano, phenyl or phenyl substituted by halogen, methyl, methoxy or trifluoromethyl, C_3-C_6alkenyl, C_3-C_6alkynyl, C_3-C_6cycloalkyl-C_1- or -C_2-alkyl, C_4-C_6cycloalkyl, C_1-C_4alkylcarbonyl or C_1-C_4alkylsulfonyl;

R_1 is C_1-C_7haloalkyl;

R_2 is hydrogen, C_1-C_6alkyl, C_1-C_6haloalkyl, C_2-C_6alkenyl, C_3-C_6cycloalkyl, phenyl, phenyl substituted by fluorine, chlorine, bromine, trifluoromethyl or methoxy, 2-, 3- or 4-pyridyl, or 2- or 3-thienyl;

R_3 is methyl, ethyl, methoxy, ethoxy, trifluoromethyl, difluoromethoxy or 2,2,2-trifluoroethoxy;

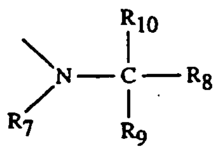
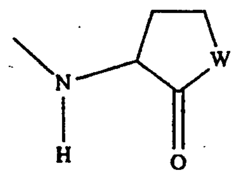
Z is nitrogen, methine or methine substituted by fluorine, chlorine, bromine or methyl;

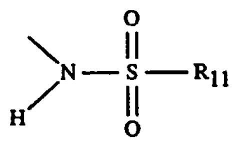
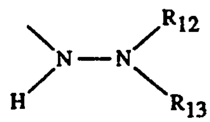
R_4 is fluorine, chlorine, methyl, ethyl, isopropyl, cyclopropyl, methoxy, ethoxy, methylthio, ethylthio, methylamino, dimethylamino, ethylamino, methoxymethyl, trifluoromethyl, chloromethyl, trichloromethyl or difluoromethoxy; or, if Z is methine, R_4 forms a $-O(CH_2)_m-$ bridge to Z, the linkage to Z being *via* the carbon atom;

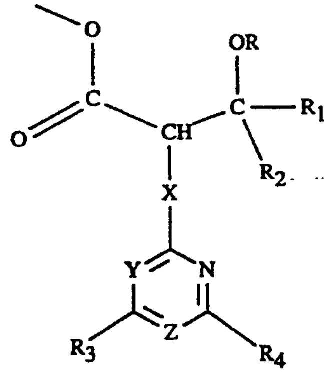
Y is nitrogen, or, if Z is nitrogen, Y is nitrogen, methine or methine substituted by fluorine, chlorine or bromine;

X is oxygen or sulfur;

A is hydroxy, $-OR_5$, $-SR_6$, imidazolyl, triazolyl, 2-thionothiazolidin-3-yl, cyanamino, hydroxyamino, C_1-C_6alkoxyamino, C_1-C_3alkoxy(C_1-C_3alkyl)amino or a group of

the formula  (A_1),  (A_2),

 (A_3),  (A_4) or

 (A_5) ; or

A and R together form a bond;

R_5 is C_1-C_6alkyl, C_3-C_6alkenyl, C_3-C_6alkynyl, C_1-C_4alkoxy-C_1-C_4alkyl, C_1- or C_2-alkoxy-ethoxy-C_1- or -C_2-alkyl, C_3- or C_4-alkenyloxy-C_1-C_4alkyl, C_3- or C_4-alkynyloxy-C_1-C_4alkyl, C_1-C_4alkylthio-C_1-C_4alkyl, C_1-C_4alkylsulfinyl-C_1-C_4alkyl, C_2-C_4dialkylamino-C_1-C_4alkyl, tri-C_1-C_6alkyl-silyl-C_1-C_4alkyl, C_1-C_4alkylcarbonyloxy-C_1- or -C_2-alkyl, C_1-C_4alkoxycarbonyl-C_1-C_6alkyl, C_3- or C_4-alkenyloxycarbonyl-C_1-C_6alkyl, C_3- or C_4-alkynyloxycarbonyl-C_1-C_6alkyl, C_1-C_4alkylthiocarbonyl-C_1-C_4alkyl, benzyloxycarbonyl-C_1-C_6alkyl, C_1-C_4alkoxy-carbonylmethyl-carbonylmethyl, C_3-C_6cycloalkyl, C_3-C_6cycloalkyl-C_1-C_3alkyl, C_3-C_6oxacycloalkyl, C_3-C_6oxacycloalkyl substituted by C_1-C_3alkyl, C_2-C_6oxacyclo-alkyl-C_1-C_3alkyl, C_3-C_5dioxacycloalkyl, C_3-C_5dioxacycloalkyl substituted by C_1-C_3alkyl, C_3-C_5dioxacycloalkyl-C_1-C_3alkyl, benzyl, pyridylmethyl, C_1- or C_2-di-alkyl-phosphinyl, C_1-C_4alkylamino, dimethylamino, C_2-C_6alkylideneimino, (C_2-C_6alkylideneimino)-oxy-C_1- or -C_2-alkyl, phenyl, or phenyl substituted by fluorine, chlorine, bromine, methyl, methoxy or nitro;

R_6 is C_1-C_6alkyl, C_2-C_4dialkylamino-C_1-C_4alkyl, C_1-C_4alkoxycarbonyl-C_1-C_4alkyl, phenyl, or phenyl substituted by fluorine, chlorine, bromine, methyl, methoxy or nitro;

R_7 is hydrogen or methyl;

R_9 is hydrogen, trifluoromethyl, C_1-C_4alkyl, C_1-C_4alkyl substituted by hydroxy, C_1-C_4alkoxy, mercapto, C_1-C_4alkylmercapto, phenyl, 4-hydroxyphenyl, 4-imidazolyl, 3-indolyl, carboxy, C_1-C_4alkoxycarbonyl, C_3- or C_4-alkenyloxycarbonyl, cyano, carbamoyl, methylphosphino or methylsulfoximino, C_2-C_6alkenyl, C_2-C_6alkenyl substituted by chlorine, methyl or methoxy, ethynyl, cyclopropyl, phenyl or phenyl substituted by chlorine, methyl or methoxy; or

R_7 and R_9 together are $-(CH_2)_q-$, $-CH_2CH(OH)CH_2-$, $-CH_2SCH_2-$ or $-CH_2CH_2SCH_2-$;

R_8 is hydroxymethyl, formyl, cyano, phosphono, phosphino, methylphosphino or a -COL group;

R_{10} is hydrogen or methyl; or

R_9 and R_{10} together are $-(CH_2)_n-$;

R_{11} is C_1-C_6alkyl, C_1-C_6haloalkyl, C_2-C_6alkenyl, C_2-C_6haloalkenyl, C_2-C_6alkynyl, C_2-C_6haloalkynyl, C_3-C_6cycloalkyl, C_3-C_6cycloalkylmethyl, C_1-C_4alkylamino, di-C_1-C_4alkylamino, C_1-C_3alkoxy-C_1-C_3alkylamino, C_3-C_6alkenylamino, C_3-C_6alkynylamino, C_3-C_6cycloalkylamino, morpholino, piperazino, piperidino, arylamino, arylamino substituted by fluorine, chlorine, methyl, trifluoromethyl, methoxy or benzylamino, pyridyl, pyridyl substituted by fluorine, chlorine, methyl, ethyl, methoxy, difluoromethoxy, trifluoromethyl, methylamino or C_1-C_3alkoxycarbonyl, benzyl, phenyl or phenyl substituted by fluorine, chlorine, bromine, methyl, ethyl, trifluoromethyl, methoxy, difluoromethoxy, ethoxy, nitro, cyano or C_1-C_3alkoxycarbonyl;

R_{12} is hydrogen or methyl;

R_{13} is hydrogen, C_1-C_6alkyl, phenyl or phenyl substituted by fluorine, chlorine, bromine, iodine, C_1-C_4alkyl, trifluoromethyl, C_1-C_3alkoxy, difluoromethoxy, cyano, nitro or C_1-C_4alkoxycarbonyl, pyridyl or pyridyl mono- or di-substituted by fluorine, chlorine, methyl, methoxy or trifluoromethyl;

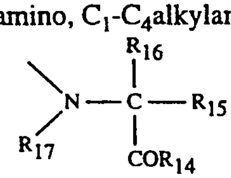
m is 2 or 3;

n is 2, 3, 4 or 5;

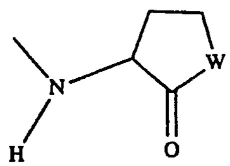
q is 2 or 3;

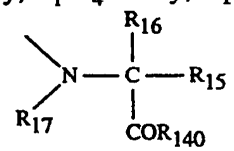
W is oxygen or sulfur;

L is hydroxy, C_1-C_4alkoxy, C_3- or C_4-alkenyloxy, amino, C_1-C_4alkylamino, C_1-C_4dialkylamino, benzyloxy or a group of the formula



(L_1) or

 (L_2);

R_{14} is hydroxy, C_1-C_4alkoxy, 2-propenyloxy, benzyloxy, amino or a further group of the formula  (L_{10});

R_{140} is hydroxy, C_1-C_4alkoxy, 2-propenyloxy, benzyloxy or amino;

R_{15} is hydrogen, C_1-C_4alkyl or benzyl;

R_{17} is hydrogen; or

R_{15} and R_{17} together are $-(CH_2)_3-$; and

R_{16} is hydrogen or methyl;

or a salt of a compound of formula I that contains a carboxy or sulfonamide group, or a stereoisomer of a compound of formula I.

2. A compound according to claim 1, wherein R_2 is hydrogen, methyl, methyl substituted by fluorine, chlorine or bromine, ethyl, pentafluoroethyl, phenyl, phenyl mono- to penta-substituted by fluorine or mono- to di-substituted by chlorine, bromine, trifluoromethyl or methoxy, pyridyl or thienyl.

3. A compound according to claim 2, wherein R_2 is hydrogen, methyl, trifluoromethyl, chlorodifluoromethyl, dichlorofluoromethyl, dichloromethyl, trichloromethyl, dibromomethyl, ethyl, pentafluoroethyl, phenyl, phenyl mono-substituted by fluorine, chlorine, trifluoromethyl or methoxy, 2- or 3-pyridyl or 2-thienyl.

4. A compound according to claim 3, wherein R_2 is methyl, trifluoromethyl, chlorodifluoromethyl, dichlorofluoromethyl, dichloromethyl or trichloromethyl.

5. A compound according to claim 1, wherein R_1 is C_1-C_3perhaloalkyl.

6. A compound according to claim 5, wherein R_1 is trifluoromethyl, chlorodifluoromethyl, dichlorofluoromethyl, trichloromethyl, tribromomethyl, pentafluoroethyl or heptafluoropropyl.

7. A compound according to claim 6, wherein R_1 is trifluoromethyl.

8. A compound according to claim 1, wherein R_3 is methoxy; and R_4 is methyl, trifluoromethyl, chlorine, methoxy, difluoromethoxy, ethoxy or dimethylamino; or R_4 forms a $-OCH_2CH_2-$ bridge to Z.

9. A compound according to claim 8, wherein R_3 and R_4 are methoxy.

10. A compound according to claim 1, wherein Z is methine.

11. A compound according to claim 1, wherein R_3 and R_4 are methoxy; and Z is methine.

12. A compound according to claim 1, wherein R is C_1-C_4alkyl, 2-propenyl, 2-propynyl, 2-fluoroethyl, 2-chloroethyl, 2-methoxyethyl, 2-cyanoethyl or benzyl.

13. A compound according to claim 12, wherein R is methyl or ethyl.

14. A compound according to claim 1, wherein R is hydrogen.

15. A compound according to claim 1, wherein A and R together form a bond.

16. A compound according to claim 1, wherein

A is hydroxy, C_1-C_4alkoxy, 2-propenyloxy, 2-propynyloxy, benzyloxy, C_1-C_4alkylcarbonyloxy-C_1- or -C_2-alkoxy, N,N-dimethylhydroxyamino, N-methoxyamino, cyanamino, or a group of the formula A_1, A_2, A_3 or A_4, wherein

R_8 is a -COL group and

L is as defined in claim 1;

R_7 is hydrogen;

R_9 is hydrogen or C_1-C_4alkyl; or

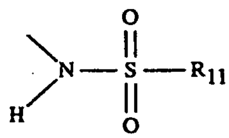
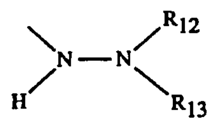
R_7 and R_9 together are $-(CH_2)_3-$;

R_{10} is hydrogen;

R_{11} is C_1-C_4alkyl, cyclopropyl, cyclopropylmethyl, C_3- or C_4-alkenyl, C_3- or C_4-haloalkenyl, cyclobutyl, trifluoromethyl, ethylamino, n-propylamino, 2-propynylamino, di-C_1-C_4alkylamino, N-methoxy-methylamino, morpholino, pyridyl or pyridyl substituted by halogen or by methoxycarbonyl, phenyl or phenyl mono- or disubstituted by fluorine, chlorine, bromine or methoxy; and

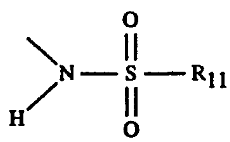
R_{13} is hydrogen, C_1-C_4alkyl, phenyl or phenyl mono- or di-substituted by fluorine, chlorine, methyl, trifluoromethyl, methoxy, methoxycarbonyl or nitro.

17. A compound according to claim 16, wherein A is hydroxy or a group of the formula

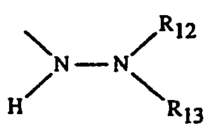
 (A_3) or  (A_4) wherein R_{11} to R_{13} are as defined in claim 1.

18. A compound according to claim 17, wherein A is hydroxy.

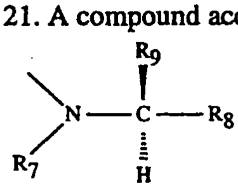
19. A compound according to claim 16, wherein A is a group of the formula

 (A_3) wherein R_{11} is methyl, ethyl, trifluoromethyl, 2-methyl-2-propenyl, 3-chloro-2-propenyl, cyclopropyl, cyclopropylmethyl, dimethylamino, diethylamino, morpholino, phenyl, 2-chlorophenyl, 2-methoxycarbonylphenyl, 2-pyridyl, 3-fluoro-2-pyridyl or 3-methoxycarbonyl-2-pyridyl.

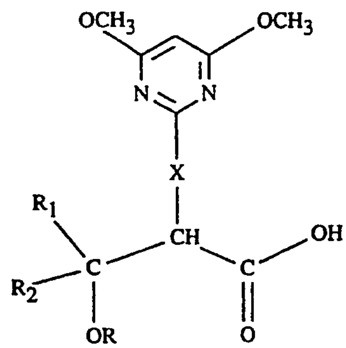
20. A compound according to claim 16, wherein A is a group of the formula

 (A_4) wherein R_{12} is hydrogen; and R_{13} is methyl, tert-butyl, phenyl, 2-chlorophenyl, 2-fluorophenyl, 2,4-difluorophenyl, 2-tolyl, 4-chlorophenyl, 4-methoxyphenyl or 3-trifluoromethylphenyl.

21. A compound according to claim 16, wherein A is a group of the formula

 (A_1*) of (S)-configuration; R_7 is hydrogen; R_9 is C_1-C_4alkyl; or R_7 and R_9 together are -$(CH_2)_3$-; and R_8 is a -COL group wherein L is as defined in claim 1.

22. A compound according to claim 1 of formula If



(If),

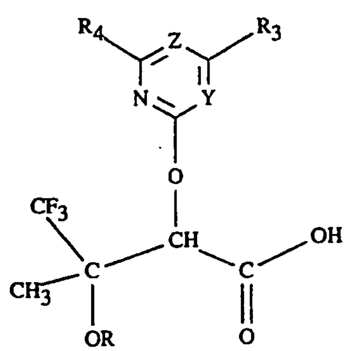
wherein

R is hydrogen, methyl, ethyl, difluoromethyl, 2-fluoroethyl, 2-chloroethyl, 2-cyanoethyl, 2-methoxyethyl, 2-ethoxyethyl, n-propyl, 2-propenyl, 2-propynyl or benzyl;

R_1 is trifluoromethyl, chlorodifluoromethyl, trichloromethyl, tribromomethyl, pentafluoroethyl or heptafluoropropyl; and

R_2 is hydrogen, methyl, trifluoromethyl, chlorodifluoromethyl, dichlorofluoromethyl, dichloromethyl, trichloromethyl, dibromomethyl, ethyl, pentafluoroethyl, phenyl, phenyl mono-substituted by fluorine, chlorine, trifluoromethyl or methoxy, 2- or 3-pyridyl or 2-thienyl.

23. A compound according to claim 1 of formula Ig



(Ig),

wherein

R is methyl, ethyl, difluoromethyl, 2-fluoroethyl, 2-chloroethyl, 2-cyanoethyl, 2-methoxyethyl, 2-ethoxyethyl, n-propyl, 2-propenyl, 2-propynyl or benzyl;

R_3 is methoxy or ethoxy;

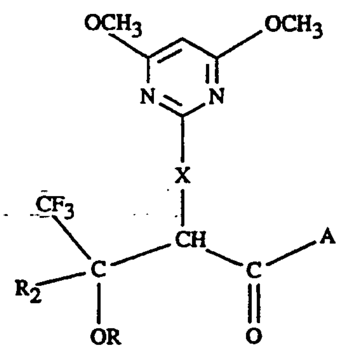
R_4 is methyl, trifluoromethyl, trichloromethyl, methoxy, difluoromethoxy, methylamino, dimethylamino, methylthio or cyclopropyl;

Y is nitrogen, methine or chloromethine; and

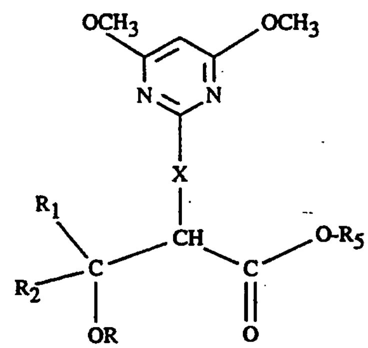
Z is nitrogen or methine; or

R_4 forms a $-O(CH_2)_2-$ bridge to Z.

24. A compound according to claim 1 of formula Ih or Ip



(Ih) or



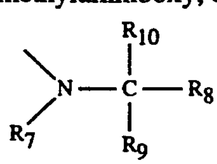
(Ip),

wherein

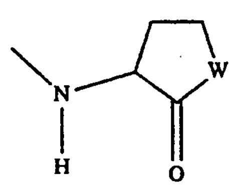
R is hydrogen, methyl, ethyl, difluoromethyl, 2-fluoroethyl, 2-chloroethyl, 2-cyanoethyl, 2-methoxyethyl, 2-ethoxyethyl, n-propyl, 2-propenyl, 2-propynyl or benzyl;

R_2 is methyl, phenyl or trifluoromethyl;

A is methoxy, ethoxy, tert-butoxy, 2-propenyloxy, 2-propylideneiminoethoxy, N,N-dimethylaminooxy, cyanamino, methoxyamino, imidazolyl or a group of the formula



(A_1) or



(A_2),

wherein

R_7 is hydrogen;

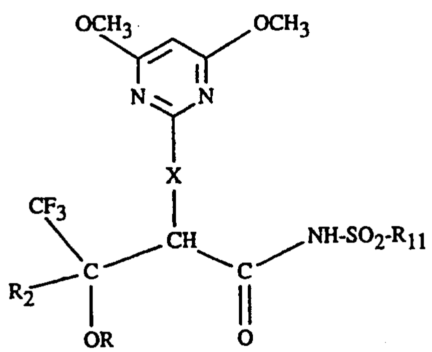
R_9 is hydrogen, C_1-C_4alkyl or C_1-C_4alkyl substituted by carboxy, phenyl, methylphosphino or methylthio; or

R_7 and R_9 together are $-(CH_2)_3-$;

R_8 is methylphosphino or a -COL group, and L is hydroxy or C_1-C_4alkoxy; and

R_{10} is hydrogen.

25. A compound according to claim 1 of formula Ii



(Ii),

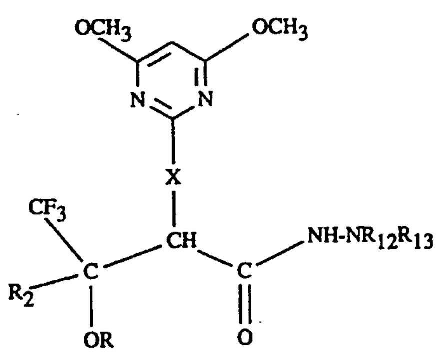
wherein

R is hydrogen, methyl, ethyl, difluoromethyl, 2-fluoroethyl, 2-chloroethyl, 2-cyano-ethyl, 2-methoxyethyl, 2-ethoxyethyl, n-propyl, 2-propenyl, 2-propynyl or benzyl;

R_2 is methyl or trifluoromethyl; and

R_{11} is methyl, ethyl, trifluoromethyl, 2-methyl-2-propenyl, 3-chloro-2-propenyl, cyclo-propyl, dimethylamino, diethylamino, morpholino, phenyl, 2-chlorophenyl, 2-methoxycarbonylphenyl, 2-pyridyl, 2-fluoro-3-pyridyl or 3-fluoro-2-pyridyl.

26. A compound according to claim 1 of formula Ij



(Ij),

wherein

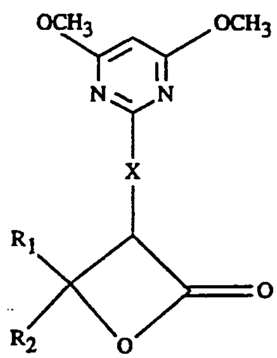
R is hydrogen, methyl, ethyl, difluoromethyl, 2-fluoroethyl, 2-chloroethyl, 2-cyano-ethyl, 2-methoxyethyl, 2-ethoxyethyl, n-propyl, 2-propenyl, 2-propynyl or benzyl;

R_2 is methyl, trifluoromethyl or phenyl;

R_{12} is hydrogen or methyl; and

R_{13} is methyl, tert-butyl, phenyl, 2-chlorophenyl, 2-fluorophenyl, 2-tolyl, 2,4-difluorophenyl, 4-chlorophenyl, 3-trifluoromethylphenyl or 4-methoxyphenyl.

27. A compound according to claim 1 of formula It



(It),

wherein

X is oxygen or sulfur;

R_1 is trifluoromethyl, pentafluoroethyl or heptafluoropropyl; and

R_2 is methyl, ethyl, trifluoromethyl or phenyl.

28. A compound in the form of a mixture of stereoisomers or in the form of the pure isomer according to claim 1, selected from:

2-[(4,6-dimethoxy-pyrimidin-2-yl)-thio]-3-methoxy-3-trifluoromethylbutyric acid;

2-[(4,6-dimethoxy-pyrimidin-2-yl)-thio]-3-hydroxy-3-trifluoromethylbutyric acid;

2-[(4,6-dimethoxy-pyrimidin-2-yl)-thio]-3-ethoxy-3-trifluoromethylbutyric acid;

2-[(4,6-dimethoxy-pyrimidin-2-yl)-thio]-3-methyl-3-trifluoromethyl-oxetanone;

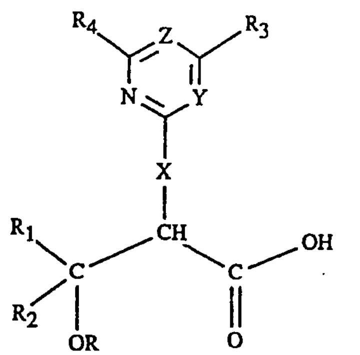
2-[(4,6-dimethoxy-pyrimidin-2-yl)-oxy]-3-methoxy-3-trifluoromethylbutyric acid;

2-[(4,6-dimethoxy-pyrimidin-2-yl)-oxy]-3-ethoxy-3-trifluoromethylbutyric acid;

2-[(4,6-dimethoxy-pyrimidin-2-yl)-thio]-3-methoxy-3,3-bis-trifluoromethylpropionic acid; and

2-[(4,6-dimethoxy-pyrimidin-2-yl)-thio]-3-hydroxy-3,3-bis-trifluoromethylpropionic acid.

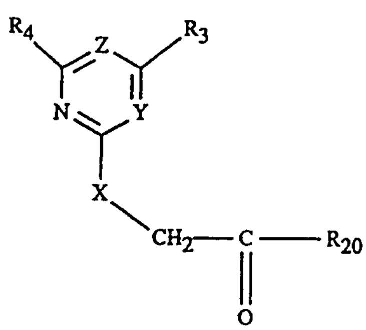
29. A process for the preparation of a compound of formula Ia



(Ia),

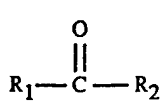
wherein R_1 to R_4, X, Y and Z are as defined in claim 1 and R is C_1-C_6alkyl, C_1-C_4haloalkyl, C_1- or C_2-alkyl substituted by C_1- or C_2-alkoxy, cyano, phenyl or phenyl substituted by halogen, methyl, methoxy or trifluoromethyl, C_3-C_6alkenyl, C_3-C_6alkynyl, C_3-C_6cycloalkyl-C_1- or -C_2-alkyl, C_4-C_6cycloalkyl, C_1-C_4alkylcarbonyl or C_1-C_4alkylsulfonyl, according to claim 1, which process comprises

reacting a compound of formula III



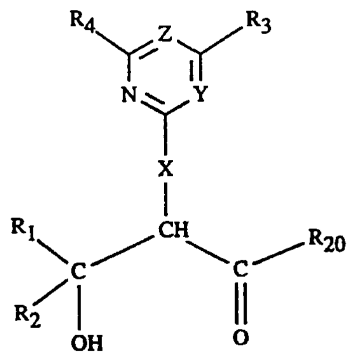
(III)

with a compound of formula II



(II),

in the presence of a suitable base, to form a compound of formula Ib

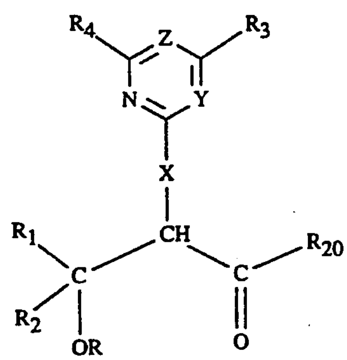


(Ib),

wherein in the compounds of formulae III, II and Ib the radicals R_1 to R_4, X, Y and Z are as defined in claim 1 and R_{20} is C_1-C_6alkoxy, chloroethoxy, 2-trimethylsilylethoxy, 2-propenyloxy, benzyloxy or benzyloxy substituted by methoxy, and then alkylating, acylating or sulfonylating the compound of formula Ib with a compound of formula IX

$$R\text{-}L_5 \qquad \text{(IX)},$$

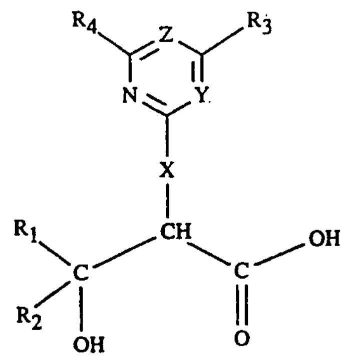
wherein R is as defined and L_5 is a leaving group, where appropriate in the presence of a base and a suitable solvent, to form the compound of formula In



(In),

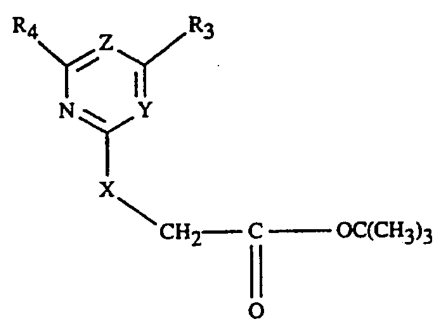
and then reacting that compound of formula In further under hydrolytic or hydrogenolytic conditions or, when R_{20} is the tert-C_4H_9-O- group, under acid-catalysed conditions.

30. A process for the preparation of a compound of formula Iq



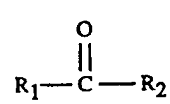
(Iq),

wherein R_2 to R_4, X, Y and Z are as defined in claim 1 and R_1 is C_1-C_7alkyl or C_1-C_7halo-alkyl, or R_1 together with R_2 is $-(CH_2)_4-$ or $-(CH_2)_5-$, according to claim 1, which process comprises reacting a compound of formula IIIa



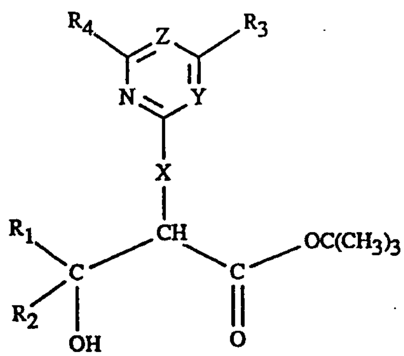
(IIIa)

with a compound of formula II



(II),

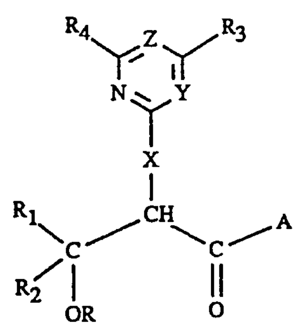
in the presence of a suitable base, to form a compound of formula Ic



(Ic),

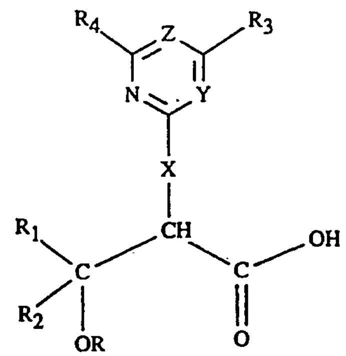
wherein in the compounds of formulae IIIa, II and Ic the radicals R_2 to R_4, X, Y and Z are as defined and R_1 is C_1-C_7alkyl or C_1-C_7haloalkyl, or R_1 together with R_2 is -$(CH_2)_4$- or -$(CH_2)_5$-, and then hydrolysing the compound of formula Ic with trifluoroacetic acid, sulfuric acid, phosphoric acid or a mixture of sulfuric acid and acetic acid, where appropriate in the presence of an additional solvent.

31. A process for the preparation of a compound of formula Im



(Im),

wherein R_1 to R_4, X, Y and Z are as defined in claim 1, R is C_1-C_6alkyl, C_1-C_4haloalkyl, C_1- or C_2-alkyl substituted by C_1- or C_2-alkoxy, cyano, phenyl or phenyl substituted by halogen, methyl, methoxy or trifluoromethyl, C_3-C_6alkenyl, C_3-C_6alkynyl, C_3-C_6cycloalkyl-C_1- or -C_2-alkyl, C_4-C_6cycloalkyl, C_1-C_4alkylcarbonyl or C_1-C_4alkylsulfonyl and A is -OR_5, -SR_6, cyanamino or a group A_1 to A_4, according to claim 1, which process comprises converting a compound of formula Ia



(Ia),

wherein R, R_1 to R_4, X, Y and Z are as defined,

a) by reaction with a compound of formula VII

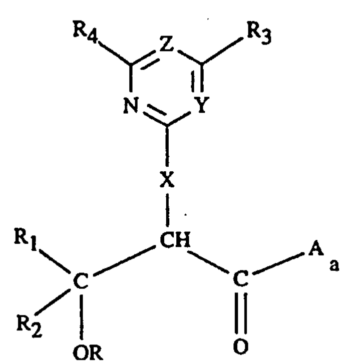
A_a-L_3 (VII),

wherein

A_a is a leaving group, especially chlorine, bromine, 2,4,6-triisopropylphenyl-sulfonyl, imidazolyl, triazolyl, 2-thionothiazolidin-3-yl or N,N'-dicyclohexyl-isoureidyl, and

L_3 is -S(O)Cl, -C(O)Cl, -C(O)-C(O)Cl, $-PCl_4$, $-P(O)Cl_2$, $-P(O)Br_2$, 2,4,6-triisopropyl-phenyl-sulfonyl, imidazolyl, triazolyl, N-carbonylimidazole or N-carbonyltriazole,

into the compound of formula Id



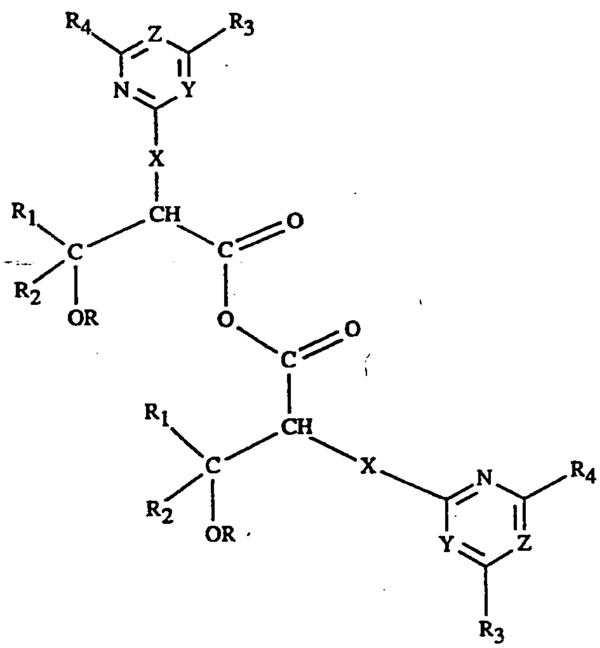
(Id),

wherein R_1 to R_4, X, Y and Z are as defined in claim 1 and R and A_a are as defined above, and then reacting the compound of formula Id with a compound of formula V

A-H (V),

wherein A is $-OR_5$, $-SR_6$, cyanamino or a group A_1 to A_4, where appropriate in the presence of a base and a solvent; or

b) by treatment with a water-removing reagent, such as phosphorus oxychloride, into the compound of formula Ie



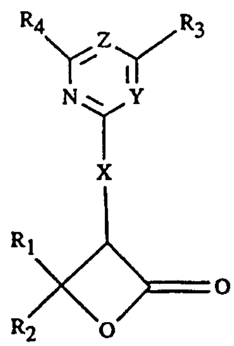
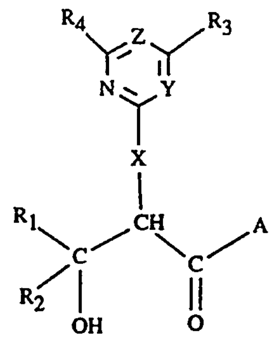
(Ie),

wherein R_1 to R_4, X, Y and Z are as defined in claim 1 and R is as defined above, and then reacting the compound of formula Ie with a compound of formula V

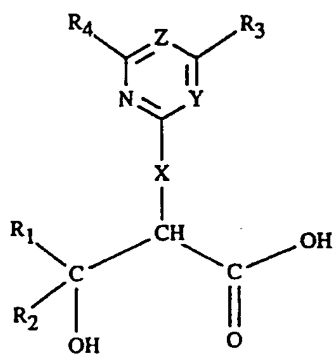
A-H (V),

wherein A is $-OR_5$, $-SR_6$, cyanamino, hydroxyamino, C_1-C_6alkoxyamino, C_1-C_3alkoxy-(C_1-C_3alkyl)amino or a group A_1 to A_4, where appropriate in the presence of a base and a solvent.

32. A process for the preparation of a compound of formula Ir or Is

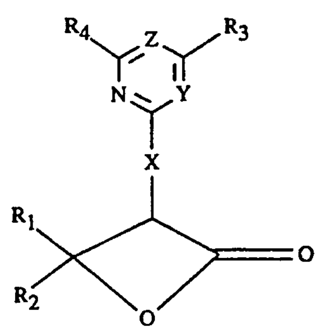
 (Ir) or  (Is),

wherein R_2 to R_4, X, Y, Z and A are as defined in claim 1 and R_1 is C_1-C_7alkyl or C_1-C_7haloalkyl, or R_1 together with R_2 is -$(CH_2)_4$- or -$(CH_2)_5$-, according to claim 1, which process comprises converting a compound of formula Iq



(Iq),

wherein R_1 to R_4, X, Y and Z are as defined, by treatment with a water-removing reagent, such as phosphorus oxychloride, into the compound of formula Ir



(Ir),

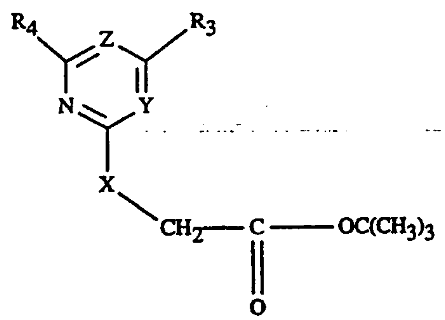
wherein R_2 to R_4, X, Y and Z are as defined in claim 1 and R_1 is C_1-C_7alkyl or C_1-C_7haloalkyl, or R_1 together with R_2 is -$(CH_2)_4$- or -$(CH_2)_5$-, and then reacting the compound of

formula Ir with a compound of formula V

$$\text{A-H} \qquad \text{(V)},$$

wherein A is hydroxy, $-OR_5$, $-SR_6$, cyanamino, hydroxyamino, C_1-C_6alkoxyamino, C_1-C_3alkoxy-C_1-C_6alkylamino or a group A_1 to A_4, where appropriate in the presence of a base and a solvent.

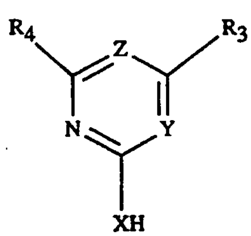
33. A process for the preparation of a compound of formula IIIa



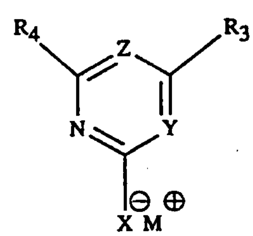
(IIIa),

wherein R_3, R_4, X, Y and Z are as defined in claim 1, which process comprises

a) reacting a compound of formula IV or IVa



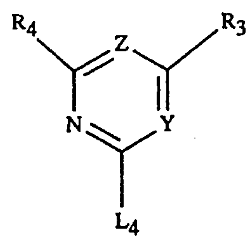
(IV) or



(IVa),

wherein $M^{\oplus}$ is a cation, with bromo- or chloro-acetic acid tert-butyl ester in the presence of a base and a suitable solvent; or

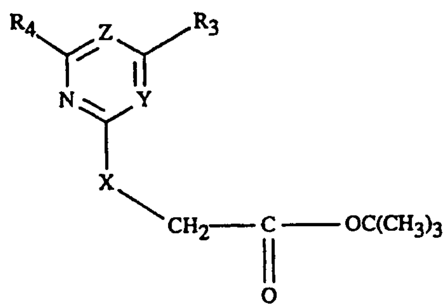
b) reacting a compound of formula VI



(VI)

with hydroxy- or mercapto-acetic acid tert-butyl ester (VIII) in the presence of a base and a suitable solvent; in the compounds of formulae IV and VI the radicals R_3, R_4, X, Y and Z are as defined in claim 1 and L_4 is a leaving group, preferably fluorine, chlorine, methylsulfonyl or benzylsulfonyl.

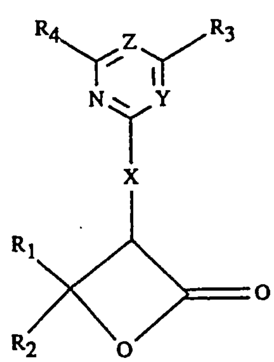
34. A compound of formula IIIa



(IIIa)

wherein R_3, R_4, Z, Y and X are as defined in claim 1.

35. A compound of formula Ir



(Ir)

wherein R_2 to R_4, X, Y and Z are as defined in claim 1 and R_1 is C_1-C_7alkyl, or R_1

together with R_2 is $-(CH_2)_4-$ or $-(CH_2)_5-$.

36. A herbicidal and plant-growth-inhibiting composition, which comprises one or more compounds of formula I, according to claim 1.

37. A composition according to claim 36, which comprises from 0.1 % to 95 % of compound of formula I according to claim 1.

38. A method of controlling undesired plant growth, which comprises applying an effective amount of a compound of formula I, according to claim 1, or of a composition comprising that compound, to the plants or to the locus thereof.

39. A method according to claim 38, which comprises applying a compound of formula I in an amount of from 0.001 to 2 kg per hectare.

40. A method of inhibiting plant growth, which comprises applying an effective amount of a compound of formula I, according to claim 1, or of a composition comprising that compound, to the plants or to the locus thereof.

41. A method according to claim 38 for the selective pre- or post-emergence control of weeds in crops of useful plants, especially cereals, maize, rice, soybeans, rape and cotton.

42. The use of a composition according to claim 36 in the selective pre- or post-emergence control of weeds in crops of useful plants, especially cereals, maize, rice, soybeans, rape and cotton.

INTERNATIONAL SEARCH REPORT

International Application No
PCT/EP 95/02295

A. CLASSIFICATION OF SUBJECT MATTER
IPC 6 C07D239/60 A01N43/40 C07D405/12 C07D401/00 C07D233/54
C07D401/12

According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)
IPC 6 C07D A01N

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
A	EP,A,0 517 215 (UBE INDUSTRIES,LTD.) 9 December 1992 cited in the application see claims ---	1,36
A	EP,A,0 481 512 (UBE INDUSTRIES,LTD.) 22 April 1992 cited in the application see claims ---	1,36
A	EP,A,0 567 014 (UBE INDUSTRIES,LTD.) 27 October 1993 cited in the application see claims --- -/--	1,36

[X] Further documents are listed in the continuation of box C.

[X] Patent family members are listed in annex.

* Special categories of cited documents :

"A" document defining the general state of the art which is not considered to be of particular relevance

"E" earlier document but published on or after the international filing date

"L" document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)

"O" document referring to an oral disclosure, use, exhibition or other means

"P" document published prior to the international filing date but later than the priority date claimed

"T" later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention

"X" document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone

"Y" document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art.

"&" document member of the same patent family

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Date of the actual completion of the international search	Date of mailing of the international search report
29 August 1995	-5. 09. 95
Name and mailing address of the ISA European Patent Office, P.B. 5818 Patentlaan 2 NL - 2280 HV Rijswijk Tel. (+31-70) 340-2040, Tx. 31 651 epo nl, Fax: (+31-70) 340-3016	Authorized officer Van Bijlen, H

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C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

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A	EP,A,0 347 811 (KUMAI CHEMICAL INDUSTRY CO.) 27 December 1989 cited in the application * page 29, table 2 * ---	1,36
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P,A	WO,A,94 25442 (BASF AG) 10 November 1994 * claims, R5 = ... haloalkyl, ...* -----	1,36

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INTERNATIONAL SEARCH REPORT

Information on patent family members

Intern: al Application No
PCT/EP 95/02295

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